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NEWS 4 DEC 23 New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
USPAT2
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NEWS 13 FEB 22 The IPC thesaurus added to additional patent databases on STN
NEWS 14 FEB 22 Updates in EPFULL; IPC 8 enhancements added
NEWS 15 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 16 FEB 28 MEDLINE/LMEDLINE reload improves functionality
NEWS 17 FEB 28 TOXCENTER reloaded with enhancements
NEWS 18 FEB 28 REGISTRY/ZREGISTRY enhanced with more experimental spectral
property data
NEWS 19 MAR 01 INSPEC reloaded and enhanced
NEWS 20 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes
NEWS 21 MAR 08 X.25 communication option no longer available after June 2006
NEWS 22 MAR 22 EMBASE is now updated on a daily basis

NEWS EXPRESS FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
V8.0 AND V8.01 USERS CAN OBTAIN THE UPGRADE TO V8.01a AT
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FILE CONTENT: 1961-PRESENT VOL 144 ISS 10 (20060324/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

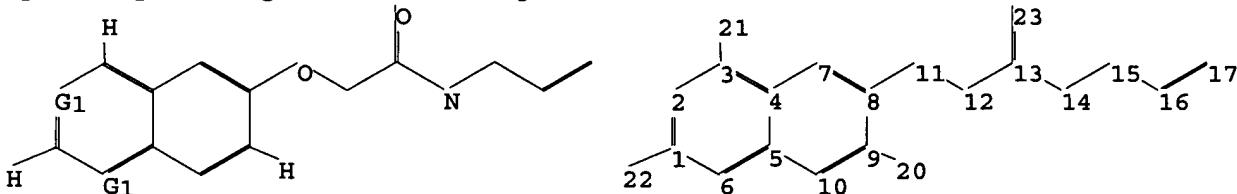
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006035965 16 FEB 2006
DE 102004031947 19 JAN 2006
EP 1614691 11 JAN 2006
JP 2006016369 19 JAN 2006
WO 2006012333 02 FEB 2006
GB 2416167 18 JAN 2006
FR 2873371 27 JAN 2006
RU 2267521 10 JAN 2006
CA 2472818 30 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=>
Uploading C:\Program Files\Stnexp\Queries\10536475.str



chain nodes :
11 12 13 14 16 17 20 21 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
15
chain bonds :

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1-22 3-21 8-11 9-20 11-12 12-13 13-14 13-23 14-15 15-16 16-17
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 1-22 2-3 3-4 3-21 4-5 4-7 5-6 5-10 7-8 8-9 8-11 9-10 9-20
11-12 12-13 13-14 13-23 14-15 15-16 16-17
isolated ring systems :
containing 1 :

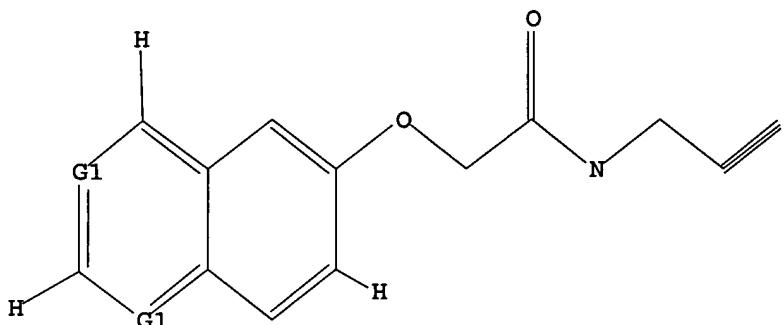
G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 20:CLASS
21:CLASS 22:CLASS 23:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full
FULL SEARCH INITIATED 13:38:32 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 58725 TO ITERATE

| 90.3% PROCESSED | 53042 ITERATIONS | (5 INCOMPLETE) | 163 ANSWERS |
|------------------|------------------|-----------------|-------------|
| 97.6% PROCESSED | 57344 ITERATIONS | (5 INCOMPLETE) | 170 ANSWERS |
| 100.0% PROCESSED | 58725 ITERATIONS | (5 INCOMPLETE) | 171 ANSWERS |

SEARCH TIME: 00.00.54

L2 171 SEA SSS FUL L1

=> s l2/com
L3 166 L2/COM

10/536,475

=> d ibib 50

10/536,475

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138-39276 MARPAT
TITLE: Preparation of heterocyclecarboxylic acid, benzoic acid, and phenylalkanoic acid derivatives as agonists of peroxisome proliferator-activated receptors (PPAR)
INVENTOR(S): Matsuura, Fumiyoichi; Emori, Ritsa; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita,

Sedakazu; Hihara, Taro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| WO 2002098840 | A1 | 20021212 | WO 2002-JP5511 | 20020604 |
| W: | AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MO, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SO, SI, SK, SL, TJ, TM, TH, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH | | | |
| RW: | GH, GM, KE, LS, MN, MZ, SD, SL, SZ, TZ, UG, ZM, ZN, AT, BE, CH, CV, DE, DK, ES, FI, FR, GB, GR, IR, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CF, CO, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TO | | | |
| EP 1394147 | A1 | 20040301 | EP 2002-733294 | 20020604 |
| R: | AT, BE, CH, DR, DK, ES, FR, GB, GR, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| US 2004214688 | A1 | 20041028 | US 2003-479427 | 20031203 |
| PRIORITY APPLN. INFO.: | | | JP 2001-168356 | 20010604 |
| | | | WO 2002-JP5511 | 20020604 |

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

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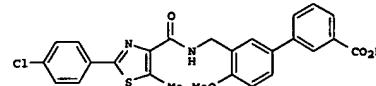
ANSWER NUMBERS NOT CORRECTLY SPECIFIED
 Enter an answer number. Example: 10
 several answer numbers. Example: 3,7,10
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 ENTER ANSWER NUMBER OR RANGE (1):50-100

L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 118-39276 MARPAT
 TITLE: Preparation of heterocyclocarboxylic acid, benzoic acid, and phenylalkanoic acid derivatives as agonists of peroxisome proliferator-activated receptors (PPAR)
 INVENTOR(S): Matsue, Fumiyo; Emori, Eita; Shinoda, Masanobu; Clark, Richard; Kessi, Shunji; Yoshitomi, Hideki; Yamazaki, Kazuto; Inoue, Takashi; Miyashita, Sadakazu;

Hihara, Taro
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 293 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002098840 | A1 | 20021213 | WO 2002-JP5511 | 20020604 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LE, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MO, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LG, MM, MZ, SD, SL, SZ, TZ, UD, ZM, ZW, AT, BE, CH, CI, DB, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, BJ, CP, CO, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TO | | | | |
| EP 1394147 | A1 | 20040303 | EP 02-733294 | 20020604 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO, MK, CY, AL, TR | | | | |
| US 2004214888 | A1 | 20041028 | US 2003-479427 | 20031203 |
| PRIORITY APPLN. INFO.: US 2004214888 | | | JP 2001-168356 | 20010604 |
| | | | WO 2002-JP5511 | 20020604 |

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II

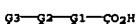
L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 AB Novel carboxylic acid derivs. represented by the following general formula

(I) (wherein L, M = a single bond, each (un)substituted C1-6 alkylene, C2-6 alkenylene, or C2-6 alkynylene; T = single bond, each (un)substituted C1-3 alkylene, C2-3 alkenylene, or C2-3 alkynylene; W = CO2H; each solid line accompanied by a dotted line represents a single or double bond; X = a single bond, O, each N-(un)substituted NHCO-O, NHCO-S-O, O-C(=O)NH, CONHO, C(=O)NHCO, ONHC(O), NHCO, NHCO-S, CONH, C(=O)NH, NHCONH, NHCO-S, NHCO-SO2, or SO2NH, OSO2, OSO2, etc.; Y = 5 to 14-membered aromatic group or C3-7 alicyclic hydrocarbon group each optionally having 2-1 substituents or 2-1 heteroatoms; the ring Z or U = 5 to 14-membered aromatic group optionally having 1-4 substituents or 2-1 heteroatoms wherein a part of the ring is optionally saturated), salts or esters thereof, or hydrates thereof are prepared

These compds. are dual agonists of PPAR α and γ or triple agonists of PPAR α , β (S), and γ and useful as insulin resistance ameliorants, preventives and/or remedies for diabetes, fragile X syndrome, diabetes complications, hyperlipidemia, obesity, digestive tract diseases, and cancer. The digestive tract (gastrointestinal) diseases include (1) gastrointestinal inflammations such as ulcerative colitis, Crohn's disease, pancreatitis, and gastritis, (2) gastrointestinal proliferative diseases such as gastrointestinal benign tumor, polyp, hereditary polyposis, colon cancer, rectal cancer, and stomach cancer, and (3) gastrointestinal ulcer. They are also preventives and/or remedies for angina pectoris and myocardial infarction and sequelae thereof, senile dementia, and cerebral vascular dementia based on the improvement effects on energy metabolism. These compds. are

also useful as hypolipidemics, anti-osteoporosis agents, antiinflammatory agents, and immunomodulators. For example, 3-(4-methoxy-3-[[[(4-methyl-2-(4-chlorophenyl)-1,3-thiazol-5-yl)carbonyl]amino)methyl]phenyl]benzoic acid (II) showed EC50 of <0.0001, 0.176, and 0.711 for the transcription activity of human PPAR in host CV-1 cells transfected with GAL4-PPAR LBD chimera expression vector.

MATERIALS



G1 = bond
 G3 = 49



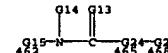
G4 = naphthyl
 G5 = 51-2 52-50



G10 = 462-51 468-50

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L3 ANSWER 50 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G13 = O
 G15 = carbon chain <containing 1-3 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd.)
 G24 = alkylene <containing 1 or more C> (opt. substd.)
 G25 = O

Patent location: claim 1
 Note: and salts, esters or hydrates
 Note: substitution is restricted
 Note: additional substitution also disclosed
 Note: interruptions of Ak in G32 also claimed

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER S1 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:39105 MARPAT

TITLE: Preparation of phenylpropionic acid and indolylpropionic acid derivatives and salt thereof as dual or triple agonists of peroxisome proliferator-activated receptors (PPAR)

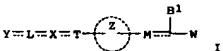
INVENTOR(S): Matsuura, Fumiyo; Emori, Sita; Shinoda, Masanobu; Clark, Richard; Kasai, Shunji; Yoshiomi, Hideki; Yamazaki, Kazuto; Inode, Takashi; Miyashita, Sadakazu;

PATENT ASSIGNEE(S): Hisara, Taro; Harada, Hitoshi; Ohashi, Kaya; Hisso Co., Ltd., Japan

SOURCE: PCT Int. Appl., 404 pp.**CODEN:** PIIXD2**DOCUMENT TYPE:** Patent**LANGUAGE:** Japanese**FAMILY ACC. NUM. COUNT:** 1**PATENT INFORMATION:**

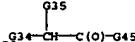
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002100812 | A1 | 20021219 | WO 2002-JP3866 | 20020418 |
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| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CV, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GM, ML, MR, NE, SN, TD, TG | | | | |
| CA 2442319 | AA | 20021219 | CA 2002-2442319 | 20020418 |
| EP 1380562 | A1 | 20040114 | EP 2002-720489 | 20020418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1503774 | | 20040609 | CN 2002-808498 | 20020418 |
| BR 2002009027 | A | 20050524 | BR 2002-9027 | 20020418 |
| NZ 529708 | A | 20050930 | NZ 2002-539708 | 20020418 |
| NZ 528655 | A | 20051223 | NZ 2002-528655 | 20020418 |
| NO 200304669 | A | 20031217 | NO 2003-1669 | 20031217 |
| US 2004102634 | A1 | 20040527 | US 2003-472543 | 20031028 |
| PRIORITY APPLN. INFO.: | | | JP 2001-123346 | 20010420 |
| | | | JP 2002-36274 | 20020214 |
| | | | WO 2002-JP3866 | 20020418 |

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AB Carboxylic acid derivs. represented by general formula (I), salts or esters thereof, or hydrates thereof [wherein R1 = H, HO, halo, CO2H, each

L3 ANSWER S1 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



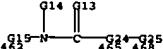
G3 = 49



G4 = naphthyl
G5 = 51-2 52-50



G6 = arylene <containing 6-14 C> (opt. substd.)
G10 = 462-51 468-50



G13 = O
G15 = bond
G24 = alkylene <containing 1 or more C> (opt. substd.)
G25 = O
G34 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

Patent location: claim 1
Note: and salts, esters or hydrates
Note: substitution is restricted
Note: additional substitution also disclosed
Note: interruptions of Ak in G32 also claimed

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER S1 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

(un)substituted Cl-6 alkyl, Cl-6 alkoxy, Cl-6 alkylthio, Cl-6 hydroxymalkyl, Cl-6 hydroxymalkylthio, Cl-6 aminoalkyl, Cl-6 aminomalkylthio, Cl-6 haloalkylthio, Cl-12 alkoxalkyl, Cl-12 alkoxymalkyl, Cl-12 alkoxyalkylthio, Cl-7 cycloalkyl, Cl-7 cycloalkoxy, etc.; L, M = a single bond, each (un)substituted Cl-6 alkylene, etc.; T = a single bond, each (un)substituted Cl-6 alkylene, or Cl-3 alkenylene; T = a single bond, each (un)substituted Cl-3 alkenylene, or Cl-3 alkynylene; W = CO2H; a solid line accompanied by a dotted line represents a single or double bond; Z = a single bond, O, N-(un)substituted NHCO10, OC(=O)NH, C(=O)NH, C(=O)CQ1, Q2S02, S02Q2, etc., wherein (Q1 = O, S, Q2 = O, (un)substituted NH); Y = 5 to 14-membered aromatic group or Cl-7 alicyclic hydrocarbon group optionally having 21 heteroatoms and 21 substituents, the ring Z = 5 to 14-membered aromatic group optionally having 1-4 substituents and 21 heteroatoms where a part of the ring is optionally sated.; are prep'd. These compds. are dual agonists of PPAR α , β (δ), and γ and are useful as ameliorants (improvers) of insulin resistance, hypolipidemics, anti-osteoporosis agents, antiinflammatory agents, immunomodulators, and anticancer agents, and preventives and/or remedies for diabetes, diabetes complications, fragile X syndrome, hyperlipidemia, obesity, and digestive tract (gastrointestinal) diseases. The gastrointestinal diseases include (1) gastrointestinal inflammations such as ulcerative colitis, Crohn's disease, pancreatitis, and gastritis, (2) gastrointestinal proliferative diseases such as gastrointestinal benign tumors, gastrointestinal polyp, familial polyposis syndrome, colon cancer, rectal cancer, and stomach cancer, (3) gastrointestinal ulcers. They are also preventives and remedies for (1) angina pectoris or myocardial infarction or its

after effect of disease (sequelae), (2) senile dementia, and (3) cerebral vascular dementia based on improving energy metab. Thus, 2,4-dichloriodobenzene was coupled with Et-2-isopropoxy-3-[3-(2-propynyl)phenyl]propanoate in the presence of (Ph3P)4Pd, CuI, and Et3N in DMF at room temp. for 5 days followed by hydrolysis with a mixt. of 5

N aq. NaOH and MeOH and acidification with 1 N aq. HCl, 2-isopropoxy-3-[3-(2-(4-dichlorophenyl)-2-propynyl)oxyphenyl]propanoic acid (II). II showed EC50 of 0.008, 1.249, and 0.008 μ M for increasing the transcription of human PPAR α , β , and γ , resp., in yeast transfected with GAL4-PPAR LBD chimeras expression vector.

MUTM 1

G3—G2

G2 = 20

L3 ANSWER S2 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 138:14180 MARPAT

TITLE: Preparation of peptide-related hydroxymalkamines for pharmaceutical use in the treatment of Alzheimer's disease

INVENTOR(S): Freskos, John; Aquino, Jose; Brown, David L.; Fang, Larry; Pobian, Yvette M.; Gailunas, Andrea; Quinn, Ashley; Varghese, John; Romero, Arthur Glenn; Tucker, John; Tung, Jay; Walker, Donald

PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company

SOURCE: PCT Int. Appl., 360 pp.**CODEN:** PIIXD2**DOCUMENT TYPE:** Patent**LANGUAGE:** English**FAMILY ACC. NUM. COUNT:** 1**PATENT INFORMATION:**

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002098849 | A2 | 20021212 | WO 2002-US17698 | 20020531 |
| WO 2002098849 | A3 | 20031113 | | |
| W: AE, AG, AL, AM, AT, UA, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, ML, MR, NE, SN, TD, TG | | | | |
| CA 2448834 | AA | 20021212 | CA 2002-2448834 | 20020531 |
| US 2003166717 | A1 | 20030904 | US 2002-160777 | 20020531 |
| EP 1395551 | A2 | 20040310 | EP 2002-741841 | 20020531 |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, SE, MC, PT, IE, ST, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002010122 | A | 20040615 | BR 2002-10122 | 20020531 |
| JP 2004535421 | T2 | 20041125 | JP 2003-501839 | 20020531 |
| PRIORITY APPLN. INFO.: | | | US 2001-295323P | 20010601 |
| | | | US 2001-332633P | 20011119 |
| | | | US 2001-343772P | 20011228 |
| | | | WO 2002-US17698 | 20020531 |

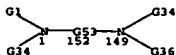
AB Hydroxymalkamine RNRN20CHRICH(O)CR2R3NR2OC (RN is an acyl group of defined structure; R20 is H, (un)substituted alkyl, alkoxy, alkyl, hydroxy-, or haloalkyl, or -R26-27, where R26 is CO, SO2, CO2, CONH, or alkylcarbamoyl and R27 is (un)substituted alkyl, alkoxy, alkyl, heterocycloalkyl, or heteroaryl; R1 is -(CH2)1-2-S(O)0-2-alkyl, (un)substituted alkyl, alkenyl, alkynyl, (hetero)aryl, heterocycl,

etc.; R2, R3 are H or (un)substituted alkyl or CR2R3 is a 3-7 membered carbocycle in which one carbon atom is optionally replaced by O, S, SO2, or NRR-2; RC is (un)substituted alkyl, (hetero)alkyl, heterocycloalkyl, etc.) were prepared for treating Alzheimer's disease

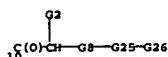
and similar diseases. Synthetic procedures are given in examples and schemes. Several hundred products of the invention are listed in a table and in the claims, including S-butyl-N-1-((1S,2R)-1-(3,5-difluorobenzyl)-3-(3-

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ethylbenzyl)amino)-2-hydroxypropyl-D-cysteinamide.

MSTR 1



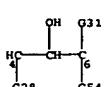
G1 = 10



G2 = 76

G21

G21 = naphthyl (opt. subst.)
G28 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
(opt. subst.)
G53 = 4-1 6-149



Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional oxo substitution and ring formation
also claimed
Note: substitution is restricted

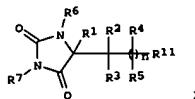
(Continued)

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138-14059 MARPAT
TITLE: Preparation of spiro-fused hydantoin derivatives as inhibitors of matrix metalloproteinases
INVENTOR(S): Sheppeck, James E.; Duan, Jingwu; Xue, Chu-Biao; Wasserman, Zelma
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

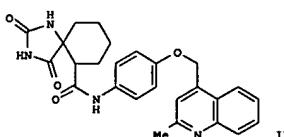
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2002096426 | A1 | 20021205 | WO 2002-US16381 | 20020523 |
| M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BO, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MR, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, | | | | |
| CA 2447475 | AA | 20021205 | CA 2002-2447475 | 20020523 |
| US 2003130273 | A1 | 20030710 | US 2002-155575 | 20020523 |
| US 6890915 | B2 | 20050510 | | |
| EP 1397137 | A1 | 20040317 | EP 2002-741724 | 20020523 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PL, RO, MK, CY, AL, TR | | | | |
| JP 2004535411 | T2 | 20041125 | JP 2002-592936 | 20020523 |
| US 2004209874 | A1 | 20041021 | US 2004-844219 | 20040512 |
| US 6906053 | B2 | 20050614 | | |
| US 2005171096 | A1 | 20050804 | US 2005-93670 | 20050330 |
| PRIORITY APPLN. INFO.: | | | US 2001-393571P | 20010525 |
| | | | US 2002-155575 | 20020523 |
| | | | WO 2002-US16381 | 20020523 |
| | | | US 2004-844219 | 20040512 |

G1

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

AB Title compds. I [R11 = W-U-X-Y-Z-Ua-Xa-Ya-Za; W = alkyl, alkenylene, alkynylene; U = absent, amino, CO, alkyl, carboxy, etc.; X = absent, alk(en/ynylene; Y = absent, O, amino, SOO-2, CO; Z = (hetero)cycle; Ua = absent, O, amino, CO, alkyl, carboxy, etc.; Xa = absent, alk(en/ynylene; Ya = absent, O, amino, SOO-2, CO; Za = (hetero)cycle; R1-2 together with the carbon atoms to which they are attached, combine to form a 3-8 membered carbocyclic or heterocyclic ring; R3 = H, CH2P, CH2P, CF3, alk(en/ynylene, etc.; R4-7 = H, alk(en/ynyl); n = 0-1] were prepared

For instance, 2-(ethylcarboxy)cyclohexanone was treated with ammonium carbonate and potassium cyanide (EtOHaq, 50°, 24 h) to afford the corresponding hydantoin ester which was hydrolyzed to the carboxylic acid and coupled to 4-[(2-methyl-4-quinolinyl)methoxy]aniline+HCl (DMSO, PyBOP) to give II which was isolated as the trifluoroacetate. I are useful as inhibitors of matrix metalloproteinases (MMP), TNF- α converting enzyme (TACE), aggrecanase, or a combination thereof.

MSTR 1

G18-G1-G17

G1 = 15-10 16-12

G3-G4

G3 = carbocycle <containing 3-13 C> (opt. subst.)
G4 = 36-15 37-12 / 38-15 39-12 / 56-15 57-12

L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G10-G11 38-G12-G14 56-G15-G16

G5 = NH (opt. subst.)
G10 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
G11 = O
G14 = 54-38 55-12

G10-G11

G15 = 58-15 59-57

G6-C(O)

G16 = 63-56 64-12

G10-G11

G17 = naphthyl
G18 = 238

G16-G21

G21 = 311-236 312-11 / 348-236 350-11

G28-G30 326-C(O)-G22

G26 = bond
G30 = 346-311 347-11

G31-G32

G32 = carbon chain <containing 1-3 C,
0 or more double bonds, 0 or more triple bonds>
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: also incorporates claim 9
Note: substitution is restricted
Note: additional ring formation also claimed
Stereochemistry: or stereoisomers

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

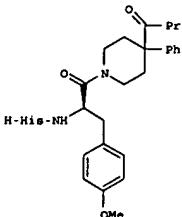
L3 ANSWER 53 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137-295252 MARPAT
 TITLE: Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
 INVENTOR(S): Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R.
 Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCI Int. Appl., 116 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002079146 | A2 | 20021010 | WO 2002-US6581 | 20020302 |
| WO 2002079146 | A3 | 20030206 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RD, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2438273 | AA | 20021010 | CA 2002-2438273 | 20020302 |
| EP 1363631 | A2 | 20031126 | EP 2002-741644 | 20020302 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO, MK, CY, AL, TR | | | | |
| JP 2004532838 | T2 | 20041028 | JP 2002-577773 | 20020302 |
| US 2003092732 | A1 | 20030515 | US 2002-90582 | 20020304 |
| US 6979691 | B2 | 20051227 | | |
| US 2003096827 | A1 | 20030522 | US 2002-90288 | 20020304 |
| US 6713487 | B2 | 20040330 | | |
| US 2004229862 | A1 | 20041118 | US 2003-696761 | 20031029 |
| US 2006025403 | A1 | 20060202 | US 2005-199464 | 20050808 |
| PRIORITY APPLN. INFO.: US 2001-273206P | | | US 2001-273206P | 20010302 |
| | | | US 2001-273291P | 20010302 |
| | | | WO 2002-US6581 | 20020302 |
| | | | US 2002-90288 | 20020304 |
| | | | US 2002-90582 | 20020304 |

GI

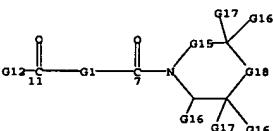
L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I

AB: Compds. $W-(CH_2)^y(CR_4RS)xCO-X(R_1)CHR_2(CH_2)sCO-E$ [X = N or CH; R₁, R₂ = H or alkyl; R₂ = H, aryl, cycloalkyl, heteroaryl, heterocycl, (un)substituted alkyl or alkenyl; R₁ together with R₂ or R₃ or R₂ together with R₃ form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocycl; E = (un)substituted pyrrolidino, piperidino, or hexahydro-1-azepinyl; R₄, R₅ = H, (un)substituted alkyl, halo, hydroxy, amino, aryl, cycloalkyl, heterocycl, spirocycloalkyl ring; r, s = 0 or 1; x, y = 0-4; W = amino, carbamoyl, amidino, guanidino, heteroaryl, heterocycl, etc.] or their pharmaceutically-acceptable salts or prodrugs. These were prepared as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepared by a solution-phase peptide coupling/deprotection scheme.

MSIR 1A

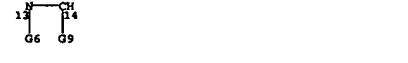


G1 = 10-11 9-7

G2 = 9-8 10-9

G2 = 13-11 14-9

L3 ANSWER 54 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G9 = alkenyl <containing 2-6 C> (opt. substd.)

G12 = 35

G26-G33

G15 = (0-2) 37

HC—G16

G26 = 71

G30-G30

G30 = naphthyl (opt. substd.)

G33 = alkylene <containing 1 or more C> (opt. substd. by G13)

G38 = bond

Patent location:

Note: claim 1 or pharmaceutically acceptable salts, hydrates or prodrugs

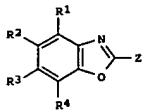
Note: also additional ring formation and oxo substitution claimed

L3 ANSWER 55 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137-294949 MARPAT
 TITLE: Preparation of 2-aminobenzoxazoles and combinatorial libraries thereof
 INVENTOR(S): Sutton, Scott C.; Hannah, Amy L.; Chen, Yuewu; Zhu, Shirong
 PATENT ASSIGNEE(S): LION Bioscience AG, Germany
 SOURCE: PCT Int. Appl., 140 pp.
 CODEN: PIXDD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002079753 | A2 | 20021010 | WO 2002-US6670 | 20020328 |
| WO 2002079753 | A3 | 20021128 | | |
| W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, RU, TJ, TM, RM, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZM, AT, BE, CH, CV, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, SP, BZ, CP, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TO | | | | |
| US 2002161028 | A1 | 20021031 | US 2001-819935 | 20010328 |
| US 6660858 | B2 | 20031209 | | |

PRIORITY APPLN. INFO.: US 2001-819935 20010328

GI



AB Title compds. [I; R1, R4, and 1 of R2, R3 = H, halo, (protected) OH, cyano, (substituted) alkyl, alkenyl, alkynyl, alkoxy, acyloxy, acyl, cycloalkyl, cycloalkenyl, heterocyclyl, phenylalkyl, heterocyclicalkyl, Ph, naphthyl, cyclic (hetero)alkylene, (protected) CO2H, CH2OH, amino, alkylamino, carboxamide, alkylthio, alkylsulfonyl, alkylsulfoxide, Phs, PhSO2, CONR1R12, SR11, OR11, CO2R11; R1, R12 = H, (substituted) alkyl, alkenyl, Ph, naphthyl, phenylalkyl, heterocycloalkyl, heteroaryl, heterocycle; the other of R2, R3 = H, halo, (protected) OH, CO2H, SH, (substituted) alkyl, alkenyl, alkynyl, alkoxy, acyloxy, acyl, cycloalkyl, cycloalkenyl, heterocyclyl, phenylalkyl, heterocycloalkyl, Ph, naphthyl,

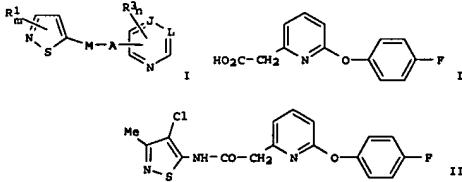
L3 ANSWER 56 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 137-279181 MARPAT

TITLE: Preparation of azoles and azines having fungicidal, pesticidal and nematicidal properties.
 INVENTOR(S): Crowley, Patrick Jelf
 PATENT ASSIGNEE(S): Syngenta Limited, UK
 SOURCE: Brit. Pat. Appl., 52 pp.
 CODEN: BAXXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

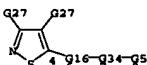
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| GB 2361474 | A1 | 20011024 | GB 2001-6313 | 20010314 |
| PRIORITY APPLN. INFO.: | | | GB 2000-7245 | 20000324 |

GI



AB Title compds. I [A = (un)substituted alkylene, alkenylene, alkynylene, etc.; J, L = CR3; N; M = N(R51)C(Y, N; COR52, N; CSR53, etc.; Y = O, S, NR13; R1 = halo, (un)substituted alkyl, alkenyl, etc.; R51 = H, (un)substituted alkyl, alkenylalkyl, etc.; R52, R53 = (un)substituted alkyl, alkenylalkyl, etc.; R3 = halo, CN, (un)substituted alkyl, etc.; R13 = H, OH, CN, etc.; m = 0-2; n = 0-4] were prepared. For example, coupling of carboxylic acid II, e.g., prepared from 2-methyl-6-(4-fluorophenoxy)pyridine in 2-steps, and 5-amino-4-chloro-3-methylisothiazole afforded thiazole III. In Chinese cabbage leaves infested with aphids, 6-specific examples of I had mortality scores ranging from 80-100%.

MSTR 1



G2 = alkylene <containing 1-6 C>
 G3 = O

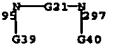
Page 11

L3 ANSWER 55 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 cyclic (hetero)alkylene; (protected) CO2H, CH2OH, amino, alkylamino, carboxamide, (substituted) alkylthio, alkylsulfonyl, alkylsulfoxide, Phs, PhSO2, PhSO2, CONR1R12, SR11, OR11, CO2R11, SO2R11R12; Z = specified (cyclic) diamino moieties; with provisos, and combinatorial libraries thereof, are claimed. Several solid phase methodologies for prepn. of 1 using e.g. Sasrin-CHO resin are described.

MSTR 1B

G12-G1-G15-G27
 12 13 14 294

G15 = 295-13 297-294



G27 = 147



G29 = naphthyl (opt. substnd.)
 G31 = 214

C(=O)O—G29
 214

G34 = O
 G40 = alkenyl <containing 2-12 C> (opt. substnd.)
 Patent location: claim 1
 Note: additional ring formation also claimed
 Note: or salts
 Note: substitution is restricted

L3 ANSWER 56 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

016 = quinolinyl (opt. substnd. by 1 or more G28)



G17 = 88

N—G18
 88

G18 = alkenyl <containing 3-12 C>
 G21 = O
 G34 = 9-6 10-8 / 12-6 11-8 / 14-6 15-8

G2-G3 12-G2-G2 14-G4-G2

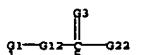
Patent location: claim 1
 Note: substitution is restricted

L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137-262850 MARPAT
 TITLE: Preparation of arylalkanoic acids and hydroxamic acids
 as histone deacetylase inhibitors for treatment of cancer, hematological disorders, and genetic related metabolic disorders
 INVENTOR(S): Ian-Hargest, Hsuan-yin; Kaufman, Robert J.; Wiech, Norbert L.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 19 pp.
 CODEN: USIXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PRIORITY INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|---|--|------------|-----------------|----------------|----------------|----------|
| US 2002142052 | A1 | 20021003 | US 2001-812945 | 20010327 | | |
| CA 2442366 | AA | 20021003 | CA 2002-2442366 | 20020325 | | |
| WO 2002076941 | A2 | 20021003 | WO 2002-US8836 | 20020325 | | |
| WO 2002076941 | A3 | 20040212 | | | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, VN, YU, ZA, ZM, ZW | RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, PI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SB, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | EP 1408946 | A3 | 20040421 | EP 2002-719311 | 20020325 |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | US 2003125306 | A1 | 20030703 | US 2002-318225 | 20021213 | |
| US 2005107348 | A1 | 20050519 | US 2004-190303 | 20041223 | | |
| US 2005171208 | A1 | 20050804 | US 2005-59377 | 20050517 | | |
| PRIORITY APPLN. INFO.: | | | US 2001-812940 | 20010327 | | |
| | | | US 2001-812944 | 20010327 | | |
| | | | US 2001-812945 | 20010327 | | |
| | | | US 2001-25947 | 20011226 | | |
| | | | WO 2002-US8836 | 20020325 | | |
| AB: Title compds. AY1LY2C(:X1)X2 (I) [wherein A = (un)substituted (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl; or A = (un)substituted hydrocarbon chain interrupted by O, S, NRA, CO, NRAsO ₂ , SO ₂ NRA, OCONRA, NRaCONRb, OCO, CO ₂ , OSO ₂ , SO ₂ O, or OCO ₂ ; Y1 and Y2 = independently CH ₂ , O, S, NRC, NRcCO ₂ , OCONRC, NRcCONRd, OCO ₂ , or a bond; Ra, Rb, Rc, and Rd = independently H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, or haloalkyl; L = (un)substituted straight hydrocarbon chain optionally containing at least one double and/or triple bond; X1 = O or S; X2 = OR1, SR1, NR3OR1, NR3SR1, CO2R1, CHR4OR1, N:NCON(R3)2, or OCHR4OCORS; R1 | | | | | | |

L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 and R2 = independently H, (hydroxy)alkyl, haloalkyl, or hydroxy protecting group; R3 = H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, haloalkyl, or amino protecting group; R4 = OH, (hydroxy)alkyl, or haloalkyl; R5 = (hydroxy)alkyl or haloalkyl; provided that when L = Et or Pr and X2 = OR1, then Y1 = a bond and Y2 = a bond; or salts thereof] where prpd. with Zn-binding moieties, such as hydroxamic acid or carboxylic acid groups, for inhibiting histone deacetylation activity in cells. For example, Et (trans)-cinnamate was treated with MeMgI in anhyd. ether to give 4-phenyl-3-methyl-3-butene-2-ol, which was converted to 3-methyl-5-phenyl-3,4-pentadienal using POCl₃ in DMAP. Oxidn. of the aldehyde with eq. AgNO₃ in EtOH afforded the desired 3-methyl-5-phenyl-2,4-pentadienoic acid (II). Test compds. of the invention showed potent inhibition of histone deacetylase with IC₅₀ values in the low μ M range; e.g., two test compds. showed IC₅₀ values of 1.7 μ M and 1.9 μ M. Histone deacetylase inhibition can repress gene expression, including expression of genes related to tumor suppression. Thus, I provide an alternate route for treating cancer, hematol. disorders, e.g., hemoglobinopathies, and genetic related metabolic disorders, e.g., cystic fibrosis and adrenoleukodystrophy (no data).

METH 1A



G1 = naphthyl
 G3 = O
 G10 = alkenyl <containing 2-10 C>
 G12 = 38-1 39-5 / 146-1 145-5



G14 = carbon chain <containing 1-12 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. subst. by 1 or more G9)
 G21 = O
 G22 = 6



Patent location: claim 1
 Note: additional heteroatom interruptions also claimed

L3 ANSWER 57 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 Note: or salts

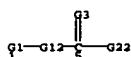
L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137-262849 MARPAT
 TITLE: Preparation of arylalkanoic acids and hydroxamic acids
 as histone deacetylase inhibitors for treatment of cancer, hematological disorders, and genetic related metabolic disorders
 INVENTOR(S): Ian-Hargest, Hsuan-Yin; Kaufman, Robert J.; Wiech, Norbert L.
 PATENT ASSIGNEE(S): Ciragen Pharmaceutical, USA
 SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PRIORITY INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|---|--|----------------|-----------------|----------|----------------|----------|
| WO 2002076941 | A2 | 20021003 | WO 2002-US8836 | 20020325 | | |
| WO 2002076941 | A3 | 20040212 | | | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, VN, YU, ZA, ZM, ZW | RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, PI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | US 2002143196 | A1 | 20021003 | US 2001-812944 | 20010327 |
| US 6495719 | B2 | 20021217 | | | | |
| US 2002143052 | A1 | 20021003 | US 2001-812945 | 20010327 | | |
| US 2002143037 | A1 | 20021003 | US 2001-25947 | 20011226 | | |
| CA 2442366 | AA | 20021003 | CA 2002-2442366 | 20020325 | | |
| EP 1408946 | A2 | 20040421 | EP 2002-719311 | 20020325 | | |
| PRIORITY APPLN. INFO.: | R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | US 2001-812940 | 20010327 | | | |
| | US 2001-812944 | 20010327 | | | | |
| | US 2001-812945 | 20010327 | | | | |
| | US 2001-25947 | 20011226 | | | | |
| | WO 2002-US8836 | 20020325 | | | | |

AB: Title compds. AY1LY2C(:X1)X2 (I) [wherein A = (un)substituted (hetero)cycloalkyl, (hetero)cycloalkenyl, (hetero)aryl; or A = (un)substituted hydrocarbon chain interrupted by O, S, NRA, CO, NRAsO₂, SO₂NRA, OCONRA, NRaCONRb, OCO, CO₂, OSO₂, SO₂O, or OCO₂; Y1 and Y2 = independently CH₂, O, S, NRC, NRcCO₂, OCONRC, NRcCONRd, OCO₂, or a bond; Ra, Rb, Rc, and Rd = independently H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, or haloalkyl; L = (un)substituted straight hydrocarbon chain optionally containing at least one double and/or triple bond; X1 = O or S; X2 = OR1, SR1, NR3OR1, NR3SR1, CO2R1, CHR4OR1, N:NCON(R3)2, or OCHR4OCORS; R1 and R2 = independently H, (hydroxy)alkyl, haloalkyl, or hydroxy protecting group; R3 = H, (hydroxy)alkyl, alkenyl, alkynyl, alkoxy, OH, haloalkyl, or

L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G1 = amino protecting group; G4 = OH, (hydroxy)alkyl, or haloalkyl; G5 = (hydroxylalkyl or haloalkyl; provided that when L = Et or Pr and X2 = OR1, then Y1 = a bond and Y2 = a bond; or salts thereof] where
 prep'd. with Zn-binding moieties, such as hydroxamic acid or carboxylic acid groups, for inhibiting histone deacetylation activity in cells. For example, Et (trans)-cinnamate was treated with MeMgI in anhyd. ether to give 4-phenyl-2-methyl-3,4-pentadien-2-ol, which was converted to 3-methyl-5-phenyl-3,4-pentadien-2-one using POCl in DMF. Oxidn. of the aldehyde with eq. AgNO3 in EtOH afforded the desired 3-methyl-5-phenyl-2,4-pentadienoic acid (II). Test compds. of the invention showed potent inhibition of histone deacetylase with IC50 values in the low μM range; e.g. two test compds. showed IC50 values of 1.7 μM and 1.9 μM . Histone deacetylase inhibition can repress gene expression, including expression of genes related to tumor suppression. Thus, I provide an alternate route for treating cancer, hemitol. disorders, e.g., hemoglobinopathies, and genetic related metabolic disorders, e.g., cystic fibrosis and adrenoleukodystrophy (no data).

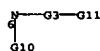
NOTE 1A



G1 = naphthyl
 G3 = O
 G10 = alkanyl <containing 2-10 C>
 G12 = 38-1 39-5 / 146-1 145-5

G14—G13 38 39 G21—G14 146 145

G14 = carbon chain <containing 1-12 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. substnd. by 1 or more G9)
 G21 = O
 G22 = 6



Patent location: claim 1
 Note: additional heteroatom interruptions also claimed
 Note: or salts
 Note: also incorporates claim 91

L3 ANSWER 58 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

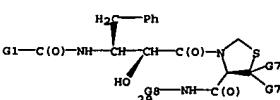
L3 ANSWER 59 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137:242144 MARPAT
 TITLE: Allophenylnorstatine-based inhibitors of plasmepepsins, and use in the treatment of malaria and inhibition of cathepsin D
 INVENTOR(S): Freire, Ernesto; Nezami, Azin; Koso, Yoshiaki
 PATENT ASSIGNEE(S): The Johns Hopkins University, USA
 SOURCE: PCT Int. Appl. 45 pp.
 CODEN: PIKXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002074719 | A2 | 20020926 | WO 2002-US8024 | 20020315 |
| WO 2002074719 | C1 | 20030313 | | |
| WO 2002074719 | A3 | 20040521 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DB, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005027953 | A1 | 20050217 | US 2004-471655 | 20040910 |
| PRIORITY APPN. INFO.: | | | US 2001-275713P | 20010315 |
| | | | WO 2002-US8024 | 20020315 |

AB Compds. and methods for the inhibition of antimalarial target aspartyl protease plasmepepsin (e.g. Plasmepeptin I, Plasmepeptin II, Plasmepeptin IV and HAP) are provided. The compds. are allophenylnorstatine-based derivs. and may be used to inhibit Plasmepeptin II, to kill malarial parasites, and to treat malaria in a patient. Certain of the substituted allophenylnorstatine-based compds. also exhibit inhibitory activity against Cathepsin D.

NOTE 1



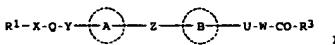
G1 = 19

G6—NH—CH₁₅
 G4

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 137:109278 MARPAT
 TITLE: Preparation of alkanoic acid derivatives as preventives and/or remedies for diabetes, hyperlipidemia, impaired glucose tolerance, and retinoid-related receptor regulators
 INVENTOR(S): Kubose, Yu; Machida, Tatsuyoshi; Takakura, Nobuyuki; Odaka, Hiroyuki; Kimura, Hiroyuki; Ito, Tatsuya
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl. 235 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2002053547 | A1 | 20020711 | WO 2001-JP11611 | 20011228 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BY, BZ, CA, CH, CN, CO, CR, DE, DK, ES, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GA, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KE, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ. | | | | |
| TM | | | | |
| RW: GH, GM, KB, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, TW, BY, BJ, CP, CO, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TO | | | | |
| CA 2433573 | AA | 20020711 | CA 2001-2433573 | 20011228 |
| JP 2002265457 | A2 | 20020918 | JP 2001-402099 | 20011228 |
| EP 1357115 | A1 | 20031029 | EP 2001-372544 | 20011228 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004058965 | A1 | 20040325 | US 2003-465938 | 20030626 |
| PRIORITY APPLN. INFO.: | | | JP 2000-402648 | 20001228 |
| | | | WO 2001-JP11611 | 20011228 |

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AB Alkanoic acid derivs. represented by the general formula (I) or salts thereof (wherein R₁ = optionally substituted five-membered aromatic heterocyclic group; X = a bond, O, S, CO, C(=S), CR₄(OR₆), NR₆ (wherein R₄ = H, optionally substituted hydrocarbyl; R₅ = H, hydroxy-protecting group; R₆ = H, optionally hydrocarbyl, amino-protecting group); Q = C1-20 divalent hydrocarbon group; Y = bond, O, S, S(O), SO₂, NR₇, CONR₇, NR₇CO, (wherein R₇ = H, optionally substituted hydrocarbon group,

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 ACCESSION NUMBER: 137:109278 MARPAT
 TITLE: Preparation of alkanoic acid derivatives as preventives and/or remedies for diabetes, hyperlipidemia, impaired glucose tolerance, and retinoid-related receptor regulators

INVENTOR(S): Kubose, Yu; Machida, Tatsuyoshi; Takakura, Nobuyuki; Odaka, Hiroyuki; Kimura, Hiroyuki; Ito, Tatsuya
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl. 235 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

insulin or naphthalene ring; U = a bond, O, S, SOP, SO₂; W = C1-20 divalent hydrocarbon group; R₃; R₃ = OH, optionally substituted hydrocarbyl, heterocyclic, or acyl; or R₉ and R₁₀ are linked to each other to form a ring, with the proviso that when B is an optionally mono- to tri-substituted benzene ring, U is a bond) are prep'd. Also disclosed are preventives and/or remedies for diabetes, hyperlipidemia, and impaired glucose tolerance, retinoid-related receptor regulators, ligands for peroxisome-proliferator response receptor and retinoid X receptor,

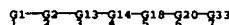
insulin resistance improvers contg. the compds. I or salts or prodrugs thereof, thus, a 40% toluene soin. (1.74 g) of di-Et azodicarboxylate was added dropwise to a mixt. of 3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethanol 0.859, Me 2-(2-hydroxyphenyl)acetate 0.499, Ph3P

0.944, and 15 mL THF at room temp. and stirred for 15 h to give Me 2-[2-(3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethoxy)phenyl]acetate as an oil which was dissolved in MeOH/THF

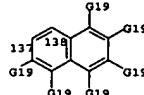
(1/1, 20 mL), treated with 10 mL 1 N aq. NaOH, stirred at room temp. for 15 h, and acidified with 1 N aq. HCl to give 52% 2-[2-(3-(5-methyl-2-phenyl-4-oxazolylmethoxy)-5-isoxazolylmethoxyphenyl)acetic acid (II). When a feed contg. 0.005% II was fed freely to type II diabetic mice for

4 days, the blood sugar and lipid level was lowered by 54 and 96%, resp. A capsule and a tablet formulation contg. 2-[2-ethoxy-5-(4-[5-methyl-2-phenyl-4-oxazolyl]methoxy)benzoyloxy]phenyl]acetic acid Me ester were prep'd.

NOTE 1



G18 = 138-4 137-6



G20 = 360-5 361-7

L3 ANSWER 60 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G21-G22
360 361

G21 = O
 G22 = carbon chain <containing 1-20 C>
 (opt. substd. by carbocycle <containing 3 or more C>)
 G23 = 364

HN—G25
364

G25 = acyl
 G33 = 8

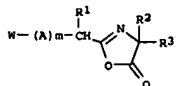
G(O)—G23
8

Patent location: claim 1
 Note: or salts
 Note: substitution is restricted
 Note: also incorporates claim 29 and 30
 REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:369515 MARPAT
 TITLE: Preparation of (N-carboxyalkyl)phenylalkylamides and fungicides for agriculture and horticulture

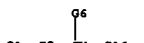
INVENTOR(S): Hirosaki, Hidetaka; Masuda, Katsumi; Suzuki, Junko; Yonekura, Norihisa; Toshima, Atsushi; Furuse, Katsumi;
 PATENT ASSIGNEE(S): Yamaji, Koji; Nagayama, Kozo Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
 SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 2002128748 | A2 | 20020509 | JP 2000-322195 | 20001023 |
| PRIORITY APPLN. INFO.: | | | JP 2000-322195 | 20001023 |
| GI | | | | |



AB The compds. WAmCHR1CONHCR2R3COCH2CO2R4 (W = aryl, heteroaryl, indanyl, tetrahydronaphthyl; A = O, S; R₁ = H, Cl-6 alkyl, Cl-4 haloalkyl, Cl-6 cycloalkyl, Cl-6 alkoxy; R₂ = H, Cl-6 alkyl, Cl-6 cycloalkyl; R₃ = Cl-6 alkyl, Cl-6 alkenyl, Cl-6 cycloalkyl, etc.; R₄ = H, Cl-6 alkyl, Cl-6 alkenyl, Cl-6 alkynyl, Cl-6 cycloalkyl, Cl-4 haloalkyl, etc.; m = 0-1) are prepared by reaction of oxazolones I (W, A, R₁-R₃, m = same as above) with ZCH2Z' (Z = H, carboxyl group, salts of carboxyl group; Z' = CO₂R, salts of carboxyl group; R₄ = same as above) in the presence of bases. 2-[1-(4-Chlorophenyl)ethyl]-4-isopropyl-4-methyl-4H-oxazol-5-one (0.6 g) was reacted with 0.73 Et₃ sodium malonat in the presence of NET₃ and MgCl₂ at 60° for 5 h to give 0.5 g Et 4-[2-(4-chlorophenyl)propionylamino]-4,5-dimethyl-3-oxohexanoate showing good control of Pyricularia oryzae on rice seedlings.

NOTE 1

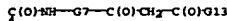


G1 = 2-naphthyl
 G5 = 0

L3 ANSWER 61 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
G7 = 12

G8
12
G10

G10 = alkenyl <containing 2-6 C>
G16 = 4



Patent location: claim 1
Note: also incorporates claim 7

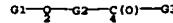
(Continued)

L3 ANSWER 62 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136-279222 MARPAT
TITLE: Preparation of aminoalkyl aryl ether pharmaceutical fungicides
INVENTOR(S): Courtis, Ian George Cormack; Allcock, Robert William
PATENT ASSIGNEE(S): BTG International Limited, UK
SOURCE: PCT Int. Appl., 71 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002024619 | A1 | 20020226 | WO 2001-GB4264 | 20010925 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, UA, UG, RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, T2, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GO, GW, MD, MR, NE, SN, TD, TG | | | | |
| AU 2001087933 | A5 | 20020402 | AU 2001-87933 | 20010925 |
| PRIORITY APPLN. INFO.: | | | GB 2000-23467 | 20000925 |
| | | | WO 2001-GB4264 | 20010925 |

AB Aminoalkyl aryl ethers ArOAN(R1)R2 [Ar = bicyclic or tricyclic aromatic group including at least one benzene ring, the oxygen group of the side chain being attached to the benzene ring of Ar; A = C6-16 (unbranched alkylene which may be interrupted by O, S, SO2, NR4, CH(OH), or CO; R4 = H, (un)branched C1-4 alkyl; R1, R2 = H, (un)branched (un)substituted alkyl or alkenyl; e.g., 6,2-BrC10H6[O(CH2)10NHCH3].HCl], useful for the treatment of fungal infections, are prepared

MSTR 4



G1 = naphthyl (subst. by (1) G4)
G2 = alkylenes <containing 3-15 C>
G3 = 10



G8 = alkenyl <containing 2-4 C> (opt. subst. by G10)

Patent location: claim 26

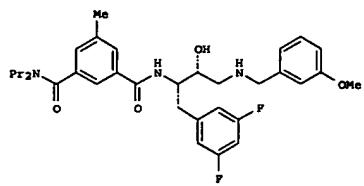
Note: the G5 groups contain a total of 3-15 carbon atoms

L3 ANSWER 62 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136-102190 MARPAT
TITLE: Preparation of substituted amines to treat Alzheimer's disease
INVENTOR(S): Mailebird, Michel; Hom, Court; Gailunas, Andres; Jagodzinska, Barbara; Fang, Lawrence Y.; John, Varghese; Freskos, John N.; Pulley, Shon R.; Beck, James P.; Tenbrink, Ruth E.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SOURCE: PCT Int. Appl., 651 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

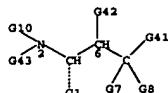
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002002512 | A2 | 20020110 | WO 2001-US21012 | 20010629 |
| WO 2002002512 | A3 | 20030821 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, VN, YU, ZA, ZW, RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2410651 | AA | 20020110 | CA 2001-2410651 | 20010629 |
| AU 2001073137 | A5 | 20020114 | AU 2001-73137 | 20010629 |
| US 2002128255 | A1 | 20020912 | US 2001-896139 | 20010629 |
| BR 2001012000 | A | 20030603 | BR 2001-12000 | 20010629 |
| EP 1353898 | A2 | 20031022 | EP 2001-952376 | 20010629 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004502669 | T2 | 20040129 | JP 2002-507769 | 20010629 |
| EP 200200716 | A | 20040816 | EP 2002-716 | 20010629 |
| NZ 522699 | A | 20050624 | NZ 2001-522899 | 20010629 |
| EP 1586556 | A2 | 20051019 | EP 2005-8935 | 20010629 |
| EP 1586556 | A3 | 20051221 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| NO 2002006199 | A | 20030221 | NO 2002-6199 | 20021223 |
| PRIORITY APPLN. INFO.: | | | US 2000-215323P | 20000630 |
| | | | US 2000-252736P | 20001122 |
| | | | US 2000-255956P | 20001215 |
| | | | US 2001-268497P | 20010213 |
| | | | US 2001-279779P | 20010329 |
| | | | US 2001-295589P | 20010604 |
| | | | EP 2001-950719 | 20010629 |
| | | | WO 2001-US21012 | 20010629 |

GI



AB The title compds. [I]; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, (un)substituted alkyl, alkenyl, etc.; R3 = H, (un)substituted alkyl, alkenyl, etc.; R4 = XR; X = CO, SO2, a bond, etc.; R = Ph, naphthyl, indanyl, etc.; R5 = (un)substituted alkyl, (CH2)n-3-cycloalkyl, etc.) useful in treating Alzheimer's disease and other similar diseases, were prepared. Thus, reacting (2R,2S)-3-amino-4-(3,5-difluorophenyl)-2-butanol trifluoroacetate with 5-methyl-N,N-dipropylphthalamic acid in the presence of Et3N, 1-hydroxybenzotriazole and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride in DMF afforded (1S,2R)-II. The compds. I exhibit an IC50 of < 50 μ M against beta-secretase.

MSTR 1



G1 = alkyl <containing 1-6 C> (opt. substd.)
G10 = 405

ACCESSION NUMBER: 136:96075 MARPAT
TITLE: Compounds to treat Alzheimer's disease
INVENTOR(S): Fang, Lawrence Y.; John, Varghese
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 434 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 200202506 | A2 | 20020110 | WO 2001-US20930 | 20010629 |
| WO 200202506 | A3 | 20020829 | | |
| WO 200202506 | C1 | 20031120 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KE, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2410972 | AA | 20020110 | CA 2001-2410972 | 20010629 |
| US 2002016320 | A1 | 20020207 | US 2001-896874 | 20010629 |
| EP 1299352 | A2 | 20030409 | EP 2001-952352 | 20010629 |
| EP 1299352 | B1 | 20051228 | | |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2001011980 | A | 20030506 | BR 2001-11980 | 20010629 |
| US 2003096864 | A1 | 20030522 | US 2001-895871 | 20010629 |
| JP 2004052665 | T2 | 20040129 | JP 2002-507763 | 20010629 |
| NZ 523005 | A | 20041126 | NZ 2001-523005 | 20010629 |
| EP 1586556 | A2 | 20050109 | EP 2005-8935 | 20010629 |
| EP 1586556 | A3 | 20051221 | | |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| AT 314343 | E | 20060115 | AT 2001-952352 | 20010629 |
| ZA 2002009991 | A | 20040503 | ZA 2002-9991 | 20021210 |
| ZA 2003000327 | A | 20040325 | ZA 2003-327 | 20030113 |
| HK 1055721 | A1 | 20051209 | HK 2003-107933 | 20031104 |
| PRIORITY APPLN. INFO.: | | | US 2000-215323P | 20000630 |
| | | | EP 2001-950719 | 20010629 |
| | | | WO 2001-US20930 | 20010629 |

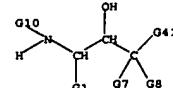
AB The present invention is substituted amines of formula (XV) useful in treating Alzheimer's disease and other similar diseases.

MSTR 1

C(O)=G34=G33=G12
405

G12 = naphthyl (opt. substd.)
G33 = O
G34 = alkylene <containing 1 or more C> (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claims 26, 48, 71, 95, 105, 123, and broader disclosure
Stereochemistry: 6-R,S

6-R,S



G1 = alkynyl <containing 2-6 C, 1-2 double bonds> (opt. substd.)

G10 = 405

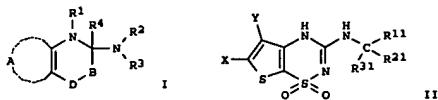
C(O)=G34=G33=G12
405

G12 = naphthyl (opt. substd.)
G33 = O
G34 = alkylene <containing 1 or more C> (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: additional ring formation also claimed
Note: substitution is restricted
Note: also incorporates claims 31, 41, 46, and 51

L3 ANSWER 65 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:79787 MARPAT
 TITLE: Use of potassium channel agonists for reducing fat food consumption
 INVENTOR(S): Hansen, John Bondo; Bjenning, Christina
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002000223 | A1 | 20020103 | WO 2001-DK443 | 20010625 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RM: GH, GN, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BB, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002028808 | A1 | 20020307 | US 2001-891981 | 20010626 |
| US 2002035106 | A1 | 20020321 | US 2001-891691 | 20010626 |
| PRIORITY APPLN. INFO.: | | | DK 2000-987 | 20000626 |
| | | | US 2000-217930P | 20000713 |

GI



AB: The present invention relates to the use of potassium channel agonists for reducing or lowering the consumption of fat food. The present invention also embraces the use of the compds. of general formulas (I) and (II) in reducing or lowering the intake of fat food and methods of using the compds. and their pharmaceutical compns. Diazoxide (30 mg/kg PO) reduced the consumption of a high fat meal (45 kcal/fat) with 5% and a low fat meal (10 kcal/fat) with 42%.

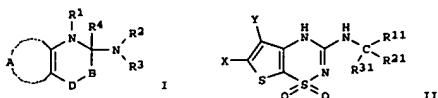
MSTR 1

G7—G12

L3 ANSWER 66 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:79746 MARPAT
 TITLE: Use of potassium channel agonists for the treatment of cancer
 INVENTOR(S): Hansen, John Bondo
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 40 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002000222 | A1 | 20020103 | WO 2001-DK442 | 20010625 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BB, CH, CY, DE, DK, ES, FI, PR, GB, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002028808 | A1 | 20020307 | US 2001-891981 | 20010626 |
| US 2002035106 | A1 | 20020321 | US 2001-891691 | 20010626 |
| PRIORITY APPLN. INFO.: | | | DK 2000-987 | 20000626 |
| | | | US 2000-217930P | 20000713 |

GI



AB: The present invention relates to the use of potassium channel agonists for treating cancer, more particular the treatment and/or prevention of breast cancer and endometrial cancer. The present invention also embraces the use of the compds. of general formulas (I) and (II) in treating cancer and methods of using the compds. and their pharmaceutical compns.

MSTR 1

G7—G12

G12 = 28

L3 ANSWER 65 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G13 = 28

G13—G15

28

G13 = 30

N—G14

30

G14 = alkenyl <containing 2-6 C>

(opt. substnd. by 1 or more G5)

G15 = 52

G27

52—G26

G20 = 38

O—G21

38

G21 = naphthyl

G26 = alkyl <containing 1-18 C>

(opt. substnd. by 1 or more G20)

G27 = 0

Patent location:

Note:

Stereochemistry:

REFERENCE COUNT:

THIS

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

G22 = 0

Patent location: claim 1

Note: or pharmaceutically acceptable acid or base salts,

or tautomers

or isomers or racemic mixtures

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 66 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G13—G15

28

G13 = 30

N—G14

30

G14 = alkenyl <containing 2-6 C>

(opt. substnd. by 1 or more G5)

G15 = 52

G27

52—G26

G20 = 38

O—G21

38

G21 = naphthyl

G26 = alkyl <containing 1-18 C>

(opt. substnd. by 1 or more G20)

G27 = 0

Patent location:

Note:

Stereochemistry:

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

G22 = 0

Patent location: claim 1

Note: or pharmaceutically acceptable acid or base salts,

or tautomers

or isomers or racemic mixtures

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

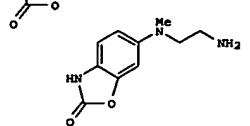
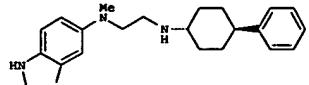
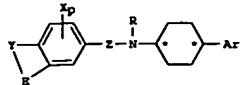
FORMAT

L3 ANSWER 67 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:37615 MARPAT
 TITLE: Preparation of bicyclic cyclohexylamines and their
 use
 INVENTOR(S): as NMDA receptor antagonists
 Deorazio, Russell Joseph; Nikam, Sham Shridhar;
 Scott,
 PATENT ASSIGNEE(S): Ian Leslie; Sherer, Brian Alan; Wise, Lawrence David
 SOURCE: Warner-Lambert Company, USA
 PCT Int. Appl., 62 pp.
 CODEN: PIKXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------------|-----------------|----------|
| WO 2001094321 | A1 | 20011213 | WO 2001-US15605 | 20010514 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MZ, NO, NZ, PL, PT, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM | | | | |
| RW: GH, GR, KE, LS, MW, ME, SD, GL, SZ, TZ, UG, ZW, AT, BB, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CO, CI, CM, GA, GN, GW, HL, MR, NE, SN, TD, TG | | | | |
| CA 2407164 | AA | 20011213 | CA 2001-2407164 | 20010514 |
| AU 2001063130 | A5 | 20011217 | AU 2001-63130 | 20010514 |
| EP 1293581 | A1 | 20030319 | EP 2001-937387 | 20010514 |
| EP 1293581 | B1 | 20050810 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 20023535851 | T2 | 20031202 | JP 2002-501871 | 20010514 |
| BR 200101267 | A | 20031216 | BR 2001-11267 | 20010514 |
| AT 301642 | B | 20050815 | AT 2001-937387 | 20010514 |
| ES 2243500 | T3 | 20051201 | ES 2001-1937387 | 20010514 |
| US 6683101 | A1 | 20031218 | US 2003-297263 | 20021203 |
| US 6683101 | B2 | 20040127 | | |
| PRIORITY APPLN. INFO.: | | US 2000-209485P | 20000606 | |
| | | WO 2001-US15605 | 20010514 | |

GI

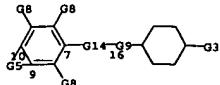
L3 ANSWER 67 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



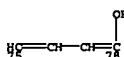
AB Heterocycle-substituted cyclohexylamines I (Ar = (un)substituted aryl with halo, OH or O-alkyl, SH, CN, NO₂, NH-alkyl, OAc or CF₃ group or with 5 to 14 atom heterocycle with 1 to 2 heteroatoms of N, O, or S; E-Y = OC(O)NH, HNC(O)NH, C(O)CHNH, CHAS(O)NH, SCH2C(O)NH, etc.; X = independently selected from H, halogen, NO₂, CN, CF₃, etc.; p = 0-2; Z = (CH₂)_n, CO, SO₂ where n = 1-6, etc.; R = H, alkyl, C(O)(alkyl), OH- or NH-alkyl, alkenylalkyl, etc.; * = cis- or trans- isomer) and their pharmaceutically acceptable salts were prepared I are antagonists of NMDA receptor channel complexes useful for treating cerebral vascular disorders such as, for example, cerebral ischemia, cardiac arrest, stroke, and Parkinson's disease. Thus II was prepared in 17% yield from sarcosine Et ester HCl and 5-fluoro-2-nitrophenol via III which reacted with 4-phenylcyclohexanone in 2-propanol, THF, Et₃N and NaBH₄. In 6-OHDA lesioned rats the min. ED of II required to produce a statistically significant increase in total contraversive rotations compared to rats receiving L-DOPA only was 1.0 μM.

NOTE 1

L3 ANSWER 67 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



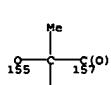
G5 = 75-9 78-10



G9 = 131

N—G10

G10 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds>
 G14 = 155-7 157-16



Patent location: claim 1
 Note: and pharmaceutically acceptable salts
 Note: also incorporates claim 7, formula (II), claim 13, formula (III)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 68 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 135:272894 MARPAT
 TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
 INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew B.
 PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 483 pp.
 CODEN: PIKXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|----------|----------|-----------------|----------|
| WO 2001070734 | A2 | 20010927 | WO 2001-US8336 | 20010315 |
| WO 2001070734 | A3 | 20020314 | | |
| W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| CA 2400168 | AA | 20010927 | CA 2001-2400168 | 20010315 |
| AU 2001050850 | A | 20011003 | AU 2001-50850 | 20010315 |
| EP 1263756 | A2 | 20021211 | EP 2001-924171 | 20010315 |
| B1 | 20040225 | | | |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR | | | | |
| BR 2001009469 | A | 20030429 | BR 2001-9469 | 20010315 |
| JP 2003528097 | T2 | 20030924 | JP 2001-56935 | 20010315 |
| AT 260272 | E | 20040315 | AT 2001-924171 | 20010315 |
| NZ 521245 | A | 20040430 | NZ 2001-521245 | 20010315 |
| ES 2215983 | T3 | 20041016 | ES 2001-1924171 | 20010315 |
| US 2002013341 | A1 | 20020131 | US 2001-811116 | 20010316 |
| US 6495565 | B2 | 20021217 | | |
| HK 1049334 | A1 | 20040716 | HK 2003-101437 | 20030226 |

PRIORITY APPLN. INFO.: US 2000-190183P 20000317

US 2000-323467P 20000926

US 2000-352062P 20001120

WO 2001-US8336 20010315

AB Novel β-amino acid derivs. A-CR3R4aCR2R8NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra: NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Ya is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NR1 (Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form

a ring), CO, CO2, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NR1, S(O)p or CO; Z is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1N(Ra)(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.;

R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R2 and R4a may form rings (with provisos) or a stereoisomer or pharmaceutically acceptable salt were prepared by metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[4-(1-methyl-4-quinolinyl)methoxy]phenyl]acetyl)-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me

L3 ANSWER 68 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and
3-azetidinecarboxylic acid Me ester.

NOTE 1



G11 = quinolinyl (opt. substd.)
G14 = 193-2 193-31 / 38-2 40-31



G15 = carbocycle <containing 3-13 C> (opt. substd.)
G16 = 47-39 48-31



G20 = carbon chain <containing 1-10 C,
0 or more double bonds, 0 or more triple bonds>
G21 = 0
G25 = 226

N—G17

226

G43 = 367-2 368-193

C(O)G44

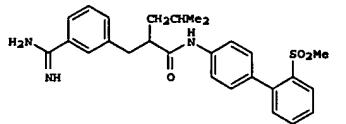
367 368

G44 = carbon chain <containing 1-3 C,
0 or more double bonds, 0 or more triple bonds>
Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted
Note: also incorporates claim 6
Stereochemistry: or stereoisomers

L3 ANSWER 69 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135-257042 MARPAT
TITLE: Substituted biphenyl derivatives for treatment of
thromboembolic diseases
INVENTOR(S): Juraszik, Horst; Dorsch, Dieter; Mederski, Werner;
Tsaklidis, Christos; Barnes, Christopher; Gleitz,
Johannes
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| WO 2001070678 | A3 | 20010927 | WO 2001-EP3375 | 20010323 |
| WO 2001070678 | A3 | 20020404 | | |
| W: CA, JP, US
RM: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, ND,
PT, SE, TR | | | | |
| DE 10014645 | A1 | 20010927 | DE 2000-10014645 | 20000324 |
| CA 2403500 | AA | 20030918 | CA 2001-2403500 | 20010323 |
| EP 1266413 | A2 | 20030103 | EP 2001-927797 | 20010323 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY, TR | | | | |
| JP 2003528077 | T2 | 20030924 | JP 2001-568890 | 20010323 |
| US 200420241 | A1 | 20041104 | US 2003-239397 | 20030114 |
| US 6946489 | B2 | 20050920 | | |
| PRIORITY APPLN. INFO.: | | | DE 2000-10014645 | 20000324 |
| | | | WO 2001-EP3375 | 20010323 |

GI



AB Biphenyl derivs. which have factor Xa and VIIa inhibitory effects and can thus be used for the treatment and prevention of thromboembolic diseases such as thromboses, myocardial infarction, arteriosclerosis, inflammations, aoplexy, angina pectoris, restenosis after angioplasty and intermittent claudication (no data) are reported. Thus, 3-HOC6H4CN was treated with Et 2-bromovaleate, followed by ester hydrolysis and reaction with 2-MeSO2C6H4C6H4NH2-4 to give the amide which was treated with

L3 ANSWER 69 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
H2NOH.HCl to give the amidine I.

NOTE 1



G3 = 164

G16-G18

164 165

G7 = 152

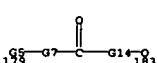
N—G8

152

G8 = 154 / 157

G9-G11-G10 159-G22-G9-G23-G10

G9 = alkylene <containing 1 or more C>
(opt. subst. by (1-7) F)
G14 = G15
G15 = (1-3) CH2
G16 = 179-3 183-165



G18 = naphthyl (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts and solvates

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 135-210838 MARPAT

Preparation of
4-(amidinophenoxyacylamino)biphenyl-2'-
sulfonamides and related compounds as Factor Xa and
VIIa inhibitors.
INVENTOR(S): Dorsch, Dieter; Juraszik, Horst; Mederski, Werner;
Tsaklidis, Christos; Bernatow-Danielowski, Sabine;
Meitzer, Guido; Gleitz, Johannes; Barnes, Christopher;
Vickers, James
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIIXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| WO 2001062717 | A1 | 20010830 | WO 2001-EP2034 | 20010222 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GR, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KS, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MO, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10008329 | A1 | 20010830 | DE 2000-10008329 | 20000223 |
| CA 2399018 | AA | 20010830 | CA 2001-2399018 | 20010222 |
| BR 2001008607 | A | 20021119 | BR 2001-8607 | 20010222 |
| EP 1257530 | A1 | 20021120 | EP 2001-927690 | 20010222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003524651 | T2 | 20030819 | JP 2001-561727 | 20010222 |
| ZA 2002005482 | A | 20031009 | ZA 2002-5482 | 20020709 |
| US 2003135055 | A1 | 20030717 | US 2002-204455 | 20020821 |
| NO 2002003998 | A | 20020822 | NO 2002-3998 | 20020822 |
| PRIORITY APPLN. INFO.: | | | DE 2000-10008329 | 20000223 |
| | | | WO 2001-EP2034 | 20010222 |

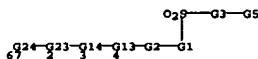
GI



AB Title compds. (I; R1 = (substituted) C(:NH)NH2, NHC(:NH)NH2, etc.; R2 = N(R5)2, NR5COA, NR5CO2R5; X = O, NR5, CONR5, NSO2Ar, NSO2Het; W = (CR6R7)n, 1,3-phenylene, 1,4-phenylene, etc.; V = [C(R6)2]m; A = (fluoro-substituted) (O- or S-interrupted) alkyl, alkenyl; Ar, Ar1 =

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 (substituted) Ph, naphthyl; Het = (substituted) mono- or bicyclic heterocyclyl; I = 0-5; m = 0, 1; n = 0-2; R3, R4 = H, A, ORS, B(R5)2, NO2, cyano, halo, etc.; R5 = H, A, C(R6R7)Ar1, C(R6R7)Het; R6, R7 = H, A, (CH2)nAr1, were prep'd. for treatment of thrombosis, myocardial infarct, arteriosclerosis, inflammation, apoplexy, angina, restenosis, and intermittent claudication (no data). Thus,
 3-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]propionic acid (prepn. given),
 2'-tert-butylsulfamoylbiphen-4-ylamine, N-(3-dimethylaminopropyl)-N'-ethylcarbodiimide hydrochloride, 1-hydroxybenzotriazole, and 4-methylmorpholine were stirred in DMF to give 3-[3-(3-carbamimidoylphenyl)propionic acid (2'-sulfamoylbiphenyl-4-yl)amide trifluoroacetate.

NOTE 1



G4 = alkenyl <containing up to 20 C, 1-2 double bonds>
 (opt. substd. by (1-7) F)
 G13 = bond
 G14 = 46-2 45-4

G17-C(O)G16

G16 = 42

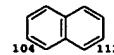
N—G4

G17 = 47-2 46-44

G18—G18

G18 = CH2 (opt. substd.)
 G23 = 104-67 112-3

L3 ANSWER 70 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



Patent location: claim 1
 Note: and pharmaceutically acceptable salts and solvates
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 71 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 135:137529 MARPAT
 TITLE: Preparation of axepine derivatives as VLA-4 antagonists
 INVENTOR(S): Ikegami, Satoru; Inoguchi, Kiyoshi; Fukui, Hideyo; Sumita, Yuji; Maruyama, Tatsuya; Watanuki, Mitsuru
 PATENT ASSIGNEE(S): Kaken Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 62 pp.
 CODEN: PIXXD2

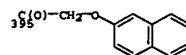
DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001055121 | A1 | 20010802 | WO 2001-JP521 | 20010126 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRIORITY APPLN. INFO.: | | | JP 2000-20358 | 20000128 |

GI

L3 ANSWER 71 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G28 = 16-49 8-6

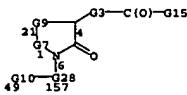
G5—G4—CH2

Patent location: claim 1
 Note: or salts
 REFERENCE COUNT: 3 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1 = H, alkyl, aryl; R2 = H, (CH2)3COOC; R3 = alkyleno, divalent aromatic hydrocarbon derivs.; R4 = H, alkyl; X = aromatic hydrocarbon; m = 1, 2, 3; Y = N, O; Z = R8R7R6A1; A1 = CH2, SO2; R6 = alkyleno, divalent arylalkane derivs.; R7 = CH2, CO; R8 = alkyl, arylalkyl] and salts are prepared. Title compds. or salts of title compds. are used as the active ingredient in remedies having peroral absorbability and exhibiting VLA-4 antagonism. Thus, the title compound II was prepared and biol. tested for VLA-4 antagonism.

NOTE 1



G4 = alkenylene <containing 2-6 C>
 G5 = NH

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 135.137526 MARPAT

TITLE: Preparation of isothisazolylquinoxalines and related compounds as insecticides, acaricides, nematocides, and molluscicides.

INVENTOR(S): Pilkington, Brian Leslie; Armstrong, Sarah; Barnes, Nigel John; Barnett, Susan Patricia; Clarke, Eric Daniel; Crowley, Patrick Jelf; Fraser, Torquil Eoghan Macleod; Hughes, David John; Mathews, Christopher John; Salmon, Roger; Smith, Stephen Christopher; Viner, Russell; Whittingham, William Guy; Williams, John; Whittle, Alan John; Mound, William Roderick; Urch, Christopher John

PATENT ASSIGNEE(S): Syngenta Limited, UK; Pilkington, Joan SOURCE: PCT Int. Appl., 115 pp.

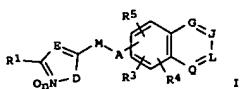
CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001055140 | A1 | 20010802 | WO 2001-GB308 | 20010126 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LU, LV, MA, MD, MG, MK, MO, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SR, SS, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CH, QA, GN, GW, ML, MR, NE, SN, TD, TO | | | | |

PRIORITY APPLN. INFO.: GB 2000-2033 20000128

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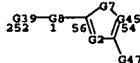


AB Title compds. [I; n = 0, 1; D = S, NR₇, CR14:CR15, CR14:N, CR14:N(O), N(CR15, N(O)):CR15; E = N, NO, CR2; G, J, L, O = N, NO, CR6 provided that not all = N or CR6; M = OC(:Y), N-C(R8), N-PC(SR9), N-C(HR10R11), N(R12)C(:Y); R1 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cyano, NO₂, SF₅, etc.; R2 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO₂, etc.; R6 = H, halo, etc.; or R1R2 = atoms to form 5-7 membered (substituted) (heterocyclic) ring; R3, R4, R5 = H, halo, (substituted) alkyl, alkylcarbonyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO₂, etc.; R6 = H, halo, etc.; or R1R2 = atoms to form 5-7 membered (substituted) (heterocyclic) ring; R3, R4, R5 = H, halo, (substituted) alkyl, alkylcarbonyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO₂, etc.; R6 = H, halo, etc.]

CHO,

etc.; or R1R2 = atoms to form 5-7 membered (substituted) (heterocyclic) ring; R3, R4, R5 = H, halo, (substituted) alkyl, alkylcarbonyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO₂, etc.; R6 = H, halo, etc.

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

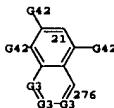
G11 = alkylene <containing 1-6 C>
(opt. substn. by 1 or more G14)

G37 = propargyl

G39 = 76-1 77-3

G11-G52

G49 = 21-2 276-4



G52 = 0

Patient location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: and N-oxides
Note: also incorporates claim 9

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 72 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
R1 = cyano, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkoxycarbonyl, CHO, etc.; R7 = alkyl; R8 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, amino, alkylcarbonyl, etc.; R9 = (substituted)alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R10, R11 = (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R12 = H, (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R13 = H, halo, cyano, NO₂, (substituted) alkyl, alkenyl, alkynyl, alkoxy, were prep'd. Thus, (2,3-dimethylquinoxalin-6-yl)acetic acid (prep. given) was refluxed with (COCl)₂ in ClCH₂CH₂Cl followed by addn. of 5-amino-4-chloro-3-methylisothiazole in xylene and reflux for1.5 h to give N-(4-chloro-3-methylisothiazol-5-yl)-(2,3-dimethylquinoxalin-6-yl)acetamide. Several I at 500 ppm gave 80-100% control of *Pluteella xylostella*,

NOTE 1

G10-G1

G1 = 131

G49-G4

G3 = 379

G8 = 75-56 72-252

G52
72 75

G9 = 171

N = G37

G10 = 252

L3 ANSWER 73 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134.295624 MARPAT

TITLE: Preparation of benzene derivatives as preventive or therapeutic drugs for diabetes

INVENTOR(S): Yano, Toshiyada; Sakaguchi, Isako; Katsuura, Goro

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001024786 A1 20010412 WO 2000-JP2992 20000510

W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TO

CA 2372715 AA 20010412 CA 2000-2372715 20000510

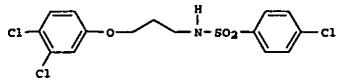
EP 1190710 A1 20020327 EP 2000-927740 20000510

R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO

PRIORITY APPLN. INFO.: JP 1999-132375 19990513

WO 2000-JP2992 20000510

GI

AB Title compds. [A(CH₂)_mX₁(CH₂)_nX₂B; A = aryl, heteroaryl; B = alkyl, aryl, X₁ = O, S, NR; R = H, alkyl; X₂ = NHCO, CONH, NHCONH, SO₂, NHSO₂; m = 0, 1, 2, 3; n = 2, 3, 4, 5] are prepared and are useful as preventive or therapeutic drugs for diabetes. Thus, the title compound I was prepared and biol. tested.

NOTE 1

G1—G3—G12—G5—G2

G1 = naphthyl
G2 = loweralkenyl (substn. by G20)
G3 = O

L3 ANSWER 73 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G5 = 13-4 14-6



G12 = alkylene <containing 2-5 C, unbranched>
 Patent location: claim 1
 Note: or prodrugs, pharmaceutically acceptable salts or solvates

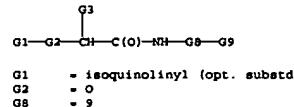
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 74 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134-266104 MARPAT
 TITLE: Preparation of heteroarylxyloxy(thio)alkanecarboxamides and their use as agrochemical fungicides
 INVENTOR(S): Masuda, Katsumi; Urushihata, Ikumi; Matsumoto, Katsunori; Yamakura, Norihisa; Kose, Katsumi; Toyoshima, Atsushi; Yamakura, Kazuo; Muramatsu, Morimitsu
 PATENT ASSIGNEE(S): Kunisi Chemical Industry Co., Ltd.; Ihara Chemical Industry Co., Ltd.
 SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
 JP 2001089453 A2 20010403 JP 1999-266612 19990921
 PRIORITY APPLN. INFO.: JP 1999-266612 19990921
 AB MACHR1CONNHCR2R3O [W = (un)substituted heteroaryl; A = O, S; R1 = H, Cl-6 alkyl, Cl-6 cycloalkyl; R2 = Cl-6 alkyl, Cl-6 cycloalkyl; R3 = Cl-6 alkyl, Cl-6 (un)substituted cycloalkyl, etc.; CR2R3 may form 5- to 7-membered (Cl-6 alkyl-substituted) cycloalkyl; Q = ethynyl, cyano, COR4, CHROH; R4 = Cl-6 alkyl, Cl-6 haloalkyl, (un)substituted Cl-6 cycloalkyl] are prepared. The heteroaryl compds. show strong long-lasting antifungal activity without harming crops, and also good rain resistance. Thus, condensation of 1-(4-chlorophenyl)-5-hydroxy-3-methylpyrazole with 2-bromo-N-(1-cyano-1,2-dimethylpropyl)propionamide gave 2-(1-(4-chlorophenyl)-3-methylpyrazol-5-yloxy)-N-(1-cyano-1,2-dimethylpropyl)propionamide, which showed 100% antifungal activity against Pyricularia oryzae.

NOTE 1



G9 = ethynyl
 Patent location: claim 1

L3 ANSWER 75 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

L3 ANSWER 75 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134-237397 MARPAT
 TITLE: Preparation of alkanoic acid derivatives as novel class of cytodifferentiating agents and histone deacetylase inhibitors, and methods of use thereof
 INVENTOR(S): Richon, Victoria M.; Marks, Paul A.; Rifkind, Richard A.; Breslow, Ronald; Belvedere, Sandro; Gershell, Leland; Miller, Thomas A.
 PATENT ASSIGNEE(S): Sloan-Kettering Institute for Cancer Research, USA; Trustees of Columbia University in the City of New York
 SOURCE: PCT Int. Appl., 142 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2001018171 A2 20010315 WO 2000-US23232 20000824
 NO 2001018171 A3 20020627
 W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NL, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KO, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2383999 AA 20010315 CA 2000-2383999 20000824
 AU 2000069327 A5 20010410 AU 2000-69327 20000824
 EP 1231919 A2 20020821 EP 2000-957757 20000824
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
 BR 2000014254 A 20020827 BR 2000-14254 20000824
 US 6511990 B1 20030128 US 2000-645430 20000824
 JP 2003509343 T2 20030311 JP 2001-522383 20000824
 NZ 517613 A 20040130 NZ 2000-517613 20000824
 ZA 2002001544 A 20021010 ZA 2002-1544 20020225
 US 2004002506 A1 20040101 US 2002-281875 20021025
 PRIORITY APPLN. INFO.:

AB The present invention provides the compound having formula $\text{R1NHCOCH}(\text{AR2})(\text{CH}_2)\text{nCONHOH}$ (wherein each of R1 and R2 is, substituted or unsubstituted, aryl, cycloalkyl, cycloalkylamino, naphtha, pyridineamino, piperidino, tert-Bu, aryloxy, arylalkoxy, or pyridine group; wherein A is an amide moiety, O, S, NH, or CH_2 ; and wherein n is an integer from 3 to 8). The present invention also provides a method of selectively inducing growth arrest, terminal differentiation and/or apoptosis of neoplastic cells and thereby inhibiting proliferation of such cells. Moreover, the present invention provides a method of treating a patient having a tumor characterized by proliferation of neoplastic cells. Lastly, the present invention provides a pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically acceptable amount of the compound above. Thus, N-benzoyl-L- α -aminosuberateanilide,

L3 ANSWER 75 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 i.e. PhCO-Asu(NHOH)-NHPh (I), I and
 PhCH₂O₂C-Asu(NHOH)-NHR (R = quinolin-8-yl) showed activity of murine
 erythroleukemia cell (MEL) differentiation at 200 and 40 nM, resp., and
 inhibited histone deacetylase (HDAC) with ID₅₀ of 1 and <10 nM, resp.

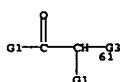
NOTE 1



G1 = 27 / 34



G7 = 0
 G8 = naphthyl (opt. substd.) /
 carbon chain >0 or more double bonds, no triple bonds
 (opt. substd.)
 G9 = 61



Patent location: claim 1
 Note: or pharmaceutically acceptable salts

L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134-222728 MARPAT
 TITLE: Preparation of pyrimidine derivatives as herbicides
 INVENTOR(S): Yasuda, Atsushi; Takabe, Fumiaki; Urushibata, Ikumi;
 Yamaguchi, Mikio; Yamaji, Yoshihiro; Fujinami,

Makoto; Miyazawa, Takeshi
 PATENT ASSIGNEE(S): Kumai Chemical Industry Co., Ltd., Japan; Ihara
 Chemical Industry Co., Ltd.
 SOURCE: PCT Int. Appl., 159 pp.
 CODEN: PIKKD2

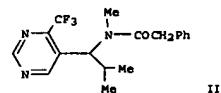
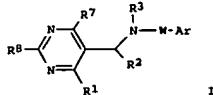
DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2001017975 | A1 | 20010315 | WO 2000-JP6165 | 20000908 |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GB, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KR, LZ, LA, LS, LT, LU,
LV, MA, MD, MG, MM, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
ZA, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM,
RW: GH, GA, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2384354 | AA | 20010315 | CA 2000-2384354 | 20000908 |
| EP 1211246 | A1 | 20020605 | EP 2000-957066 | 20000908 |
| EP 1211246 | B1 | 20040225 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL,
ES 2215712 | T3 | 20041016 | ES 2000-957066 | 20000908 |
| US 6806230 | B1 | 20041019 | US 2002-70804 | 20020311 |
| PRIORITY APPLN. INFO.: | | | JP 1999-255029 | 19990909 |
| | | | WO 2000-JP6165 | 20000908 |

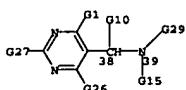
GI

L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB Title pyrimidine derivs. [I; R1 represents hydrogen, alkyl, haloalkyl, etc.; R2 represents alkyl, optionally substituted Ph, etc.; R3 represents hydrogen, alkyl, alkynyl, etc.; R7 represents hydrogen, halogeno, alkyl, etc.; R8 represents hydrogen, alkyl, etc.; W represents C(=O)Z or SO₂ (wherein Q represents O or S; and Z represents O, S, C(R4)R5, NR6, etc. (wherein R4 and R5 represent each hydrogen, alkyl, alkoxy, etc.; and R6 represents hydrogen or alkyl); and Ar represents optionally substituted Ph, optionally substituted pyridyl, etc.)] which have an excellent herbicidal activity and a selectivity on crops from weeds are prepared and herbicides containing these pyrimidine derivs. as the active ingredient are discussed. Thus, the title compound II was prepared and tested.

NOTE 1



G10 = alkenyl <containing 2-6 C>
 G16 = 94-39 95-41



G17 = O
 G18 = 108-94 109-41 / 110-94 111-41

L3 ANSWER 76 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

108-109 110-111

G22 = 112



G23 = 0
 G25 = naphthyl (opt. substd.)
 G29 = 40

G16-G25

G16-G25

40-41

Patent location: claim 1
 Note: additional ring formation also claimed
 Note: also incorporates claim 6
 Note: substitution is restricted

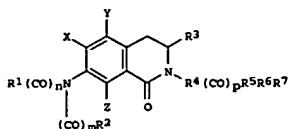
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134:193349 MARPAT
 TITLE: Preparation and antimicrobial activities of combinatorial libraries of 4-unsubstituted dihydroisoquinolinone derivatives
 INVENTOR(S): Motesharei, Kianoush; Lebel, Michal; Krchnak, Viktor;
 MI, Yidong
 PATENT ASSIGNEE(S): Tregis Biosciences, Inc., USA
 SOURCE: PCT Int. Appl., 162 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001014879 | A1 | 20010301 | WO 2000-US20774 | 20000728 |
| RW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| US 6452009 | B1 | 20020917 | US 1999-378569 | 19990819 |
| EP 1210598 | A1 | 20020605 | EP 2000-955267 | 20000728 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI, CY | | | | |

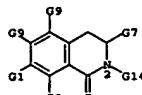
PRIORITY APPLN. INFO.: US 1999-378569 19990819
 WO 2000-US20774 20000728

GI



AB Dihydroisoquinolinones I [R1, R2 = H, alkyl, alkenyl, Ph, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 = -, DME and M = -, cycloalkyne, arylene, etc. and D and E = -, alkylene, alkynylene, etc.; R5 = -, O, S, amino; R6 = -, alkylene, alkenylene; R7 = H, halide, OR13, CO2R13, etc.; X, Y, Z = H, halo, OH, cyano, nitro, etc.; m, n, p = 0, 1 and when 0 the absent carbonyl can be replaced with SO2] were prepared. Thus, bromoacetic acid was coupled to a resin and the resulting compds. were coupled with 1,4-Boc-NH-CH2-Ph-COOH, deprotected, and reacted with an aldehyde. The resulting compds. were then reacted with 4-nitrophthalic acid, reduced with tin chloride, and the compds. were reacted with a carboxylic acid. The resulting compds. were then cleaved and extracted. The melanocortin receptor assay and antimicrobial activity of I were investigated.

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 MSTR 1



G1 = 13

G2 = G3

G2 = 15

G3 = G3

G3 = alkenylcarbonyl containing 2-12 C>
(opt. subst.) / 65

C(O)G25

G17 = 306-2 311-47

G36-G37-G36-C(O)G35-C(O)G31

G25 = 93

H3C = G27

G27 = 95

O = G28

G28 = 2-naphthyl

G36 = bond

G37 = bond

Patent location:

Note: claim 1

Note: or pharmaceutically acceptable salts

additional substitution and ring formation also

L3 ANSWER 77 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 claimed
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 78 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:174246 MARPAT
 TITLE: Preparation of pyridine derivative fungicides
 INVENTOR(S): Cooke, Tracey; Hardy, David; Moloney, Brian; Thomas, Peter Stanley; Steele, Chris Richard; Briggs, Geoffrey

PATENT ASSIGNEE(S): Aventis CropScience GmbH, Germany
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIKDD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001011965 | A1 | 20010222 | WO 2000-EP8143 | 20000809 |
| W: AB, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, ID,
IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE,
SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,
ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MM, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IS, IT, LU, MC, NL, PT, SE, BP, BJ,
CP, CO, CI, CM, GA, GN, GW, IL, MR, NE, SN, TD, TG | | | | |
| BR 2000013371 | A | 20020507 | BR 2000-13371 | 20000809 |
| EP 1204323 | A1 | 20020515 | EP 2000-960499 | 20000809 |
| EP 1204323 | B1 | 20040714 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| JP 2003506465 | T2 | 20030218 | JP 2001-516328 | 20000809 |
| AT 270817 | B | 20040715 | AT 2000-960499 | 20000809 |
| PT 1204323 | T | 20041130 | PT 2000-960499 | 20000809 |
| ES 2220533 | T3 | 20041216 | ES 2000-960499 | 20000809 |
| US 6821992 | B1 | 20041123 | US 2002-49976 | 20020709 |

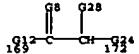
PRIORITY APPLN. INFO.: GB 1999-19499 19990818
 GB 1999-19500 19990818
 WO 2000-EP8143 20000809

AB The pyridine derive. A1CR1R2LA2 [A1 = (un)substituted 2-pyridyl or its N-oxide; Y = LA2 or L1A3; A2, A3 = (un)substituted carbocycl or heterocycl; L = NR5C(:X)N6, NR5C(:X)CHR3, CHR3NR5CHR4, etc.; L1 = NR5C(:X)CHR7, NR5C(:X)CHR7CHR8, etc.; R1-9 = CN, NO2, halo, etc.] are prepared as agrochem. fungicides.

MSTR 1

G8 = 0
G12 = 97

L3 ANSWER 78 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

97
G13G13 = acyl
G21 = 143G23-G22
143 144G22 = quinolinyl
G23 = 169-2 172-144

G24 = O
 Patent location: claim 1
 Note: additional ring formation also claimed
 Note: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

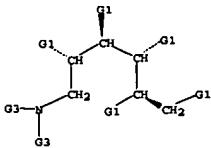
L3 ANSWER 79 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134:141721 MARPAT
 TITLE: N-Substituted glucamine compounds for treating hepatitis virus infections
 INVENTOR(S): Mueller, Richard A.; Bryant, Martin L.; Partis, Richard A.
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: PCT Int. Appl., 148 pp.
 CODEN: PIKKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------|----------|--|--------------------------|
| WO 2001008672 | A2 | 20010208 | WO 2000-US3816 | 20000214 |
| M: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NZ, SN, TD, TO | CA 2362785 | AA | 20010208 | CA 2000-2362785 20000214 |
| EP 1173161 | A2 | 20020123 | EP 2000-917640 | 20000214 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | US 6515028 | B1 | 20030204 | US 2000-503865 20000214 |
| JP 2003050501 | T2 | 20030212 | JP 2001-513402 | 20000214 |
| US 2003195229 | A1 | 20031016 | US 2002-322045 | 20021217 |
| US 6747149 | B2 | 20040608 | PRIORITY APPLN. INFO. : US 1999-119836P 19990212
US 1999-119858P 19990213
US 2000-503865 20000214
WO 2000-US3816 20000214 | |

AB N-Substituted glucamine compds. (Markush included) are effective in treatment of hepatitis infections, including hepatitis B and hepatitis C. In treating hepatitis infections, the compds. of the invention may be used alone or in combination with another antiviral agent selected from nucleosides, nucleotides, immunomodulators, immunostimulants, or various combinations of such other agents. Preparation of e.g. 1,5-(butylimino)-1,5-dideoxy-D-glucitol tetraacetate is described.

NOTE 1

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G3 = 26

C(O)G12
26

G5 = naphthyl (opt. substd.)
 G12 = alkaryl <containing 2-20 C> (opt. substd. by G16) / alkyl <containing 1-20 C> (opt. substd. by 1 or more G13)
 G13 = 28

G5

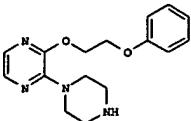
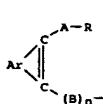
Patent location: claim 1
 Note: or pharmaceutically acceptable salts
 Note: substitution is restricted
 Note: additional ring formation also claimed

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 134:56689 MARPAT
 TITLE: Preparation of pyrazinyl phenoxyethyl ethers as 5-HT2C receptor modulators
 INVENTOR(S): Nilsson, Bjorn; Tejbrent, Jan; Pelzman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas;
 Jonsson, Mattias
 PATENT ASSIGNEE(S): Pharmacia & Upjohn AB, Swed.
 SOURCE: PCT Int. Appl., 151 pp.
 CODEN: PIKKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | |
|---------------|------|----------|---|--------------------------|--------------------------|----------|--------------------------|
| WO 2000076984 | A2 | 20011221 | WO 2000-SB1017 | 20000519 | | | |
| WO 2000076984 | A3 | 20010208 | W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NZ, SN, TD, TO | CA 2374898 | AA | 20011221 | CA 2000-2374898 20000519 |
| EP 1178973 | A2 | 20020113 | EP 2000-931877 | 20000519 | | | |
| EP 1178973 | B1 | 20051221 | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY | EP 2000-931877 | 20000519 | | |
| EP 1178973 | B2 | 20051221 | BR 2000-10783 20000519 | JP 2001-503842 20000519 | JP 2001-503842 20000519 | | |
| EP 1178973 | E2 | 20051221 | NZ 2000-515786 20000519 | NZ 2000-515786 20000519 | NZ 2000-515786 20000519 | | |
| EP 1178973 | E3 | 20051221 | AU 2000-49690 20000519 | AU 2000-49690 20000519 | AU 2000-49690 20000519 | | |
| EP 1178973 | E4 | 20051221 | AT 2000-931877 20000519 | AT 2000-931877 20000519 | AT 2000-931877 20000519 | | |
| EP 1178973 | E5 | 20051221 | ZA 2001-9571 20011120 | ZA 2001-9571 20011120 | ZA 2001-9571 20011120 | | |
| EP 1178973 | E6 | 20051221 | NO 2001-5686 20011121 | NO 2001-5686 20011121 | NO 2001-5686 20011121 | | |
| EP 1178973 | E7 | 20051221 | AU 2004-202227 20040524 | AU 2004-202227 20040524 | AU 2004-202227 20040524 | | |
| EP 1178973 | E8 | 20051221 | SE 1999-1884 19990521 | SE 1999-1884 19990521 | SE 1999-1884 19990521 | | |
| EP 1178973 | E9 | 20051221 | US 1999-137527P 19990603 | US 1999-137527P 19990603 | US 1999-137527P 19990603 | | |
| EP 1178973 | E10 | 20051221 | AU 2000-49690 20000519 | AU 2000-49690 20000519 | AU 2000-49690 20000519 | | |
| EP 1178973 | E11 | 20051221 | WO 2000-SB1017 20000519 | WO 2000-SB1017 20000519 | WO 2000-SB1017 20000519 | | |

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L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



II

AB The title compds. (I) [wherein Ar = (un)substituted (hetero)aryl; A = O, S, SO₂, NH, alkyl- or acyl-substituted N, or (un)saturated, (un)substituted, (hetero)alkylene chain which may contain a bridge to form a ring; B = CR₄RS, CR₄R₅, NR₆CR₄R₅, NR₆O, S, or SO₂; R = (un)substituted cycloalkyl or (hetero)aryl; n = (un)saturated (amino)azacyclic or saturated (amino)diazacyclic, (amino)azabicyclic, or diazabicyclic ring, or (CR₄R₅)_xNR_{2a}R_{3a}; n = 0-1; R_{2a} and R_{3a} = independently H, Me, or Et, or taken together with the N to which they are bound form a pyrrolidine, piperazine, or morpholine ring; R₄, R₅, and R₆ = independently H or alkyl; x = 2-4] and their pharmaceutically acceptable salts were prepared and tested as 5-HT_{2C} receptor modulators. Examples include 235 syntheses, a tablet formulation, and pharmacological tests. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K₂CO₃ were stirred and heated to afford the desired 2-(phenoxyethyl)-3-(1-piperazinyl)-2-pyrazinyl ether (III) in 65% yield, which was then converted to the maleate salt. In an affinity assay using membranes prepared from a transfected HEK293 cell line stably expressing the 5-HT_{2C} receptor protein, I typically exhibited receptor affinity values (K₁) ranging from 1 nM to 1500 nM. Specific values ranging from 5 nM to 377 nM were reported for 12 compds. Agonist efficacy at the 5-HT_{2C} receptor for I were determined by the ability of the compds. to mobilize intracellular Ca in transfected HEK293 cells, and typical maximum responses of the agonists were in the range of 20-100% relative to the maximum response of 5-HT (serotonin) at a concentration of 1 μM. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body weight. I are useful for the treatment of serotonin-related disorders, such as eating disorders, especially obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

MSTR 3

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

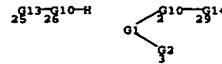
Note: additional derivatization also claimed

Note: substitution is restricted

L3 ANSWER 80 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G3—G8

G3 = 25 / 29



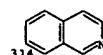
G4 = 27

C(O)G16

G5 = carbon chain <containing 1 or more C>
G6 = 0
G7 = 17

G8=O

G8 = 314



G10 = 6

N—G4

G13 = 10-5 11-26 / 14-5 16-26

G14 = 10-11 14-15 16-17

G14 = 31-2 32-5 / 37-2 35-5

G16 = carbon chain <containing 1-5 C>

Patent location: claim 57

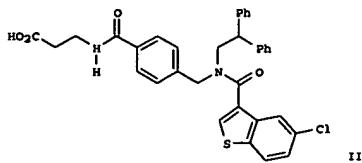
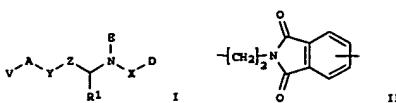
L3 ANSWER 81 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 134:4764 MARPAT

TITLE: Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists
INVENTOR(S): Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry Kenneth; Lau, Jasper; Madsen, Peter; Sama, Christian; Behrens, Carsten; Vagner, Josef; Christensen, Inge Thøger; Lundt, Behrend Frederik; Sidellmann, Ulla Grove; Thøgeresen, HenningPATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 564 pp.DOCUMENT TYPE: Patent
LANGUAGE: EnglishFAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

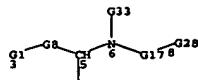
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000069810 | A1 | 20001123 | WO 2000-DK264 | 20000516 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CO, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6503949 | BI | 20000516 | US 2000-572553 | 20000516 |
| CA 2373892 | AA | 20001123 | CA 2000-2373892 | 20000516 |
| EP 1183229 | A1 | 20020306 | EP 2000-926725 | 20000516 |
| EP 1183229 | BI | 20051026 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000010651 | A | 20020319 | BR 2000-10651 | 20000516 |
| JP 2002544254 | T2 | 20021224 | JP 2000-618228 | 20000516 |
| AT 307798 | E | 20051115 | AT 2000-926725 | 20000516 |
| ZA 2001008560 | A | 20020613 | ZA 2001-8560 | 20011018 |
| NO 2001005607 | A | 20020117 | NO 2001-5607 | 20011116 |
| US 2003220350 | A1 | 20031127 | US 2002-233851 | 20020830 |
| US 6875760 | B2 | 20050405 | | |
| US 2005203108 | A1 | 20050915 | US 2004-980199 | 20041103 |
| PRIORITY APPLN. INFO.: | | | DK 1999-684 | 19990517 |
| | | | DK 2000-478 | 20000321 |
| | | | US 1999-134415P | 19990517 |
| | | | US 2000-191685P | 20000323 |
| | | | US 2000-572553 | 20000516 |
| | | | WO 2000-DK264 | 20000516 |
| | | | US 2002-233851 | 20020830 |

GI



AB The title compds. [I; V = CO₂R₂, CONR₂R₃, CONR₂OR₃, etc. (wherein R₂, R₃ = H, alkyl); A = (CH₂)_n(CR₈R₉)_bNR₇, (CR₈R₉)_b(CH₂)_nR₇, (CR₈R₉)_b(CH₂)_n, etc. (b = 0-1; n = 0-3; R₇ = H, alkyl, (cycloalkyl)alkyl; R₈, R₉ = H, alkyl); Y = CO, SO₂, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms selected from N, O and S; or ANY together = II; R₁ = H, alkyl; X = CO(CR₁₃R₁₄)_r(CH₂)_s, SO₂(CR₁₃R₁₄)_r(CH₂)_s, CO₂(CR₁₃R₁₄)_r(CH₂)_s, etc. (r = 0-1; s = 0-3; R₁₃, R₁₄ = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.) which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

MSTR 1A



G17 = 218-6 220-8

^{G18}C(O)G26-O^{G20}

G26 = alkylene <containing 1 or more C>
G28 = naphthyl (opt. substd.)
G33 = 791

^{G36}G39
791

G36 = alkenylene <containing 2 or more C, 1 double bond>
Patent location: claim 1
Note: additional ring formation also claimed
Note: or tautomeres, or pharmaceutically acceptable salts
Stereochemistry: and isomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 82 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 133:335167 MARPAT
TITLE: Preparation of diaryl carboxylic acids and derivatives as peroxisome proliferator-activated receptor ligands.
INVENTOR(S): Jayyosi, Zaid; McGeehan, Gerard M.; Kelleher, Michael P.; Labaudiniere, Richard F.; Zhang, Litao; Groneberg, Robert D.; McGarry, Daniel G.; Caulfield, Thomas J.; Minnich, Anne; Bobko, Mark
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000064888 | A1 | 20001102 | WO 2000-US11833 | 20000428 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, LC, LX, LS, LT, LV, MA, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2370250 | AA | 20001102 | CA 2000-2370250 | 20000428 |
| EP 1177187 | A1 | 20020206 | EP 2000-928698 | 20000428 |
| R: AT, BR, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 2000010605 | A | 20020213 | BR 2000-10605 | 20000428 |
| EE 200100556 | A | 20030217 | EE 2001-556 | 20000428 |
| NZ 515086 | A | 20031031 | NZ 2000-515086 | 20000428 |
| AU 781266 | B2 | 20050512 | AU 2000-46895 | 20000428 |
| RU 2267484 | C2 | 20060110 | RU 2001-132080 | 20000428 |
| US 6635655 | B1 | 20031021 | US 2000-662649 | 20000914 |
| NO 2001005075 | A | 20011123 | NO 2001-5075 | 20011018 |
| ZA 2001008798 | A | 20030305 | ZA 2001-8798 | 20011024 |
| HR 200100795 | A1 | 20030228 | HR 2001-795 | 20011026 |
| PRIORITY APPLN. INFO.: | | | US 1999-131455P | 19990428 |
| | | | WO 2000-US11833 | 20000428 |

AB Ar1(CR1R2)Ar2(CR5R6)cB(CR7R8)dEZ[Ar1, Ar2 = aryl, fused arylcycloalkenyl, fused arylcycloalkyl, fused arylheterocycloalkenyl, fused arylheterocyclic, heterocaryl, fused heterocarylcycloalkenyl, fused heterocarylcycloalkyl, fused heterocarylheterocyclyl, etc.; A = O, S, SO, SO₂, NR13, CO, NR14CO, CONR15, NR14CONR15, CR14:N, bond, etc.; B = O, S, NR19, bond, CO, NR20CO, CONR20; E = bond, CH₂CH₂; Z = R2102C, R210C, cycloimide, cyano, R2102SHNCO, R2102SHN, (R21)2NCO, R210-substituted 2,4-thiazolidinedionyl, tetrazolyl; a, d = 0-6; b, c = 0-4; R1, R3, R5, R7 = H, halo, alkyl, CO₂H, alkoxy carbonyl, aralkyl; R2, R4, R6, R8 = (CH₂)_qX; q = 0-3; R14, R15, R20 = H, alkyl, aralkyl, CO, alkoxy carbonyl; R14R15 = atoms to form a 5-6 membered azaheterocyclyl; R19, R21 = H, aryl, alkyl, cycloalkyl, aralkyl], were prepared as agonists or antagonists of the PPAR

L3 ANSWER 82 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
receptor (no data). Thus, 3-(quinolin-2-ylmethoxy)propan-1-ol in DMPO/THF at 0° was treated with NaH and then with Me-2-bromomethyl-6-methylbenzoate followed by stirring overnight at room temp. to give Me-2-methyl-6-[3-(quinolin-2-ylmethoxy)propoxymethyl]benzoate.

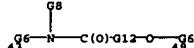
MSTR 1

G1—G2—G16
1 60 4

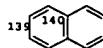
G2 = 2-1 3-4

G3—G14

G3 = 43-1 48-3



G6 = carbon chain <containing 1 or more C, 0 or more double bonds, no triple bonds> (opt. substd.)
G12 = alkylene <containing 1 or more C> (opt. substd.)
G14 = 139-2 140-4



Patent location: claim 1
Note: additional ring formation and substitution also claimed
Note: or pharmaceutically acceptable salts, N-oxides, hydrates or solvates

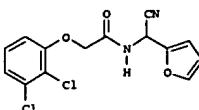
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 83 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 133:177091 MARPAT
 TITLE: Preparation of N-(cyanoheteroaryl)methylacetamides
 and
 INVENTOR(S): Tucker, Howard; Large, Michael Stewart; Oldfield, John; Johnstone, Craig; Edwards, Philip Neil
 PATENT ASSIGNEE(S): AstraZeneca Ab, Swed.
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| WO 2000049007 | A1 | 20000824 | WO 2000-GB532 | 20000316 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RM: | GH, GA, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 115501 | A1 | 20011121 | EP 2000-903848 | 20000216 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO | | | |
| JP 2002537293 | T2 | 20021105 | JP 2000-592747 | 20000216 |
| PRIORITY APPLN. INFO.: | | | GB 1999-3857 | 19990220 |
| | | | GB 1999-16098 | 19990710 |
| | | | WO 2000-GB532 | 20000216 |

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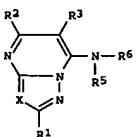
II

AB RZCR1R2CONR3CR4R5CN [II; R = cycloalkyl, heterocyclyl, (un)substituted Ph, -heteroaryl, etc.; R1 = H or alkyl(thio); R2,R3,R5 = H or alkyl; R4 = H, alkyloxy(carbonyl), (hetero)aryl, etc.; Z = O, SOO-2, (alkyl)imino, etc.] were prepared. Thus, furfural was condensed with NH4Cl/NaCN and the product amidated by 2,3-C12C6H3OCH2CO2H to give title compound II. Data for biol. activity of I were given.

L3 ANSWER 84 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 133:135324 MARPAT
 TITLE: Preparation of 7-aminopyrazolo[1,5-a]pyrimidine and 7-amino-1,2,4-triazolo[1,5-a]pyrimidine derivatives
 os
 INVENTOR(S): Ohtsubo, Tsugiteru; Murakami, Hiroko
 PATENT ASSIGNEE(S): Sumitomo Chemical Company, Limited, Japan; Sumitomo Pharmaceuticals Company, Limited
 SOURCE: PCT Int. Appl., 83 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| WO 2000044754 | A1 | 20000803 | WO 2000-JP462 | 20000128 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RM: | GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2359041 | AA | 20000803 | CA 2000-2359041 | 20000128 |
| EP 1149825 | A1 | 20011031 | EP 2000-901971 | 20000128 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PI, RO | | | |
| PRIORITY APPLN. INFO.: | | | JP 1999-22357 | 19990129 |
| | | | WO 2000-JP462 | 20000128 |

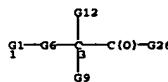
GI



I

AB Aminopyrimidine derive. represented by general formula (I; wherein R1 represents hydrogen, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; R2 and R3 represent each hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, aralkyl, or heterocyclyl; R2 and R3 are combined together to represents C3-10 alkylene; R5 represents hydrogen, (un)substituted alkyl or alkenyl; R6 represents C1-12 alkyl, (un)substituted C2-12 alkenyl, acyl, etc.; and X represents nitrogen,

L3 ANSWER 83 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 NOTE 1



G1 = naphthyl (opt. subst. by 1 or more G3)
 G6 = O
 G10 = NH
 G14 = alkenyl <containing 2-6 C>
 G26 = S



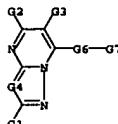
Derivative:
 Patent location:
 Note:
 Note:
 also incorporates claim 13

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 84 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 wherein R4 represents hydrogen, halogeno, (un)substituted alkyl, alkenyl, aryl, or aralkyl) are prep'd. These compds. inhibit fat accumulation in fat cells and, therefore, are efficacious in preventing and treating various diseases in assocn. with enlargement of fat tissues, e.g.

obesity, diabetes, and hyperlipidemia. Thus, 7-chloro-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidine and 2-(2,4-dimethylphenoxy)ethylamine were stirred with Et3N in toluene at 100° for 3 h to give N-[2-(2,4-dimethylphenoxy)ethyl]-5,6-dimethyl-1,2,4-triazolo[1,5-a]pyrimidin-7-amine (II). II and 5,6-dimethyl-N-[2-(4-(1-methyl-1-phenylethyl)phenoxy)ethyl]-1,2,4-triazolo[1,5-a]pyrimidin-7-amine inhibited accumulation of fat mesenteric fat tissue by 51 and 83%, resp.

NOTE 1



G6 = 17
 G7 = 17

G9-G10-G11-G12

G8 = alkenyl <containing 2-12 C> (opt. subst.)
 G9 = C(O)
 G10 = alkylene <containing 1-12 C> (opt. subst.)
 G11 = O
 G12 = naphthyl
 Derivative:
 Patent location:
 Note:

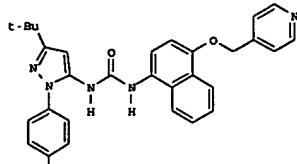
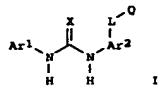
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 85 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 133-120125 MARPAT
 TITLE: Preparation of aromatic heterocyclic ureas as
 antiinflammatory agents
 INVENTOR(S): Cirillo, Pier F.; Gilmore, Thomas A.; Hickey, Eugene
 R.; Regan, John R.; Zhang, Lin-Hua
 PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXDD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|----------|
| WO 2000043284 | A1 | 20000727 | WO 1999-US29165 | 19991209 |
| W: AE, AU, BG, BR, BY, CA, CH, CZ, DE, HR, HU, ID, IL, IN, JP, KR, KW, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, UZ, VN, YU, ZA | | | | |
| RU: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2352524 | AA | 20000727 | CA 1999-2352524 | 19991209 |
| EP 1147104 | A1 | 20010324 | EP 1999-960668 | 19991209 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, PL, RO | | | | |
| BR 9916930 | A | 20011030 | BR 1999-16930 | 19991209 |
| EE 20010376 | A | 20021015 | EE 2001-376 | 19991209 |
| EE 4527 | B1 | 20050815 | | |
| JP 20051535023 | T2 | 20031125 | JP 2000-594800 | 19991209 |
| RU 2230143 | C2 | 20031127 | RU 2001-122111 | 19991209 |
| AU 770581 | B2 | 20040236 | AU 2000-175523 | 19991209 |
| NZ 513525 | A | 20040528 | NZ 1999-513525 | 19991209 |
| TR 20010072 | T2 | 20041231 | TR 2001-200102073 | 19991209 |
| TW 546397 | B2 | 20030811 | TW 2000-89100638 | 20000117 |
| US 6333235 | B1 | 20011225 | US 2001-871559 | 20010531 |
| ZA 2001004656 | A | 20030310 | ZA 2001-4656 | 20010607 |
| US 6303415 | B1 | 20011211 | US 2001-891579 | 20010626 |
| US 2002065285 | A1 | 20020530 | US 2001-891620 | 20010626 |
| US 6506748 | B2 | 20030114 | | |
| DG 05653 | A | 20020131 | DG 2001-105653 | 20010627 |
| HR 200100516 | A1 | 20020831 | HR 2001-516 | 20010710 |
| NO 2001003559 | A | 20010718 | NO 2001-3559 | 20010718 |
| PRIORITY APPLN. INFO.: | | | US 1999-116400P | 19990119 |
| | | | WO 1999-US29165 | 19991209 |
| | | | US 2000-484638 | 20000118 |

GI

L3 ANSWER 85 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



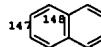
II

AB The title compds. [I; Ar1 = (un)substituted pyrrole, pyrrolidine, pyrazole, etc.; Ar2 = (un)substituted Ph, naphthyl, quinoline, etc.; L = (un)saturated (un)substituted carbon chain wherin one or more methylene groups are optionally replaced by O, N, or S; O = (un)substituted Ph, naphthyl, pyridinyl, etc.] useful in pharmaceutical compds. for treating diseases or pathol. conditions involving inflammation such as chronic inflammatory diseases, were prepared E.g., a multi-step synthesis of the urea II was given. Representative compds. I were evaluated and showed IC50 of < 10 μ M against TNF production in THP cells.

IC50 = 10 μ M

G1—G26

G4 = 148-4 147-6



G5 = 70

L3 ANSWER 85 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G6 = 0

G6 = carbon chain <containing 1 or more C>
 (opt. substd. by 1 or more G19)

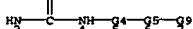
G8 = O / NH

G19 = OH / 552

G9—G47

G26 = 2

G22



G47 = carbon chain <containing 1 or more C>
 (opt. substd. by 1 or more halo)

Derivative: and physiologically acceptable acids or salts
 Patent location: claim 1
 Note: additional derivatization and ring formation also claimed

Note: also incorporates claim 20

Note: substitution is restricted

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 133-4595 MARPAT
 TITLE: Preparation of N-pyrrolidinylmethylalkanoamides and analogs as CCR-3 receptor antagonists
 INVENTOR(S): Rogers, Daniel Harry; Saunders, John; Williams, John Patrick
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: Ger. Offen., 50 pp.
 CODEN: GMXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--------------------|----------|
| DE 19955794 | A1 | 20000531 | DE 1999-19955794 | 19991111 |
| CA 2350903 | AA | 20000602 | CA 1999-2350903 | 19991111 |
| WO 2000031032 | A1 | 20000602 | WO 1999-EP8665 | 19991111 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KB, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MM, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RU: GH, GM, KE, LS, MM, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TO | | | | |
| BR 9915520 | A | 20010717 | BR 1999-15520 | 19991111 |
| EP 1131288 | A1 | 20010912 | EP 1999-972623 | 19991111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| TR 200101398 | T2 | 20010921 | TR 2001-2001013981 | 19991111 |
| JP 2002530374 | T2 | 20020917 | JP 2000-583860 | 19991111 |
| JP 3593037 | B2 | 20041124 | | |
| AU 763960 | B2 | 20030807 | AU 2000-13825 | 19991111 |
| GB 2343893 | A1 | 20000524 | GB 1999-27227 | 19991117 |
| GB 2343893 | B2 | 20020109 | | |
| FR 2786185 | A1 | 20000526 | FR 1999-14495 | 19991118 |
| US 6166015 | A | 20001226 | US 1999-442656 | 19991118 |
| ES 2158814 | A1 | 20010901 | ES 1999-2547 | 19991119 |
| ES 2158814 | B1 | 20020316 | | |
| IT 1307900 | B1 | 20011119 | IT 1999-TO1009 | 19991119 |
| ZA 2001003942 | A | 20020815 | ZA 2001-3942 | 20010515 |
| NO 2001002411 | A | 20010516 | NO 2001-2411 | 20010516 |
| PRIORITY APPLN. INFO.: | | | US 1998-109297P | 19981120 |
| | | | WO 1999-EP8665 | 19991111 |

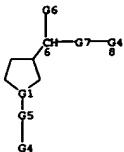
GI



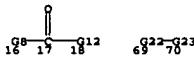
AB Title compds. [I; R4 = CHR1Z1ZR2; R1 = H or alkyl; R2 = (hetero)aryl; Z =

L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 NZ3R3 or MAR3R3 X-: R = (un)substituted alkyl; R3 = (heteroaryl); Z = pharmaceutically acceptable anion; Z1 = (un)substituted NHCO and Z2 = (heteroatom-interrupted) (oxo)alkylene, etc.; Z1 = (un)substituted NHCONH, -NHSO2-, -NHCO2-, etc. and Z2 = bond.
 (heteroatom-interrupted) (oxo)alkylene,
 alkenylene, alkynylene] were prep'd. Thus, I (R4 = CH2NR5, Z = NCH2C6H3Cl2-2,3)(II; RS = H) was amidated by 3-[4-(4-methoxyphenyl)-2-pyrimidinyl]propionic acid (prep'n. each given) to give II (RS = COCH2CH2Z2C6H4(OMe)-4, Z2 = pyrimidine-2,5-diy). Data for bioact. activity of I were given.

MSTR 1



G4 = naphthyl (opt. subst. by (1-2) G30)
 G7 = 16-18-8 / 69-6 70-8



G8 = 20



G9 = acyl
 G12 = 26-17 27-8

G13-G14

G13 = alkenylene <containing 1-3 C>
 G14 = O
 G23 = 103-69 104-8

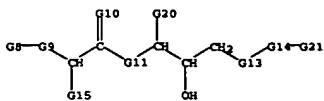
L3 ANSWER 86 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G13-G26
103-104

Derivative:
 Patent location:
 Stereochirality:
 and prodrugs and pharmaceutically acceptable salts
 claim 1
 and isomers and mixtures of isomers

PRIORITY APPLN. INFO.:

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G1 = alkylcarbonyl <containing 1-10 C> (substd. by 29)

G2 = naphthyl
G8 = 2G9 = bond
G15 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or esters
Patent location: disclosure

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132-265504 MARPAT
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.

INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John

PATENT ASSIGNEE(S): J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M. G.D. Searle and Co., USA

SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.

CODEN: USXKAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

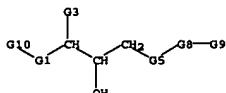
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|---|----------|-----------------|----------|
| US 6046190 | A | 20000404 | US 1996-586866 | 19960124 |
| WO 9404492 | A1 | 19940303 | WO 1993-US7814 | 19930824 |
| | W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | |
| | RW: AT, BG, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BB, BJ, CF, CO, CI, CM, GA, GN, ML, MR, NB, SN, TD, TO | | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981203 | | |
| EP 810209 | B1 | 20020605 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BB, BJ, CF, CO, CI, CM, GA, GN, ML, MR, NB, SN, TD, TO | | | |
| WO 9506030 | A1 | 19950303 | WO 1994-US9139 | 19940823 |
| | W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KZ, KO, KP, KR, KZ, LU, LT, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UR, US, UZ, VN | | | |
| | RW: KE, MN, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BB, BJ, CF, CO, CI, CM, GA, GN, ML, MR, NB, SN, TD, TG | | | |
| | PRIORITY APPLN. INFO.: | | US 1992-934984 | 19920825 |
| | | | WO 1993-US7814 | 19930824 |
| | | | US 1994-204872 | 19940302 |
| | | | WO 1994-US9139 | 19940823 |
| | | | EP 1993-923714 | 19930824 |
| | | | US 1993-110911 | 19930824 |
| | | | US 1994-204827 | 19940302 |

AB Hydroxyethylamino sulfonamide compds.

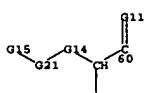
R9R10M(GR7R8)pCH(R1C(Y)NR6CHR2CH(OH)
CH(NR3S(=O)R4) (I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl,
alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 =
(un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 =
H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and
disubstituted aminocarbonyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl,
aryl, (un)saturated heterocycle, (un)substituted aromatic
heterocycloalkyl, etc.);
R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3S02; R10 = H, alkoxy carbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc. or R9R10N = heterocycloalkyl or heterocaryl; x = 0-2; p = 0-1) or their pharmaceutically acceptable salts, prodrugs, or esters were prep'd. as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prep'd. by (1) prep', an N-protected amino epoxide and (2) reacting this with an amine and (3) prep', a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-(2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-15-(phenylmethyl)propyl)-2S-[1-(2-quinolinylcarbonyl)amino]butanediamide was prep'd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

MSTR 1



G10 = 60

G14 = bond
G15 = alkylcarbonyl <containing 1-10 C> (substd. by 42)

G16 = naphthyl

G21 = NH

G23 = alkenyl <containing 2-18 C> or pharmaceutically acceptable salts, prodrugs, or esters

Patent location: claim 1

Note: additional ring formation also claimed

Note: substitution is restricted

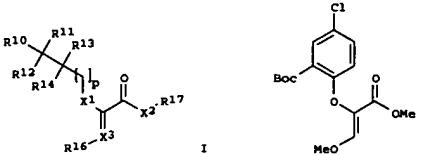
Note: also incorporates claim 10 and broader disclosure

L3 ANSWER 88 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS
FORMAT

L3 ANSWER 89 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132-107776 MARPAT
 TITLE: Preparation of aryl vinyl ether derivatives as herbicides
 INVENTOR(S): Ray, Nicholas Charles; White, Catherine Jacqueline; Gingell, Michael; Pettit, Simon Neil; Raphy, Gilles
 PATENT ASSIGNEE(S): phone-Poulen Agriculture Ltd., UK
 SOURCE: PCT Int. Appl., 130 pp.
 CODEN: PIKDD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2000003975 | A2 | 20000127 | WO 1999-EP5470 | 19990716 |
| WO 2000003975 | A3 | 20000803 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RM: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, EG, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CO, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG | | | | |
| AU 9954158 | A1 | 20000207 | AU 1999-54158 | 19990716 |
| EP 1097117 | A2 | 20010509 | EP 1999-940084 | 19990716 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002520384 | T2 | 20020709 | JP 2000-560084 | 19990716 |
| PRIORITY APPLN. INFO.: | | | GB 1998-15508 | 19980716 |
| | | | GB 1998-16783 | 19980731 |
| | | | GB 1998-26903 | 19981207 |
| | | | WO 1999-EP5470 | 19990716 |

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AB The title compds. [I; p = 0-1; X1 = O, NH, S; X2 = O, S, NH, etc.; X3 = N, -

L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132:93331 MARPAT
 TITLE: Preparation of aclyminophenyluracils as herbicides.
 INVENTOR(S): Andree, Roland; Drewes, Mark Wilhelm; Feucht, Dieter;
 Pontzen, Rolf; Wetcholowsky, Ingo
 PATENT ASSIGNEE(S): Bayer A.-G., Germany
 SOURCE: Ger. Offen., 20 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|----------|
| DE 19830694 | A1 | 20000113 | DE 1998-19830694 | 19980709 |
| CA 2336771 | AA | 20000120 | CA 1999-2336771 | 19990707 |
| WO 2000002867 | A1 | 20000120 | WO 1999-EP4743 | 19990707 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RM: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, EG, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CG, CO, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG | | | | |
| AU 9950327 | A1 | 20000201 | AU 1999-50327 | 19990707 |
| AU 767309 | B2 | 20031106 | | |
| BR 9911977 | A | 20010327 | BR 1999-11977 | 19990707 |
| EP 1095028 | A1 | 20010502 | EP 1999-934603 | 19990707 |
| EP 1095028 | B1 | 20050907 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002520320 | T2 | 20020709 | JP 2000-559098 | 19990707 |
| CN 1128791 | B | 20031126 | CN 1999-808445 | 19990707 |
| RU 2225862 | C2 | 20040320 | RU 2001-103897 | 19990707 |
| AT 30396 | E | 20050915 | AT 1999-934603 | 19990707 |
| US 6617281 | B1 | 20030909 | US 2001-743066 | 20010220 |
| PRIORITY APPLN. INFO.: | | | DE 1998-19830694 | 19980709 |
| | | | WO 1999-EP4743 | 19990707 |

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L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 CH, Alkyl substituted by alkoxycarbonyl, OH, etc.; R17 = H, alkyl, alkenyl, etc.; R16 = OH, O(alkyl), etc.; R11 = CH2NO2, CH2N3, CH2CH=, etc.; R11, R13 = H, alkyl; R11 and R13 may be together a simple bond creating a double bond with the carbon atom to which they are attached; R12, R14 = H, alkyl, a simple bond, useful for controlling weeds, were prep'd. Thus, treatment of *Ne* 2-(*t*-tert-butoxycarbonyl)-4-chlorophenoxy-3-hydroxypropanoate with Na2SO4 and K2CO3 in DMF afforded II which showed 100% reduction in the growth of one or more weed species such as Amaranthus retroflexus, Abutilon theophrasti, Galium aparine, etc.

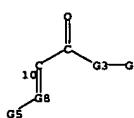
MSTR 1



G1 = naphthyl (opt. subst. by 1 or more G11)
 G2 = 0
 G3 = 17

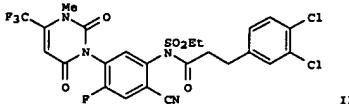
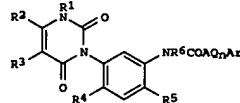


G4 = loweralkenyl
 G35 = 10



Derivative: and agriculturally acceptable salts and metal complexes
 Patent location: claim 1
 Note: additional substitution also claimed
 Note: also incorporates claim 18, formula VI

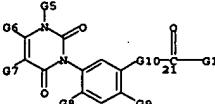
L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; n = 0, 1; A = (substituted) alkylene, cycloalkylene, bond; Ar = (substituted) aryl, aralkyl, heterocycl, heterocyclalkyl, Q = O, S, SO2, NH, alkylimino; R1 = H, amino, (substituted) alkyl; R2 = CO2H, cyano, carbamoyl, thiocarbamoyl, (substituted) alkyl, alkoxycarbonyl; R3 = H, halo, (substituted) alkyl; R4 = H, cyano, carbamoyl, thiocarbamoyl, halo; R5 = cyano, carbamoyl, thiocarbamoyl, halo, (substituted) alkyl, alkoxy; R6 = H, (substituted) alkyl, alkylcarbonyl, alkoxycarbonyl, alkylsulfonyl, alkenyl, alkynyl, etc., were prepared as herbicides (no data). Thus, 1-(4-cyano-5-

ethylsulfonylamino-2-fluorophenyl)-3-methyl-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)pyrimidine, 3-(3,4-dichlorophenyl)propionyl chloride, and Et3N were stirred 3 h in MeCN to give 42% title compound (II). I (n = 1; a = CH2; Ar = 2,4-dichlorophenyl; Q = O; R1 = Me; R2 = CF3; R3 = H; R4 = F; R5 = cyano; R6 = SO2Et) was said to show very strong herbicidal activity.

MSTR 1



G1 =

$\begin{matrix} G2 & G3 \\ \diagdown & \diagup \\ G4 & G5 \\ \diagup & \diagdown \\ G6 & G7 \\ \diagdown & \diagup \\ G8 & G9 \\ \diagup & \diagdown \\ G10 & G11 \end{matrix}$

L3 ANSWER 90 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 G2 = 50-21 51-44

G32-G33
50 51

G3 = naphthyl (opt. subst. by 1 or more G22)
 G10 = 28

N—G11
28

G11 = alkenylicarbonyl (opt. subst. by 1 or more G19)
 G30 = 52-21 53-46

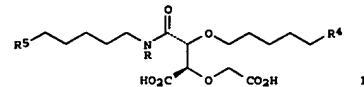
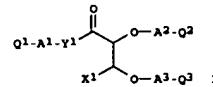
G34-G33
52 53

G22 = alkylene (opt. subst.)
 G33 = O
 Patent location: claim 1

L3 ANSWER 91 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132-78549 MARPAT
 TITLE: Preparation of tartaric acid derivatives as squalene synthase inhibitors
 INVENTOR(S): Usui, Hiroyuki; Kagechika, Katsuji; Nagashima, Hajime;
 Nagamichi, Masatoshi; Ohta, Masahiro; Yokomizo, Aki; Motoki, Keyako
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int'l Appl., 347 pp.
 CODEN: PIKKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---------------|------|--|--|-------------------------|------------------------|
| WO 2000000458 | A1 | 20000106 | WO 1999-JP3411 | 19990625 | |
| | W: | AS, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, EG, FI, GB, GD, GR, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MO, MK, MN, MM, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, KD, RU, TJ, TM | BR: GA, QA, KE, LS, MM, SD, SL, SZ, UD, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, GM, ML, MR, NS, SN, TD, TG | AU 9943940 | AU 1999-43940 19990625 |
| | RW: | | PRIORITY APPLN. INFO.: | JP 1998-181272 19980626 | |
| | | | | WO 1999-JP3411 19990625 | |

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AB 2,3-Dihydroxypropanoic acid compds. represented by general formula [I]; X1 represents optionally esterified carboxy, tetrazol-5-yl, P(=O)(OH)2, or SO3H; Y1 represents a single bond, O, (un)substituted NH; at least one of

L3 ANSWER 91 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 A1, A2 and A3 represents a group represented by the following general formula R2-a1-R3-a2+ (wherein R2 represents divalent C2-12 hydrocarbyl; R3 represents a single bond or a divalent C2-12 hydrocarbyl; and a1 and a2 represent each a single bond, S, SO2, SO2NH, O, (un)substituted NH or CONH, CO, etc.); and at least one of Q1, Q2 and Q3 represents cyclic hydrocarbyl or a heterocycle while the remaining one(s) represent hydrogen, optionally esterified carboxy, hydrocarbyl or a heterocycle or salts are prep'd. Because of having potent inhibitory effect on squalene synthase, these compds. are useful as preventives and/or remedies for hypercholesterolemia, hyperlipemia, and arteriosclerosis. Thus, tert-Bu (2R,3R)-3-carboxy-2-(tert-butoxycarbonylmethoxy)-3-[5-(2-naphthyl)pentyl]oxypropanoate (prepn. given) was condensed with 5-(2-naphthyl)pentylamine hydrochloride using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in CH2Cl2 at room temp. for 21 h, followed by deprotection, to give L-tartaric acid deriv. (II; R = H, R4 = R5 = 2-naphthyl) (III). III and II (R = Me, R4 = 3,4-dimethylphenyl, R5 = benzothiazol-6-yl) showed IC50 of 0.15 + 10-5 and 0.002 + 10-5 M, resp., for inhibiting the cholesterol synthesis in rat liver cells.

MSTR 1

G10
G9
28
29

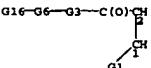
G3 = 16

N—G4
16

G6 = carbon chain <containing 1-12 C> (opt. subst.)
 G7 = bond
 G9 = 24

O—G7—G16

G10 = 2-28 1-29



G16 = naphthyl
 Derivative:
 Patent location: claim 1
 Note:
 Note:
 substitution is restricted
 interruptions of G6, G7, G8, G11 and G19 also claimed

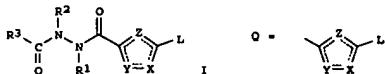
L3 ANSWER 91 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132:64530 MARPAT
 TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
 INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Weber, Daniel Frank
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9966925 | A1 | 19991229 | WO 1999-US14561 | 19990624 |
| W: AD, AL, AU, BA, BB, BO, BR, CA, CH, CZ, DE, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MO, MK, MN, MX,
NO, NZ, PL, PT, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, | | | | |
| AM, AZ, BY, KG, KZ, MD, RU, TJ, TM,
RW, GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZM, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
LI, CM, GA, GN, GW, ML, MR, NE, SN, TZ, TO | | | | |
| CA 2335876 | A1 | 19991229 | CA 1999-2335876 | 19990624 |
| AU 9947237 | A1 | 20000110 | AU 1999-47237 | 19990624 |
| EP 1093367 | A1 | 20010425 | EP 1999-930779 | 19990624 |
| R: BE, CH, DE, ES, FR, GB, IT, LU, NL | | | | |
| JP 2002518444 | T2 | 20020625 | JP 2000-556511 | 19990624 |
| PRIORITY APPLN. INFO.: | | | US 1998-90493P | 19980624 |
| | | | WO 1999-US14561 | 19990624 |

GI



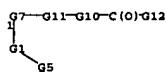
AB: The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-CO-6 alkyl, CHR4NR6, CHR4Ar, CHR4QAr, NR4R7; X = N, O, S, CR10; R1, R2, R3, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-CO-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-CO-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het CO-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-CO-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-(N-cyclopropyl-N-

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132:59152 MARPAT
 TITLE: Use of a compound having affinity for the benzodiazepine mitochondrial receptor and an apoptosis-inducing agent in cancer therapy
 INVENTOR(S): Kroemer, Guido; Hirach, Tamara; Decaudin, Didier
 Centre National De La Recherche Scientifique (Cnrs), Fr.
 PATENT ASSIGNEE(S):
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

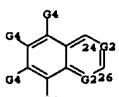
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9966958 | A2 | 19991229 | WO 1999-FR1383 | 19990611 |
| WO 9966958 | A3 | 20000420 | | |
| W: JP | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| FR 2779963 | A1 | 19991224 | FR 1998-7864 | 19980622 |
| EP 1087790 | A2 | 20010404 | EP 1999-923718 | 19990611 |
| R: DE, FR, GB, IT | | | | |
| CA 2274741 | AA | 19991222 | CA 1999-2274741 | 19990614 |
| US 6319931 | B1 | 20011120 | US 1999-322152 | 19990614 |
| AU 9935089 | A1 | 20000106 | AU 1999-35089 | 19990616 |
| PRIORITY APPLN. INFO.: | | | FR 1998-7864 | 19980622 |
| | | | WO 1999-FR1383 | 19990611 |

AB: A combination product is provided comprising at least a compound having affinity for the benzodiazepine mitochondrial receptor and at least an apoptosis-inducing agent for simultaneous, sep., or sustained use for treating cancer. The invention also concerns the use of the compound and/or the combination product for making a medicine particularly for facilitating apoptosis induction.

MSTR 2



G1 = 26-1 24-7



G2 = CH

Page 34

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 (cyclopropylmethyl)aminothiolo[4-ylcarbonyl]-N'-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanylhydrazide was prep'd. via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

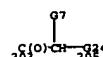
MSTR 1

G22-G5-G5-G21

G5 = 126

N—G6
126G6 = alkenyl <containing 2-6 C>
G12 = naphthyl (opt. substd.)
G22 = 201

G23 = 203-2 205-202



G24 = O
 Derivative: and pharmaceutically acceptable salts, hydrates
 and solvates
 Note: claim 1
 Patent location: additional ring formation also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 93 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G7 = O
 G10 = bond
 G11 = (0-2) CH2
 G12 = 44

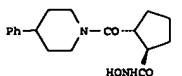


G13 = alkenyl <containing 3-6 C>
 Note: claim 4
 Patent location: double bond in alkenyl in G13 is not in 1-position
 Note: substitution is restricted

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 132:4988A MARPAT
 TITLE: Cyclic hydroxamic acids as metalloproteinase inhibitors
 INVENTOR(S): Xue, Chu-Biao; Decicco, Carl P.; He, Xiaohua
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 222 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9965867 | A1 | 19991223 | WO 1999-US13723 | 19990617 |
| W: AU, BR, CA, CN, CZ, DE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2333554 | AA | 19991223 | CA 1999-2333554 | 19990617 |
| AU 9946923 | A1 | 20000105 | AU 1999-46923 | 19990617 |
| EP 1087937 | A1 | 20010404 | EP 1999-930371 | 19990617 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, PL, RO | | | | |
| JP 2002518368 | T2 | 20020625 | JP 2000-554694 | 19990617 |
| US 6429213 | B1 | 20020806 | US 1999-335086 | 19990617 |
| US 2002139597 | A1 | 20020724 | US 2002-177235 | 20020620 |
| US 6858626 | B2 | 20050222 | | |
| PRIORITY APPLN. INFO.: | | | US 1998-89557P | 19980617 |
| | | | US 1999-127589P | 19990402 |
| | | | US 1999-335086 | 19990617 |
| | | | WO 1999-US13723 | 19990617 |

GI



AB Title cyclic hydroxamic acids were prepared which are useful as metalloprotease inhibitors (no data). Thus, trans-1,2-cyclopentanedicarboxylic acid was amidated with 4-phenylpiperidine and treated with NH₂OH to give the hydroxamide I.

MSTR 1B

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

G37-G36
 251 252
 G47 = 287-260 288-5 / 296-260 297-5

G42-G53
 257 288 297 298
 G53 = 289-287 290-5

G37-G36
 259 290

G68 = 356-354 357-5

G37-G36
 356 357

Patent location: claim 1
 Note: or pharmaceutically acceptable salts
 Note: additional derivatization also claimed
 Note: substitution is restricted
 Stereochemistry: or stereoisomers

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 94 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



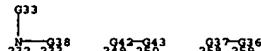
G3 = 4
 G4-G5

G4 = 200-1 201-5 / 260-1 261-5 / 354-1 355-5 / 363-1 364-5

G26-G29 200 201 260 261 354 355 363 364
 G26 = quinolinyl (opt. subst.)

G27 = carbocycle <containing 3-13 C> (opt. subst.)
 G28 = carbon chain <containing 1-10 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. subst.)

G29 = 233-200 233-5 / 249-200 250-5 / 258-200 259-5



G36 = O
 G37 = alkylene <containing 1-4 C>
 G38 = 235-232 236-5 / 245-232 246-5

G37-G36 235 236 245 246

G41 = 247-245 248-5

G37-G36 247 248

G43 = 251-249 252-5

L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 132:3319 MARPAT

TITLE: Preparation of novel 4-phenylpiperidines for the treatment of pruritic dermatoses
 INVENTOR(S): Armer, Richard Edward; Dutton, Christopher James; Gethin, David Morris; Gibson, Stephen Paul; Smith, Julian Duncan; Tommasini, Ivan

PATENT ASSIGNEE(S): Pfizer Inc., USA; Pfizer Limited
 SOURCE: PCT Int. Appl., 171 pp.

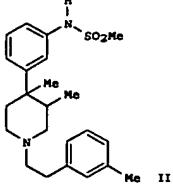
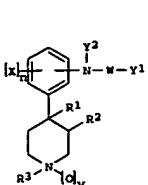
CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9959971 | A1 | 19991125 | WO 1999-IB886 | 19990517 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MO, MK, MN, MW, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RU, GH, GM, KR, LS, SD, SL, SZ, UW, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, IR, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CO, CI, CH, GA, GN, GM, MU, MR, NS, SN, TD, TG | | | | |
| CA 2332538 | AA | 19991125 | CA 1999-2332538 | 19990517 |
| CA 2332538 | C | 19991125 | | |
| AU 9935312 | A1 | 19991206 | AU 1999-35312 | 19990517 |
| ZA 9903364 | A | 20001201 | ZA 1999-3364 | 19990517 |
| BR 9910609 | A | 20010109 | BR 1999-10609 | 19990517 |
| EP 1077940 | A1 | 20010228 | EP 1999-917038 | 19990517 |
| EP 1077940 | B1 | 20040714 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, | | | | |

| FI | JP 2002515486 | T2 | 20020528 | JP 2000-549590 | 19990517 |
|------------------------|---------------|----|----------|----------------|----------|
| AT 271038 | | | | AT 1999-917038 | 19990517 |
| PT 1077940 | | T | 20041029 | PT 1999-917038 | 19990517 |
| ES 2230846 | | T3 | 20050501 | ES 1999-917038 | 19990517 |
| US 2002078282 | | A1 | 20030424 | US 2000-646255 | 20000511 |
| US 6610711 | | B2 | 20030826 | | |
| PRIORITY APPLN. INFO.: | | | | GB 1998-10671 | 19980518 |
| | | | | WO 1999-IB886 | 19990517 |

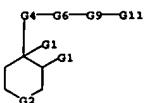
GI

L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. {I; R1, R2 = H, alkyl; R3 = alkyl, alkenyl, alkynyl; W = SO₂, CO, P(Y1):O, P(Y1):S; X = H, halo, alkyl, etc.; Y1 = alkyl, NH₂, aryl, etc.; Y2 = H, alkyl, alkenyl, etc.; n = 0-2; yr = 0-1} and their pharmaceutically and veterinarily acceptable salts, useful for having utility in the treatment of pruritic dermatoses including allergic dermatitis and atopy in animals and humans, were prepared and formulated. E.g., synthesis of trans-3,4-dimethylpiperidine II which was found to display anti-pruritic activity when tested for its ability to inhibit the hind leg scratching behavior induced in male Wistar rats by the administration of the known pruritogenic agent, was given.

MSTR 1



G2 = 11



G3 = carbon chain <containing 1-10 C, 0 or more double bonds, 0 or more triple bonds> (opt. substd. by 1 or more G14)
G14 = 34 / 36

L3 ANSWER 95 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G15 = C(=O)
G16 = bond
G17 = 39



G18 = alkenyl <containing 3-10 C>
G22 = naphthyl (opt. substd.)
Derivative: and pharmaceutically and veterinarily acceptable salts
Patent location: claim 1
Note: also incorporates claim 13

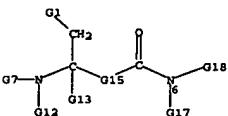
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 96 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 131:322347 MARPAT
TITLE: Preparation of pentanamides as pharmaceuticals for treatment of cancers, restenosis, and abnormal proliferation
INVENTOR(S): Miyaji, Nobuhide; Suzuki, Mikio; Kitahara, Maki; Kanaki, Tatsuo
PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKOKXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| JP 11310568 | A2 | 19991109 | JP 1998-120943 | 19980430 |
| PRIORITY INFO.: JP 1998-120943 19980430 | | | | |
| AB R2NR3CR4(CR2XR1)CR5R6CR7R8CONR9R10 (R1 = H, (un)substituted C1-12 alkyl, (un)substituted C2-12 alkenyl, (un)substituted C2-10 aliphatic acyl, etc.; R2 = H, (un)substituted C1-6 alkyl, C2-3 aliphatic acyl, cyclopropylcarbonyl, cyclobutylcarbonyl, etc.; R3 = H, Me, Et, benzyl; R4 = H, Me, HOCH ₂ , HSCH ₂ ; R5 = H, Me; R6 = H, Me; R6R8 may form bond; R7, R8 = H, Me, Et, Pr, Bu, pentyl, etc; R9 = H, (un)substituted C1-6 alkyl, cyclopropyl, cyclobutyl, cyclopentyl, etc.; R9R11 many form ring; R10 = (un)substituted C4-8 linear alkyl, etc.; X = S, O, etc.) or their salts, useful as pharmaceuticals for treatment and prevention of cancers, restenosis after PTCA, and abnormal proliferation of arteriosclerotic blood vessel intima smooth muscle cells, are prepared 4-(R)-tert-butoxycarbonylamino-5-triphenylmethylmercapto-2,3-E-pentenoic acid was reacted with 1-benzyl-4-aminopiperidine in the presence of 1-ethyl-3-(dimethylaminopropyl)carbodiimide, 3,4-dihydro-3-hydroxy-4-oxo-1,2,3-benzotriazine, and diisopropylethylamine in dioxane at room temperature for 16 h to give 1-benzyl-4-(4-(R)-tert-butoxycarbonylamino-5-triphenylmethylmercapto-2,3-E-pentenylamino)piperidine showing in vitro good inhibitory activity of proliferation of human leukemia cell (THP-1). | | | | |

MSTR 1



G15 = alkenylene <containing 2 or more C> (opt. substd. by 1 or more G16)
G16 = 311

L3 ANSWER 96 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G22 = carbon chain <containing 1 or more C, saturated> (opt. substd.)
G23 = naphthyl (opt. substd.)
G24 = 323



G25 = O
Derivative:
Patent location: claim 1

L3 ANSWER 97 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:295568 MARPAT
 TITLE: α - and β -Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
 INVENTOR(S): Vasques, Michael L.; Mueller, Richard A.; Talley, John
 J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
 PATENT ASSIGNEE(S): G. D. Searle and Co., USA
 SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 5968942 | A | 19991019 | US 1994-294468 | 19940823 |
| WO 940492 | A1 | 19940303 | WO 1993-U57814 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, US, VN | | | | |
| RM: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TO | | | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981203 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LU, NL, SE, PT, IE | | | | |
| US 6060476 | A | 20000509 | US 1994-204827 | 19940302 |
| US 6248775 | B1 | 20010619 | US 1999-288080 | 19990408 |
| US 2002052399 | A1 | 20020502 | US 2001-798255 | 20010305 |
| US 6417387 | B2 | 20020709 | | |
| US 2002191319 | A1 | 20031009 | US 2002-157019 | 20020530 |
| US 6646010 | B2 | 20031111 | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | 20030804 |
| US 2005267171 | A1 | 20051201 | US 2005-110943 | 20050421 |
| PRIORITY APPLN. INFO.: | | | MO 1993-U57814 | 19930824 |
| | | | US 1994-204827 | 19940302 |
| | | | EP 1993-923714 | 19930824 |
| | | | US 1993-110911 | 19930824 |
| | | | US 1994-294468 | 19940823 |
| | | | US 1999-288080 | 19990408 |
| | | | US 2001-798255 | 20010305 |
| | | | US 2002-157019 | 20020530 |
| | | | US 2003-633376 | 20030804 |

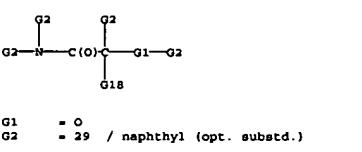
AB α - And β -Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution. General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level

L3 ANSWER 98 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 131:165332 MARPAT
 TITLE: α -Alkoxy- and α -thioalkoxymide neuropeptide Y NPY receptor antagonists and therapeutic methods using them
 INVENTOR(S): Connell, Richard D.; Lease, Timothy G.; Ledouceur, Gaetan H.; Osterhout, Martin H.
 PATENT ASSIGNEE(S): Bayer Corporation, USA
 SOURCE: U.S., 18 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 5939462 | A | 19990817 | US 1998-23351 | 19980213 |
| US 6245817 | B1 | 20010612 | US 1999-295073 | 19990420 |
| PRIORITY APPLN. INFO.: | | | US 1997-82318P | 19970214 |

AB The invention provides α -alkoxy and α -thioalkoxymide compns., and methods of administering the compns. to mammals, to treat disorders such as obesity that are mediated by NPY and especially those mediated by NPY via the Y5 receptor.

MOTR 1

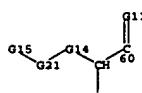
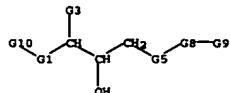


G5 = cycloalkylene <containing 3-10 C>
 Derivative: or pharmaceutically acceptable salts
 Patent location: claim 1

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 97 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
 are tabulated).

MOTR 1



G14 = bond
 G15 = alkylcarbonyl <containing 1-10 C> (substd. by 42)

42 - G16

G16 = naphthyl
 G21 = NH
 G23 = alkenyl <containing 2-18 C>
 Derivative: or pharmaceutically acceptable salts, prodrugs, or esters

Patent location: claim 1
 Note: additional ring formation also claimed
 Note: substitution is restricted

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 99 OF 166 MARPAT COPYRIGHT 2006 ACS on STN

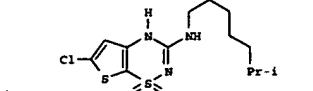
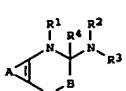
ACCESSION NUMBER: 130:139365 MARPAT
 TITLE: Preparation of fused 1,2,4-thiadiazines as openers of the KATP-regulated potassium channels
 INVENTOR(S): Nielsen, Flemming Elmedlund; Hansen, John Bondo; Hansen, Holger Claus; Tagmose, Tina Moller; Mogensen, John Patrick

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 76 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

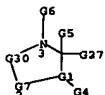
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9903861 | A1 | 19990128 | WO 1998-DK288 | 19980630 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, PR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2294830 | AA | 19990128 | CA 1998-2294830 | 19980630 |
| AU 9881018 | A1 | 19990210 | AU 1998-81018 | 19980630 |
| AU 757693 | B2 | 20030306 | | |
| EP 1000066 | A1 | 20000517 | EP 1998-930653 | 19980630 |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, FI, RO | | | | |
| BR 9810592 | A | 20000912 | BR 1998-10592 | 19980630 |
| JP 2001510195 | T2 | 20010731 | JP 2000-503085 | 19980630 |
| RU 2215004 | C2 | 20031027 | RU 2000-103491 | 19980630 |
| ZA 9806326 | A | 19990503 | ZA 1998-6326 | 19980716 |
| MX 200000223 | A | 20001108 | MX 2000-223 | 20000104 |
| NO 2000000185 | A | 20000114 | NO 2000-185 | 20000114 |
| NO 315470 | B1 | 20030908 | | |
| US 6225310 | B1 | 20010501 | US 2000-539242 | 20000330 |
| PRIORITY APPLN. INFO.: | | | DK 1997-872 | 19970716 |
| | | | DK 1998-368 | 19980317 |
| | | | DK 1996-41 | 19960117 |
| | | | DK 1996-250 | 19960305 |
| | | | DK 1996-251 | 19960305 |
| | | | DK 1996-252 | 19960305 |
| | | | DK 1996-253 | 19960305 |
| | | | DK 1996-256 | 19960305 |
| | | | DK 1996-259 | 19960305 |
| | | | DK 1996-903 | 19960827 |
| | | | US 1997-785438 | 19970117 |
| | | | US 1998-107693 | 19980630 |
| | | | WO 1998-DK288 | 19980630 |

GI



AB The title compds. [I]; B = NRS, CRSR6 (wherein R5, R6 = H, OH, Cl-6 alkoxy, etc.); D = SO2, SO; DB = S(O)(R7)N (wherein R7 = Cl-6 alkyl, (un)substituted aryl, heteroaryl); R1 = H, OH, Cl-6 alkoxy, etc., and R4 = H; or R1R4 = a bond; R2 = H, OH, Cl-6 alkoxy, etc.; R3 = aryl, heteroaryl, aralkyl, etc.; NR2R3 = 3-12 membered mono- or bicyclic system; A together with carbon atoms to which they are attached = (un)substituted 5-6 membered heterocyclic system containing one or more N, O or S atoms), useful in the treatment of diseases of the central nervous system, the cardiovascular system, the pulmonary system, the gastrointestinal system and the endocrinial system such as hyperinsulinemia and diabetes, were prepared. Thus, reaction of 3-amino-5-chlorothiophene-2-sulfonamide hydrochloride with 1-methylheptyl isothiocyanate followed by treatment of the resulting N-(3-amino-5-chloro-2-thienylsulfonyl)-N'-(1-methylheptyl)thiourea with phosgene afforded II which showed EC50 of 2.8 μ M for relaxation of rat aorta rings.

MSTR 1A



G8 = alkenyl <containing 2-6 C>
(opt. subst. by 1 or more G3)
G9 = 22



G10 = 14

L3 ANSWER 100 OF 166 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 130:38712 MARPAT
TITLE: Preparation of α - and β -amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 5843946 | A | 19981201 | US 1993-110911 | 19930824 |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| AT 172717 | E | 19981115 | AT 1993-923714 | 19930824 |
| ES 2123065 | T3 | 19990101 | ES 1993-923714 | 19930824 |
| AT 218541 | E | 20020615 | AT 1997-113434 | 19930824 |
| PT 810209 | T | 20020930 | PT 1997-113434 | 19930824 |
| ES 2177868 | T3 | 20021216 | ES 1997-113434 | 19930824 |
| WO 9506030 | A1 | 19950302 | WO 1994-US9139 | 19940823 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KR, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MM, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9476697 | A1 | 19950321 | AU 1994-76697 | 19940823 |
| EP 715618 | A1 | 19960612 | EP 1994-927162 | 19940823 |
| EP 715618 | B1 | 19981216 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 174587 | E | 19990115 | AT 1994-927162 | 19940823 |
| ES 2127938 | T3 | 19990501 | ES 1994-927162 | 19940823 |
| FI 9500650 | A | 19950214 | FI 1995-650 | 19950214 |
| FI 112471 | B1 | 20031215 | | |
| US 5786483 | A | 19980728 | US 1995-487662 | 19950607 |
| US 5830897 | A | 19981103 | US 1995-473698 | 19950607 |
| US 6172082 | B1 | 20010109 | US 1995-476788 | 19950607 |
| US 5744481 | A | 19980428 | US 1997-845392 | 19970425 |
| US 6246775 | B1 | 20010619 | US 1999-288080 | 19990408 |
| US 6335460 | B1 | 20020101 | US 2000-510169 | 20000222 |
| US 6472407 | B1 | 20021029 | US 2000-511005 | 20000222 |
| US 6534493 | B1 | 20030318 | US 2000-694785 | 20001024 |
| US 2002052399 | A1 | 20020502 | US 2001-798255 | 20010305 |
| US 6417387 | B2 | 20020709 | | |
| US 2003191319 | A1 | 20031009 | US 2002-157019 | 20020530 |
| US 6646010 | B2 | 20031111 | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | 20030804 |
| PRIORITY APPLN. INFO.: | | | US 1992-934984 | 19920825 |

PRIORITY APPLN. INFO.:



G11 = naphthyl
G16 = O
G17 = alkyl <containing 1-18 C>
(opt. subst. by 1 or more G10)
G27 = 11



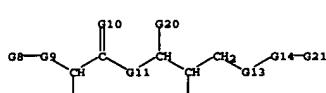
Derivative:
or pharmaceutically acceptable acid or base salts,
or tautomers
Patent location:
claim 1
Note:
substitution is restricted
also incorporates claim 25
Stereochemistry:
or isomers

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

BP 1993-923714 19930824
US 1993-110911 19930824
WO 1993-US7814 19930824
US 1994-204827 19940302
US 1994-294468 19940823
WO 1994-US9139 19940823
US 1995-476788 19950607
US 1995-485524 19950607
US 1999-288080 19990408
US 2001-798255 20010305
US 2002-157019 20020530

AB Amino acid hydroxyethylamino sulfonamide compds.
PINHCH(R2)CH(OH)CH(NR3)SO2R4
(R1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryl, arylalkoxycarbonyl, heterocyclycarbonyl, heterocyclyl, heteroaralkyl; R4 = alkyl, halocalkyl, aralkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl)
were preparation as retroviral protease inhibitors. Thus, N-(2R-hydroxy-3-((4-methoxyphenyl)sulfonyl)(2-methylpropyl)amino)-1S-(phenylmethyl)propyl-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-((2-methylpropyl)(4-methoxyphenyl)sulfonyl)amino)-1S-(phenylmethyl)propylamine. Protease inhibitory data are tabulated.

MSTR 2



G1 = alkylcarbonyl <containing 1-10 C> (subst. by 29)



G2 = naphthyl
G3 = 2



G1 = bond

Page 38

10/536,475

L3 ANSWER 100 OF 166 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G15 = alkenyl <containing 2-18 C>
Derivative: or pharmaceutically acceptable salts, prodrugs, or
Patent location: esters
disclosure
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

10/536,475

=> d his

(FILE 'HOME' ENTERED AT 13:37:20 ON 27 MAR 2006)

FILE 'MARPAT' ENTERED AT 13:37:51 ON 27 MAR 2006

L1 STRUCTURE UPLOADED
L2 171 S L1 FULL
L3 166 S L2/COM

=> s l3 and pesticide
 0 PESTICIDE
L4 0 L3 AND PESTICIDE

=> s fungicide
L5 0 FUNGICIDE

=> d his

(FILE 'HOME' ENTERED AT 13:37:20 ON 27 MAR 2006)

FILE 'MARPAT' ENTERED AT 13:37:51 ON 27 MAR 2006

L1 STRUCTURE UPLOADED
L2 171 S L1 FULL
L3 166 S L2/COM
L4 0 S L3 AND PESTICIDE
L5 0 S FUNGICIDE

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 370.38 | 370.59 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -36.21 | -36.21 |

STN INTERNATIONAL LOGOFF AT 13:44:19 ON 27 MAR 2006

10/536,475

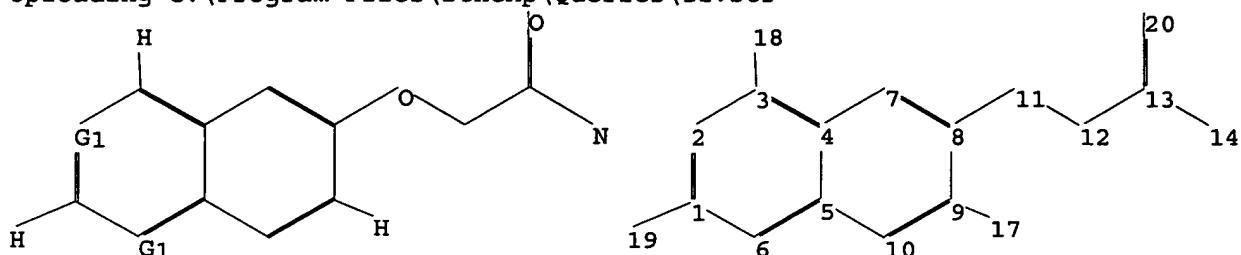
* * * * * STM Columbus * * * * *

FILE 'HOME' ENTERED AT 13:30:18 ON 27 MAR 2006

=> file reg

=>

Uploading C:\Program Files\Stnexp\Queries\11.str



chain nodes :

11 12 13 14 17 18 19 20

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

1-19 3-18 8-11 9-17 11-12 12-13 13-14 13-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 1-19 2-3 3-4 3-18 4-5 4-7 5-6 5-10 7-8 8-9 8-11 9-10 9-17

11-12 12-13 13-14 13-20

isolated ring systems :

containing 1 :

G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS

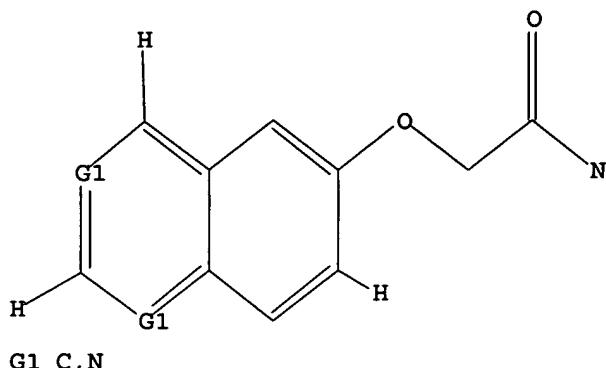
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

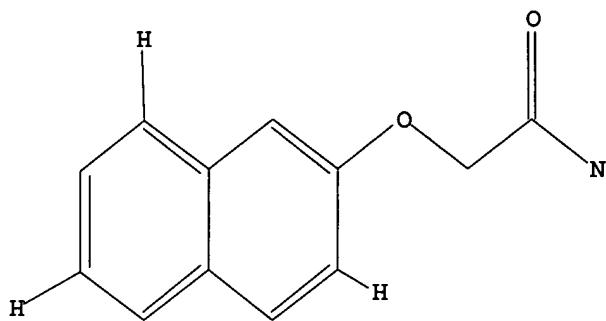
L1 STR

10/536,475



Structure attributes must be viewed using STN Express query preparation.

```
=> d 13  
L3 HAS NO ANSWERS  
L3      STR
```



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s 11 full  
L4      4783 SEA SSS FUL L1
```

```
=> s 13 full  
5      4723 SEA SSS FUL L3
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```
=> s 14 not 15  
L6      60 L4 NOT L5
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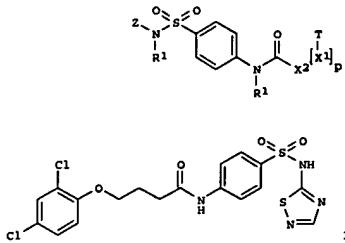
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=> file ca
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=> s 16  
L7      18 L6
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=> d ibib abs fhitstr 1-18
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L7 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 144:192238 CA
 TITLE: Preparation of N-(4-sulfamoylphenyl) amides as
 inhibitors of voltage-gated sodium channels
 INVENTOR(S): Gonzalez, Jesus E.; Termin, Andreas P.;
 Martinborough,
 Esther; Zimmerman, Nicole
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 353 pp., Cont.-in-part of U.S.
 Ser. No. 914,988.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 US 2006025415 A1 20060203 US 2005-60719 20050217
 US 2005137190 A1 20050623 US 2004-914988 20040809
 PRIORITY APPLN. INFO.: US 2003-493659P P 20030808
 US 2004-584717P P 20040704
 US 2004-914988 A2 20040809

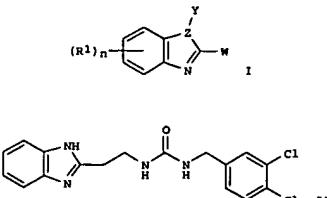
GI



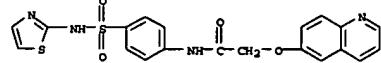
AB The title compds. I (R1 = H, (un)substituted alkyl; X1 = O, S, (un)substituted NH; p = 0-1; X2 = (un)substituted alkylene; Z = thiazolyl, imidazolyl, oxazolyl, etc.; T = (un)substituted Ph, 8-14 membered (non)aromatic bicyclic or tricyclic ring having 0-5 heteroatoms selected from O, S, N, NH, SO, SO2, etc.), useful as inhibitors of voltage-gated sodium

L7 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 142:463725 CA
 TITLE: Preparation of benzimidazoles and related heterocyclic
 INVENTOR(S): Wilson, Dean M.; Termin, Andreas P.; Gonzalez, Jesus E., III; Zimmerman, Nicole; Zhang, Julian; Fanning, Lev T. D.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
 SOURCE: PCT Int. Appl., 258 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:
 PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 2005042497 A2 20050512 WO 2004-US36297 20041028
 WO 2005042497 A3 20050721
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BM, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
 US 2005209282 A1 20050922 US 2004-977609 20041028
 PRIORITY APPLN. INFO.: WO 2003-515088P P 20031028
 WO 2004-US36297 A 20041028

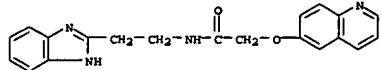
OTHER SOURCE(S): MARPAT 142:463725
 GI



L7 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 channels, were prepd. E.g., a multi-step synthesis of II, starting from 2,4-dichlorophenol and Et 4-bromobutyrate, was given. The compds. I were found to inhibit voltage-gated sodium channels at 25.0 μ M or less. I were also found possess desired N-type calcium channel modulation activity and selectivity (no data given). The invention also provides pharmaceutically acceptable compns. comprising the compds. I and methods of using the compns. in the treatment of various disorders.
 IT 845263-33-38
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels)
 RN 845263-33-2 CA
 CN Acetamide, 2-(6-quinolinyloxy)-N-[4-((2-thiazolylamino)sulfonyl)phenyl]- (9CI) (CA INDEX NAME)



L7 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 AB Title compds. I [R1 = (un)substituted- alkyl, -aryl, -cycloalkyl, etc.; n = 0-4; Z = O, N, or CH; Y and W independently = alkylarylsalkyl, cycloalkylarylsalkyl, alkylaryl, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of ion channels, sodium in particular. Thus, e.g., the triflate salt of II was prepared via reaction of benzimidazole Et amine dihydrochloride (preparation given) with 3,4-dichlorobenzylisocyanate. Selected compds. of the invention were found to modulate voltage-gated sodium channels at 25.0 μ M or less.
 IT 851702-02-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of benzimidazoles and related heterocyclic analogs useful as modulators of ion channels)
 RN 851702-02-8 CA
 CN Acetamide, N-[2-(1H-benzimidazol-2-yl)ethyl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)



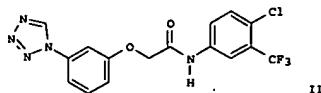
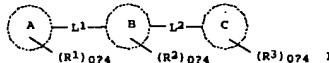
L7 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 142-397864 CA
 TITLE: Preparation of aniline derivatives and related compounds as c-kit modulators
 INVENTOR(S): Cheng, Wei; Co, Erick Wang; Kim, Moon Hwan; Klein, Rhett; Ronald, Le Donna; T.; Lew, Amy; Nuss, John M.; Xu, Wei; Bajjalich, William
 PATENT ASSIGNEE(S): Elexxis, Inc., USA
 SOURCE: PCT Int. Appl., 169 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005020921 | A2 | 20050310 | WO 2004-US28001 | 20040827 |
| WO 200501091 | A3 | 20051006 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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 EE, ES, FI, PR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-499224P P 20030829

OTHER SOURCE(S): MARPAT 142:297864
 GI



AB Compds. I (wherein ring A is a five- to fourteen-membered heteroaryl; R1, R2 and R3 are H, halo, trihalomethyl, cyano, nitro, etc.; L1 is a single bond, (un)substituted alkylene, O, CH2O, etc.; ring B is five- to ten-membered aryl or heterocyclyl; ring C is five- to ten-membered

L7 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 142:240421 CA
 TITLE: Preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels
 INVENTOR(S): Gonzales, Jesus E., III; Termin, Andreas P.; Martinborough, Esther; Zimmerman, Nicole
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 332 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

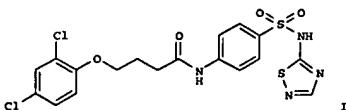
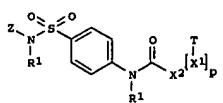
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005013914 | A2 | 20050217 | WO 2004-US25827 | 20040809 |
| WO 2005013914 | A3 | 20050721 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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 SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE,
 SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-493659P P 20030808

US 2004-584717P P 20040704

OTHER SOURCE(S): MARPAT 142:240421
 GI



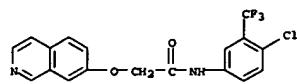
AB The title compds. I [R1 = H, (un)substituted alkyl; X1 = O, S,

L7 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 (heteroaryl; L2 is alkyne, alkylidene, alkylidyne, etc.; with some limitations and exclusions, and pharmaceutically acceptable salts, hydrates or prodrugs thereof), as exemplified by carbonyl compds. of anilines, were prepd. as c-Kit kinase modulators. For example, 3-aminoophenoxyacetic acid, which was obtained from the corresponding

nitro compd. in 76% yield via catalytic hydrogenation, was treated with HC(OEt)3 and NaBH4 in AcOH followed by NaNO2/HCl to give a tetrazole in 61% yield. This acid was coupled with 5-amino-2-chlorobenzotrifluoride in the presence of HATU to afford acetamide II in 45% yield, which showed inhibition against c-Kit kinase with a IC50 of < 50 nM. Therefore, I and pharmaceutical compns. thereof are useful for modulating c-Kit kinase activity and for treating diseases or disorders assoc'd. with uncontroll'd, abnormal, and/or unwanted cellular activities.

IT 647608-57-59
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (modulator; preparation of anilines and related compds. as C-Kit modulators)

RN 647608-57-5 CA
 CN Acetamide, N-[4-chloro-3-(trifluoromethyl)phenyl]-2-(7-isooquinolinolinyloxy)-(9CI) (CA INDEX NAME)



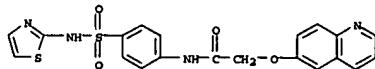
L7 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 (un)substituted NH; p = 0-1; X2 = (un)substituted alkyne; Z = thiazolyl, imidazolyl, oxazolyl, etc.; T = (un)substituted Ph, 8-14 membered (non)arom. bicyclic or tricyclic ring having 0-5 heteroatoms selected from

O, S, N, NH, SO, SO2, etc.), useful as inhibitors of voltage-gated sodium channels, were prepd. E.g., a multi-step synthesis of II, starting from 2,4-dichlorophenol and Et 4-bromobutyrate, was given. The compds. I were found to inhibit voltage-gated sodium channels at 25.0 μM or less. The invention also provides pharmaceutically acceptable compns. comprising the

compds. I and methods of using the compns. in the treatment of various disorders.

IT 645263-23-29
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-(4-sulfamoylphenyl) amides as inhibitors of voltage-gated sodium channels)

RN 645263-23-2 CA
 CN Acetamide, 2-(6-quinolinolinyloxy)-N-[4-[(2-thiazolylamino)sulfonyl]phenyl]-(9CI) (CA INDEX NAME)



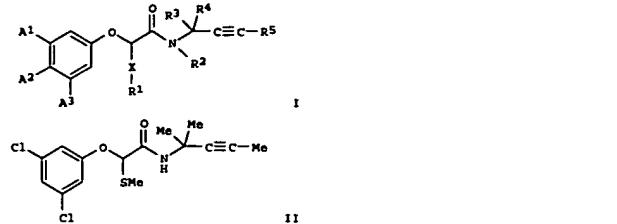
AB The title compds. I [R1 = H, (un)substituted alkyl; X1 = O, S,

L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 142:55899 CA
 TITLE: A preparation of [(hetero)aryloxy]acetic acid
 N-alkynyl-amide derivatives, useful as agrochemical fungicides
 INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger; Sagoet, Olivia
 Anabelle; Bacon, David Philip; Langford, David
 William
 PATENT ASSIGNEE(S): Syngenta Limited, UK
 SOURCE: PCT Int. Appl., 131 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|------------|
| WO 2004108663 | A1 | 20041216 | WO 2004-GB2294 | 20040528 |
| W: | AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KB, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | BR, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE,
SN, TD, TG | | | |
| CA 2527313 | AA | 20041216 | CA 2004-2527313 | 20040528 |
| PRIORITY APPLN. INFO.: | | | GB 2003-12863 | A 20030604 |
| | | | WO 2004-GB2294 | W 20040528 |

OTHER SOURCE(S): MARPAT 142:55899
 GI

L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



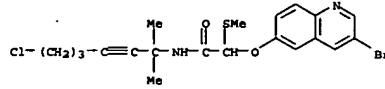
AB The invention relates to a preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. of formula I (wherein: A₁, A₂, and A₃ are independently selected from H, halogen, (halo)alkyl, (halo)alkenyl, or alkoxy, etc.; R₁ is Me or Et; R₂ is H, alkyl, alkoxyethyl, or benzyl oxyethyl, etc.; R₃ and R₄ are independently selected from H, alkenyl/alkyl, or together with the carbon atom to which they are attached may form 3-4-membered (hetero)cyclic ring, etc.; R₅ is H, (cyclo)alkyl, Ph, or thiényl, etc.; X is SO(O)-2), useful as agrochem. fungicides. For instance, phenoxy(methylthio)acetamide derivative II was prepared via amidation of 2-methylthio-2-(3,5-dichlorophenoxy)acetic acid by 4-amino-4-methylpent-2-yne hydrochloride. The prepared compound II gave at least 60% control of the following fungal infection at 200 ppm: plasmopora viticola, phytophthora infestans, and ergysiphia graminis f. sp. tritici, etc.

IT 608755-71-78

RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. useful as fungicides)

RN 608755-71-7 CA

CN Acetamide, 2-[3-bromo-6-quinolinyloxy]-N-(6-chloro-1,1-dimethyl-2-hexynyl)-2-(methylthio)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

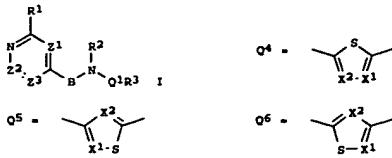
L7 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141:7105 CA
 TITLE: Preparation of thiienyl- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases
 INVENTOR(S): Cao, Jingrong; Gao, Huai; Green, Jeremy; Marhefka, Craig
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 222 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004041813 | A1 | 20040521 | WO 2003-US34319 | 20031030 |
| W: | AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KB, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | BR, GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BP, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2504320 | AA | 20040521 | CA 2003-2504320 | 20031030 |
| AU 2003288956 | A1 | 20040607 | AU 2003-288956 | 20031030 |
| US 2004122016 | A1 | 20040624 | US 2003-696862 | 20031030 |
| EP 1558607 | A1 | 20050803 | EP 2003-781448 | 20031030 |
| R: | AT, BE, CH, DE, DK, ES, PR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| NO 2005002595 | A | 20050627 | NO 2005-2595 | 20050530 |
| PRIORITY APPLN. INFO.: | | | US 2002-422441P | P 20021030 |
| | | | US 2003-476433P | P 20030606 |
| | | | US 2003-476691P | P 20030606 |
| | | | US 2003-479903P | P 20030619 |
| | | | WO 2003-US34319 | W 20031030 |

OTHER SOURCE(S): MARPAT 141:7105
 GI

L7 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. [I; B = Q4, Q5, Q6; R1 = halo, cyano, NO₂, VmR; Z1, Z2 = N, CR₂; Z3 = H, CR₁; R2 = halo, cyano, NO₂, UnR'; R3 = UnR'; X1, X2 = CR₄, N; R4 = halo, cyano, NO₂, VmR; U, V = (substituted) alkylidene optionally interrupted by NR, O, S, CS, SO₂, CO₂, etc.; m, n = 0, 1; R = H, (substituted) aliphatic; R' = R, (unsubst.) (heterocyclic) mono- or bicyclic ring; O1 = CO, SO₂, CONR, SO₂NR; R3 = Q2Ar1; R2QR3 = atoms to form a cyclic group; Ar1 = (unsubst.) (heterocyclic) mono- or bicyclic ring, with provisos, were prepared. Thus,

2-chloro-N-(4-pyridin-4-ylthiazol-2-yl)acetamide and N-methylaniline were stirred overnight in DMP at 70° to give 2-(methylphenylamino)-N-(4-pyridin-4-ylthiazol-2-yl)acetamide. Certain I were shown to inhibit ROCK I, ERK2, GSK3, and

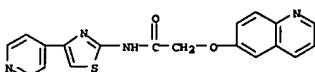
PKA with K_i < 1 μM.

IT 692875-51-79

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (claimed compound; preparation of thiophene- and thiazolecarboxamides as inhibitors of ROCK, ERK, GSK, and AGC protein kinases)

RN 692875-51-7 CA

CN Acetamide, N-(4-(4-pyridinyl)-2-thiazolyl)-2-(6-quinolinyl)- (9CI) (CA INDEX NAME)

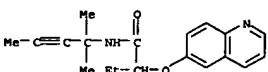


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkoxymethoxy, (un)substituted carboxycycl, optionally contg. O, S or N heteroatoms; R5 - H, (un)substituted (cyclo)alkyl, etc.) are prep'd. as fungicides.

IT 696609-21-99
 RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation as fungicide)

RN 696609-21-9 CA
 CN Butanamide, N-(1,1-dimethyl-3-butynyl)-2-(6-quinolinyl)- (9CI) (CA INDEX NAME)

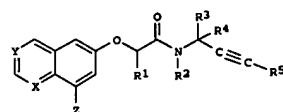


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 141:2846 CA
 TITLE: Preparation of quinoline-, isoquinoline-, and quinazolinomethylamides as fungicides
 INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger
 PATENT ASSIGNEE(S): Syngenta Limited, UK
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIIXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2004047538 | A1 | 20040610 | WO 2003-GB4631 | 20031027 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KW, LZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RM: GH, GM, KE, LS, MM, MW, SD, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BR, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BU, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2502183 | AU | 20040610 | CA 2003-2502183 | 20031027 |
| AU 2003276400 | A1 | 20040618 | AU 2003-276400 | 20031027 |
| EP 1557016 | A1 | 20050831 | EP 2003-811792 | 20031027 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BE, HU, SK | | | | |
| BR 2003016496 | A1 | 20050101 | BR 2003-16496 | 20031027 |
| JP 2006507339 | T2 | 20060302 | JP 2004-554637 | 20031027 |
| US 2006019973 | A1 | 20060126 | US 2005-536475 | 20050525 |
| PRIORITY APPLN. INFO.: | | | GB 2002-27555 | A 20021126 |
| | | | WO 2003-GB4631 | W 20031027 |

OTHER SOURCE(S): MARPAT 141:2846
 GI



AB The title compds. I [one of X and Y is N or N oxide and the other is CR or both of X and Y are N; Z = H, halo, (halo)alkyl, etc.; R1 =

L7 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 140:406638 CA
 TITLE: Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists
 INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lutzenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R.

PATENT ASSIGNEE(S): H. Lenter, Martin; Wieland, Heike-Andreae Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany;
 et al.
 SOURCE: PCT Int. Appl., 276 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

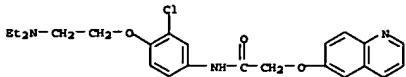
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004039764 | A1 | 20040513 | WO 2003-EP1933 | 20031028 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KW, LZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RM: GH, GM, KE, LS, MM, MW, SD, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BR, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BU, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10250743 | A1 | 20040519 | DE 2002-10250743 | 20021031 |
| CA 2504207 | AA | 20040513 | CA 2003-2504207 | 20031028 |
| AU 2003285306 | A1 | 20040525 | AU 2003-285306 | 20031028 |
| EP 1558567 | A1 | 20050801 | EP 2003-778292 | 20031028 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BE, HU, SK | | | | |
| BR 2003015797 | A | 20050913 | BR 2003-15797 | 20031028 |
| JP 2006504761 | T2 | 20060209 | JP 2004-547576 | 20031028 |
| US 2004152742 | A1 | 20040805 | US 2003-699089 | 20031031 |
| NO 2005000745 | A | 20050523 | NO 2005-745 | 20050211 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10250743 | A 20021031 |
| | | | US 2003-456482P | P 20030321 |
| | | | WO 2003-EP11933 | W 20031028 |

OTHER SOURCE(S): MARPAT 140:406638
 AB R1R2NXYZNRR3COMABd (R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclic; Ph, pyridyl; R1R2 = alkylene optionally interrupted by CH:N,
 CH:CH, O, S, SO₂, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkyne optionally interrupted by CH:CH,
 C=tpbond.C, O, S, SO₂, CO, imino; W = CR₆Rebo, CR₇aCR₇c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy = b = 0, 1; Cy = (substituted) (unsubst.) carbocycl, Ph, (aromatic) heterocycl; R6a,
 R6b = H, alkyl, CF₃; R7a, R7c = H, F, Cl, alkyl, CF₃; with provisos and specific

L7 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 exceptions) were prep'd. for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et₃N, and N-(3-chloro-4-(2-oxethoxy)phenyl)-2-(2,4-dichlorophenoxy)acetamide in CH₂Cl₂/THF were treated with NaBH(OAc)₃ followed by stirring for 3 h to give 78% Me [2-(2-chloro-4-(2-(2,4-dichlorophenoxy)acetylaminophenoxy)ethyl]aminoacetate. Tested title compds. bound to MCH-1 receptors with IC₅₀ = 17.41 nM.

IT 689301-51-7
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

RN 689301-51-7 CA
 CN Acetamide, N-[3-chloro-4-(2-(diethylamino)ethoxy)phenyl]-2-(6-quinolinyloxy)- (9CI) (CA INDEX NAME)



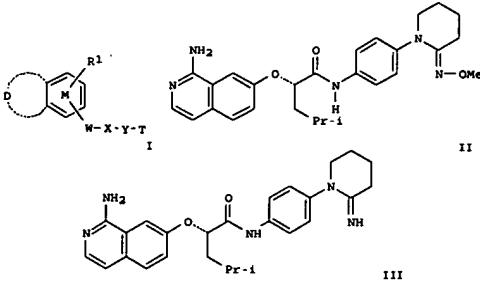
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESION NUMBER: 139-323430 CA
 TITLE: Preparation of 2-iminopyrrolidines and related compounds as blood-coagulation factor Xa and VIIa inhibitors for the treatment of tumors and thromboembolic diseases
 INVENTOR(S): Cesanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Barnes, Christopher; Gleitz, Johannes
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 81 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2003084533 | A1 | 20031016 | WO 2003-BP2349 | 20030307 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GA, HR, HU, ID, IL, IN, IS, JP, KR, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MC, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SO, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM | | | | |
| RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BE, BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TR | | | | |
| DE 10214832 | A1 | 20031016 | DB 2002-10214832 | 20020404 |
| CA 2481026 | AA | 20031016 | CA 2003-2481026 | 20030307 |
| AU 2003214102 | A1 | 20031020 | AU 2003-214102 | 20030307 |
| EP 1490056 | A1 | 20041229 | EP 2003-709758 | 20030307 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, BE, HU, SK | | | | |
| US 2005176760 | A1 | 20050811 | US 2003-510046 | 20030307 |
| JP 2005526377 | T2 | 20050922 | JP 2003-581773 | 20030307 |
| PRIORITY APPLN. INPO.: DE 2002-10214832 | | | DE 2002-10214832 | A 20020404 |
| | | | WO 2003-BP2349 | W 20030307 |

OTHER SOURCE(S): MARPAT 139:323430
 GI

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



AB Title compds. I [D = (un)saturated 3-4 membered alkylene (sic) with provisos:
 M = Ph, aromatic heterocycle containing 1-2 N, O, or S atoms; R1 = H, halo, A,
 etc.; A = (un)substituted alkyl; W = C(R2)2, [(CR2)2]2, OC(R2)2, etc.; R2 = H, A, [C(R3)2]n-Ar, etc.; R3 = H, A; Ar = (un)substituted aryl, e.g., halo, A, OR3, etc.; X = CONR2, CONR2C(R3)2, C(R3)2NR3, etc.; Y = alkylenes, cycloalkylenes, het-diyl (sic), etc.; T = (un)substituted aromatic, heterocarom; n = 0-2] and their pharmaceutically acceptable salts and formulations were prepared. For example, Raney-Ni mediated reduction of hydroxymine II, e.g., prepared from 7-isquinolinol in 4-steps, afforded the dicarboxylic acid salt of 2-iminopiperidine III. In coagulation factor Xa receptor affinity assays, 5-examples of compds. I exhibited IC₅₀ values ranging from 2.7-0.058 μM, e.g., the IC₅₀ value of 2-iminopiperidine III dicarboxylic acid salt was 2.7 μM. Compds. I are claimed useful as antithrombotic and antitumor agents.

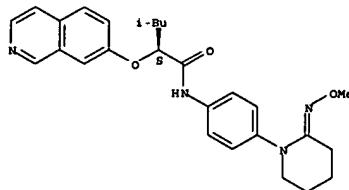
IT 612841-36-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 2-iminopyrrolidines and related compds.

as blood-coagulation factor Xa and VIIa inhibitors for the treatment of tumors and thromboembolic diseases)

RN 612841-36-8 CA
 CN Pentanamide, 2-(7-isquinolinylloxy)-N-[4-(2-(methoxymino)-1-piperidinyl)phenyl]-4-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.

L7 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

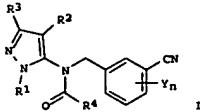


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 139:292249 CA
 TITLE: Preparation of 5-(*m*-cyanobenzylamino)pyrazole derivs. as agricultural fungicides
 INVENTOR(S): Ito, Hiroyuki; Imai, Tsuneaki; Takada, Takeshi;
 Tanaka, Harukazu; Onishi, Tora
 PATENT ASSIGNEE(S): Sankyo Agro Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 104 pp.
 CODEN: JXXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| JP 2003286117 | A2 | 20031007 | JP 2003-11735 | 20030121 |
| PRIORITY APPLN. INFO.: | | | JP 2002-13639 | A 20020123 |

OTHER SOURCE(S): MARPAT 139:292249
 GI



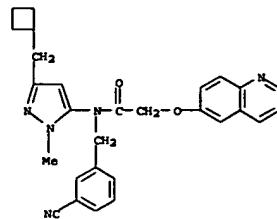
AB Title compds. I (R_1 = alkyl, cycloalkyl, Ph; R_2 = H, alkyl; R_3 = alkyl, etc.; R_4 = H, halo, alkyl, etc.; Y = alkyl, etc; n = 0-4) useful as agricultural fungicides, are prepared. Thus, N-acylation of 5-amino-3-(cyclobutylmethyl)-1-methyl-1*H*-pyrazole with methoxacetyl chloride followed by *N*-alkylation with *m*-cyanobenzyl bromide gave N-(*m*-cyanobenzyl)-N-[3-(cyclobutylmethyl)-1-methyl-1*H*-pyrazol-5-yl]-2-methoxyacetamide (II). II showed fungicidal activity against *Phytophthora* infestans at 300 ppm.

IT 393577-46-3P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES

(Uses)
 (preparation of 5-(*m*-cyanobenzylamino)pyrazole derivs. as agricultural fungicides)

RN 393577-46-3 CA
 CN Acetamide, N-[(*m*-cyanophenyl)methyl]-N-[3-(cyclobutylmethyl)-1-methyl-1*H*-pyrazol-5-yl]-2-(6-quinalinylloxy)- (9CI) (CA INDEX NAME)

L7 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

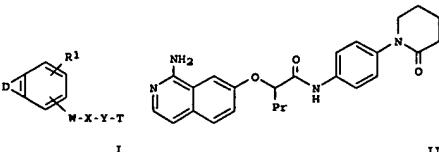


L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 138:187647 CA
 TITLE: Preparation of phenyl derivatives as coagulation factor Xa inhibitors
 INVENTOR(S): Dorisch, Dieter; Cezanne, Bertram; Tsaklidis, Christos; Mederski, Werner; Gleitz, Johannes; Barnes, Christopher
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl. 78 pp.
 CODEN: PIKXD2

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2003013531 | A1 | 20030220 | WO 2002-EP7798 | 20020712 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, RU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TZ | | | | |
| EW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BP, BJ, CF, CG, CI, CM, GA, GN, GO, GW, HL, MR, NE, SN, TD, TG | | | | |
| DE 10139060 | A1 | 20030220 | DE 2001-10139060 | 20010808 |
| CA 2456717 | AA | 20030220 | CA 2002-2456717 | 20020712 |
| EP 1414456 | A1 | 20040506 | EP 2002-760242 | 20020712 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002011737 | A | 20040928 | BR 2002-11737 | 20020712 |
| CN 1538845 | AA | 20041020 | CN 2002-815482 | 20020712 |
| JP 20035501075 | T2 | 20050113 | JP 2003-518540 | 20020712 |
| US 2004235828 | A1 | 20041125 | US 2004-466238 | 20040209 |
| ZA 2004011800 | A | 20050204 | ZA 2004-1800 | 20040304 |
| PRIORITY APPLN. INFO.: | | | DE 2001-10139060 | A 20010808 |
| | | | WO 2002-EP7798 | W 20020712 |

OTHER SOURCE(S): CASREACT 138:187647; MARPAT 138:187647
 GI



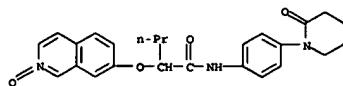
II

L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)

AB Novel Ph compds. I [D = (un)saturated 3 - 4 alkylene chain, containing 1 - 2 N, O and/or S (may be substituted with halogen, A, $\{C(R_3)_2\}n-Ar$, $\{C(R_3)_2\}n-Het$, $\{C(R_3)_2\}n-Cycloalkyl$; R_2 = H, A, $\{C(R_3)_2\}nAr$, $\{C(R_3)_2\}n-Het$, $\{C(R_3)_2\}n-Cycloalkyl$; R_3 = H, A; Ar = (un)saturated Ph, naphthyl, biphenyl (may be substituted with halogen, A, OR3, N(R3)2, NO2, CN, CO2R3, CON(R3)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA); W = C(R2)2, $\{C(R_2)_2\}n$, OC(R2)2, NR2C(R2)2; X = CONR2, CONR2C(R3)2, $\{C(R_3)_2\}nR2C(R3)2$; Y = alkylene, cycloalkylene, Het-diyl, Ar-diyl; T = (un)saturated heterocycle containing 1 - 4 of N, O and/or S; A = (un)branched C1-6-alkyl (may contain O, S, CH:CH or substituted with 1 - 7 F); R1 = H, halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, $\{C(R_3)_2\}nAr$, $\{C(R_3)_2\}n-Het$, $\{C(R_3)_2\}n-Cycloalkyl$; R2 = H, A; Ar = (un)saturated or aromatic heterocycle (containing 1 - 4 N, O and/or S and may be substituted with halogen, A, $\{C(R_3)_2\}n-Het$, $\{C(R_3)_2\}n-Cycloalkyl$, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2CON(R2)2, NR2SO2A, COR2, SO2NR2, S(O)mA); Het1 = (un)saturated or aromatic heterocycle (containing 1 - 2 N, O and/or S and may be substituted with halogen, A, OR2, N(R2)2, NO2, CN, CO2R2, CON(R2)2, NR2COA, NR2SO2A, COR2, SO2NR2, S(O)mA); halogen = Cl, Br, F; I: n = 0 - 2; m = 0 - 2) are claimed. I and their pharmaceutically acceptable derivs., solvates, stereoisomers and their mixts., are inhibitors of coagulation factor Xa and can be used in the prophylaxis and/or therapy of thromboembolic diseases and in the treatment of tumors. Thus isoquinoline II was prepared from 7-hydroxyisoquinoline via O-alkylation with Me(CH2)2CHBrCO2Et, saponification, emidation with 1-(4-aminophenyl)piperidin-2-one, isoquinoline N-oxidation, isoquinoline N-oxide amination with pyridine, and reaction with ethanolamine. II was tested for thrombin receptor binding ability [IC50 = 3.5 x 10-7 M vs. Fxa]; IC50 = 2.2 x 10-7 M vs. TF]. I was used in the preparation of drug formulations (injections, suppositories, ointms., solvates, tablets, etc.).

IT 498541-47-29
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and amination of, with pyridine; preparation of bicyclic benzene derivs. as coagulation factor Xa inhibitors)

RN 498541-47-2 CA
 CN Pentenamide, 2-[(2-oxido-7-isoquinolinyl)oxy]-N-[4-(2-oxo-1-piperidinyl)phenyl]- (9CI) (CA INDEX NAME)

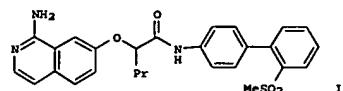


L7 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L7 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136-263103 CA
 TITLE: Biphenyl-substituted aminoquinolines and
 -isoquinolines as factor Xa inhibitors
 INVENTOR(S): Dorsch, Dieter; Juraszek, Horst; Mederski, Werner;
 Tsaklakidis, Christos; Gleitz, Johannes; Barnes,
 Christopher
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

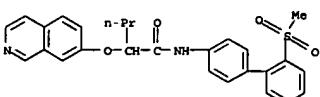
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 2002034654 | A1 | 20020328 | WO 2001-EP10786 | 20010918 |
| W: CA JP, US | | | | |
| DE 10046272 | A1 | 20020328 | DE 2000-10046272 | 20000919 |
| CA 2422067 | AA | 20030112 | CA 2001-2422067 | 20010918 |
| EP 1322618 | A1 | 20030702 | EP 2001-985251 | 20010918 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, MC, PT,
IE, SI, LT, LV, PI, RO, MK, CY, AL, TR | | | | |
| JP 2004513886 | T2 | 20040513 | JP 2002-529067 | 20010918 |
| PRIORITY APPLN. INFO.: | | | DE 2000-10046272 | A 20000919 |
| | | | WO 2001-EP10786 | W 20010918 |

OTHER SOURCE(S): MARPAT 136:263103
 GI



AB The title compds. were prepared for use as inhibitors of blood coagulation factors Xa and VIIa (no data). Thus, 7-isouquinolinol was treated with BrCHPrCO2CMe3, followed by ester hydrolysis, amidation with 2-MeSO2C6H4C6H4NH2-4, N-oxidation, reaction with pyridine, and treatment with ethanalamine to give the title compound I.
 IT 405272-00-69
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of biphenyl-substituted aminoquinolines and -isoquinolines as

L7 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 factor Xa inhibitors)
 RN 405272-00-6 CA
 CN Pentanamide,
 2-(7-isouquinolinyloxy)-N-(2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl)-(SC1) (CA INDEX NAME)

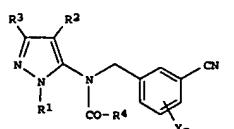


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L7 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 136:134759 CA
 TITLE: Preparation of 5-(m-cyanobenzylamino)pyrazole derivatives as fungicides for agricultural and horticultural use
 INVENTOR(S): Ito, Hiroyuki; Imai, Chiaki; Takada, Takeshi; Tanaka, Harukazu; Ohnishi, Tohru
 PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
 SOURCE: PCT Int. Appl., 251 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

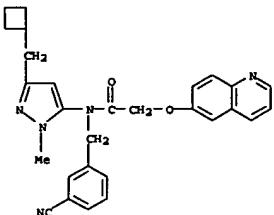
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2002008196 | A1 | 20020131 | WO 2001-JP6346 | 20010723 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, C2, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MO, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IR, IT, LU, MC, NL, PT, SE, TR, BP,
BJ, CP, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
AU 2001072781 | A5 | 20020205 | AU 2001-72781 | 20010723 |
| EP 1304325 | A1 | 20030423 | EP 2001-951975 | 20010723 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, PI, RO, MK, CY, AL, TR | | | | |
| JP 2002220376 | A2 | 20020805 | JP 2001-222419 | 20010724 |
| TP 220899 | B1 | 20040911 | TM 2001-90118150 | 20010725 |
| PRIORITY APPLN. INFO.: | | | JP 2000-223651 | A 20000725 |
| | | | WO 2001-JP6346 | W 20010723 |

OTHER SOURCE(S): MARPAT 136:134759
 GI



AB Title compds. [I; R1 = Cl-6 alkyl, C3-7 cycloalkyl, phenyl; R2 = H, Cl-6 alkyl; R3 = Cl-6 alkyl; R4 = H, halogeno, Cl-6 alkyl; Y = Cl-6 alkyl, n = 0, 1, 2, 3, 4] and salts thereof are prepared and tested as fungicides for agricultural and horticultural use. Thus, the title compound I (R1 = CH3; R2 = H; R3 = cyclobutylmethyl; R4 = CH2OCH3; Y = H; n = 4) was prepared from

L7 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 5-amino-3-(cyclobutylmethyl)-1-methyl-1H-pyrazole, methoxysacetyl chloride, and 3-cyanobenzyl bromide in two steps.
 IT 393577-46-3
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyanobenzylaminopyrazole derive. as fungicides for agricultural and horticultural use)
 RN 393577-46-3 CA
 CN Acetamide, N-[(3-cyanophenyl)methyl]-N-[3-(cyclobutylmethyl)-1-methyl-1H-pyrazol-5-yl]-2-(6-quinalinylloxy)- (9CI) (CA INDEX NAME)

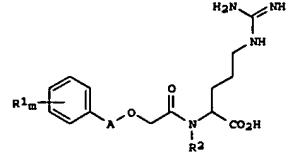


REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESION NUMBER: 132:180866 CA
 TITLE: Preparation of acylarginine derivatives as CJA receptor ligands
 INVENTOR(S): Lee, Dennis; Bondinell, William E.; Jurewicks, Anthony J.
 PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 22 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000009129 | A1 | 20000224 | WO 1999-US18256 | 19990811 |
| W: AB, AL, AU, BR, BB, BG, BR, CA, CN, CR, DE, DM, EE, GB, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MM, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2340052 | AA | 20000224 | CA 1999-2340053 | 19990811 |
| AU 9954785 | A1 | 20000306 | AU 1999-54785 | 19990811 |
| EP 1119357 | A1 | 20010801 | EP 1999-941061 | 19990811 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002522497 | T2 | 20020723 | JP 2000-564632 | 19990811 |
| US 6489239 | B1 | 20021203 | US 2001-762459 | 20010207 |
| PRIORITY APPLN. INFO.: | | | US 1998-96055P | P 19980811 |
| | | | WO 1999-US18256 | W 19990811 |

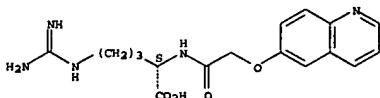
OTHER SOURCE(S): MARPAT 132:180866
 GI



AB Acylarginine derive. I [A = alkylene or alkyl- or arylalkylene or forms a cyclic structure]

L7 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
 5-8 membered fused aliph. ring with the adjacent Ph ring; m = 1-3; R1 = halo, alkyl, methanesulfonyl, alkoxy, cyano, dimethylamino, methylenedioxy, CF3; R2 = H, Me} (S-configuration) were prep'd. as novel CJA ligands. Methods of using the compd's. to treat immune and inflammation disease are also provided. Thus, 2-naphthyoxyacetylarginine was prep'd. by reactions of resin-bound Fmoc-Arg(Boc)-2-OH with bromoacetic acid, and 2-naphthol.
 IT 259218-33-29
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of acylarginine derive. as CJA receptor ligande)
 RN 259218-33-2 CA
 CN L-Arginine, N2-[(6-quinalinylloxy)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

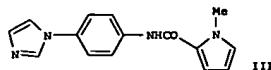
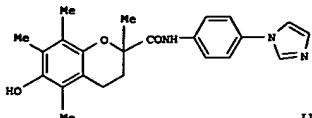
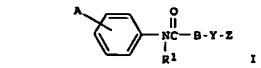
L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESION NUMBER: 132:137396 CA

TITLE: Phenylazole compounds, process for producing the same and drug for hyperlipemia
 INVENTOR(S): Umeda, Nobuhiko; Mochizuki, Nobuo; Uchida, Seiichi; Nishibe, Tadayuki; Yamada, Hirokazu; Ito, Kunihito; Horikoshi, Hiromi
 PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 92 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000006550 | A1 | 20000210 | WO 1999-JP4070 | 19990729 |
| W: AE, AL, AM, AT, AU, AZ, BA, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MM, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2339123 | AA | 20000210 | CA 1999-2339123 | 19990729 |
| AU 9949297 | A1 | 20000221 | AU 1999-49297 | 19990729 |
| AU 753360 | B2 | 20021017 | | |
| EP 1101759 | A1 | 20010523 | EP 1999-933152 | 19990729 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| CN 1131217 | B | 20031217 | CN 1999-809019 | 19990729 |
| JP 2000290280 | A2 | 20001017 | JP 1999-216581 | 19990730 |
| JP 2000281656 | A2 | 20001010 | JP 1999-221789 | 19990804 |
| JP 2000281658 | A2 | 20001010 | JP 1999-221790 | 19990804 |
| US 6342516 | B1 | 20020129 | US 2001-744786 | 20010126 |
| PRIORITY APPLN. INFO.: | | | JP 1998-218316 | A 19980731 |
| | | | JP 1998-222157 | A 19980805 |
| | | | JP 1999-16846 | A 19990126 |
| | | | JP 1999-19670 | A 19990128 |
| | | | JP 1999-24318 | A 19990201 |
| | | | WO 1999-JP4070 | W 19990729 |

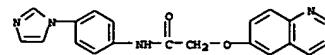
OTHER SOURCE(S): MARPAT 132:137396
 GI

L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



AB Phenylpyrazole and phenylimidazole compds. represented by general formula (I); wherein A represents (un)substituted imidazolyl or pyrazolyl; B represents (un)substituted $(\text{CH}_2)_k$ or $(\text{CH}=\text{CH})_k$; Y = bond, O, S, SO_2 , CO, OCH_2 , $\text{C}_1\text{-5 alkyl}$ - (un) substituted NHCO or NH; Z = (un)substituted and saturated or unsatd. heterocycle containing 1 to 4 N, O or S atoms, (un)substituted benzooxononyl or naphthooxononyl or pharmaceutically acceptable salts thereof are prepared. Claimed are drugs for hyperlipidemia which contain these compds. I as the active ingredient. Among all, compds. wherein Z is substituted chroman-2-yl, 2,3-dihydrobenzofuran-2-yl, etc. have an effect of inhibiting the formation of lipid peroxides too. Thus, 6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid, 1-(4-aminophenyl)imidazole 4,0, 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride 2.62, 1-hydroxybenzotriazole 2.72 g, and 2.5 mL Et₃N were added to 30 mL DMF and stirred at room temperature for 20 h to give title compound (II). II and N-[4-(imidazol-1-yl)phenyl]-1-methyl-3-pyrrolecarboxamide (III) at 25 mg/kg p.o. lowered total serum level of cholesterol 40 and 75%, resp., and serum triglyceride level by 62 and 91%, resp. A tablet formulation containing I was prepared

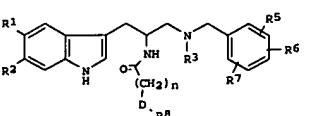
IT 256661-89-9
RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phenylazole compds. as hypolipidemics and inhibitors of lipid peroxide formation)

L7 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
EN 256661-89-9 CA
CN Acetamide, N-[4-(1H-imidazol-1-yl)phenyl]-2-(6-quinolinyl)oxy- (9CI) (CA INDEX NAME)

L7 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 130:168238 CA
TITLE: 2-acylaminopropanamines as tachykinin receptor antagonists
INVENTOR(S): Fritz, James Erwin; Hipskind, Philip Arthur; Kaldor, Stephen Warren; Lobb, Karen Lynn; Nixon, James Arthur
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl. 64 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-----------|-------------------|------------|
| WO 9907681 | A1 | 19990218 | WO 1998-US16313 | 19980806 |
| W: AL, AM, AT, AU, AZ, BB, GB, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MN, MM, MO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TU, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RM, GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TV | | | | |
| CA 2298702 | AA | 19990218 | CA 1998-2298702 | 19980806 |
| AU 986926 | A1 | 19990301 | AU 1998-86926 | 19980806 |
| EP 1003723 | A1 | 20000531 | EP 1998-938395 | 19980806 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| TR 200000287 | T2 | 2000-0721 | TR 2000-200000287 | 19980806 |
| BR 9811819 | A | 20000815 | BR 1998-11819 | 19980806 |
| JP 200152717 | T2 | 20010828 | JP 2000-506185 | 19980806 |
| US 6339094 | B1 | 20020115 | US 2000-463640 | 20000127 |
| NO 2000000518 | A | 20000331 | NO 2000-518 | 20000201 |
| HR 200000066 | A1 | 20001031 | HR 2000-66 | 20000204 |
| PRIORITY APPLN. INFO.: | | | US 1997-55105P | P 19970806 |
| | | | WO 1998-US16313 | W 19980806 |

OTHER SOURCE(S): MARPAT 130:168238
 GI

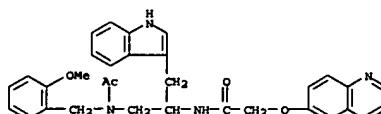


AB Title compds. [I; R1 and R2 are independently hydrogen, halo, alkyl, hydroxy, alkoxy; R3 is hydrogen, acetyl, alkanoyl, glycyl, dimethylglycyl;

L7 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)
RS, R6, R7 are independently hydrogen, halo, alkyl alkoxy, trifluoromethyl; n is 1-6; D is S(O)m, NH, O; m is 0, 1, 2; R8 is a monocyclic or bicyclic carbocyclic or heterocyclic group, optionally substituted with one or more moieties from the group consisting of oxo, alkyl, alkoxy, hydroxy, halo, and trifluoromethyl, or a pharmaceutically acceptable salt or solvate are prep'd. in the presence of isocyanate resin polymer-bound coupling reagent 1-(3-dimethylaminopropyl)-3-propylcarbodiimide hydrochloride as tachykinin receptor antagonists and methods of treatment, pharmaceutical formulations are provided. Thus, (R)-I (R1 = H; R2 = H; R5 = 2-OMe; R6 = H; R7 = Br; D = electron pair; n = 1; R3 = Ac) were prep'd.

IT 220441-64-5
RL BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of acylaminopropanamines as tachykinin receptor antagonists)

RN 220441-64-5 CA
CN Acetamide, N-[3-(1H-indol-3-yl)-2-[(6-quinolinyl)acetyl]aminopropyl]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 129:117828 CA
 TITLE: Novel tripeptide compounds and anti-AIDS drugs
 INVENTOR(S): Takaku, Haruo; Nojima, Satoshi; Mimoto, Tsutomu;
 Terashima, Keisuke; Kiso, Yoshiaki
 PATENT ASSIGNEE(S): Japan Energy Corp., Japan
 SOURCE: PCT Int. Appl., 90 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

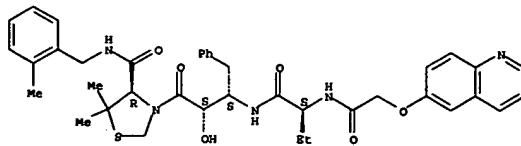
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 9829118 | A1 | 19980709 | WO 1997-JP4734 | 19971222 |
| M: AU, CA, JP, NO, US | | | | |
| RM: AT, BE, CH, DE, DK, ES, PI, PR, GB, GR, IE, IT, LU, MC, NL, PT, | | | | |
| SE 2249747 | AA | 19980709 | CA 1997-2249747 | 19971222 |
| AU 9878885 | A1 | 19980731 | AU 1998-78885 | 19971222 |
| AU 721578 | B2 | 20000076 | | |
| EP 900566 | A1 | 19990310 | EP 1997-949191 | 19971222 |
| R: AT, BE, CH, DE, DK, ES, PR, GB, GR, IE, LI, LU, MC, PT,
IE, PI | | | | |
| ZA 9711584 | A | 19980624 | ZA 1997-11584 | 19971223 |
| NO 9804284 | A | 19990826 | NO 1998-4284 | 19980916 |
| US 6291432 | B1 | 20010918 | US 1999-155773 | 19990216 |
| PRIORITY APPLN. INFO.: | | | JP 1996-359226 | A 19961227 |
| | | | JP 1997-150520 | A 19970523 |
| | | | WO 1997-JP4734 | M 19971222 |

OTHER SOURCE(S): MARPAT 129:117828

AB Novel tripeptide compds. having excellent HIV protease inhibitory activities and represented by general formula (I; Markush's structures given), pharmcol. acceptable salts thereof, and anti-AIDS drugs containing the same as the active ingredient. An example of the compds. is (R)-N-(2-methylbenzyl)-3-[(2S, 3S)-3-N-(2-chromanecarbonyl)-L-asparaginyl]amino-2-hydroxy-4-phenylbutanoyl]-5, 5-dimethyl-1,3-thiazolidine-4-carboxamide.
 IT 210181-08-19
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (novel tripeptide compds. and anti-AIDS drugs)
 RN 210181-08-1 CA
 CN 4-Thiazolidinecarboxamide, 3-[(2S,3S)-2-hydroxy-1-oxo-3-[(2S)-1-oxo-3-[(6-quinolinyloxy)acetyl]amino]butylamino]-4-phenylbutyl]-5,5-dimethyl-N-[(2-methylphenyl)methyl]-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN (Continued)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L7 ANSWER 18 OF 18 CA COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 96:19985 CA

TITLE: Isoquinoline derivatives
 INVENTOR(S): Barnish, Ian Thompson; Cross, Peter Edward;
 Dickinson,

PATENT ASSIGNEE(S): Roger Peter
 Pfizer Ltd., UK
 SOURCE: Brit. UK Pat. Appl., 18 pp.
 CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

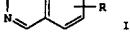
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| GB 2065121 | A | 19810624 | GB 1980-39322 | 19801208 |
| PRIORITY APPLN. INFO.: | | | GB 1979-43041 | A 19791213 |

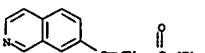
OTHER SOURCE(S): CASREACT 96:19985

GI



AB Isoquinoline derive. I [R = 5-, 6-, 7-, 8-CH₂OCH₂H₄R₁] [R₁ = CO₂R₂ (R₂ = H, Cl-4 alkyl), CONHR₃ (R₃ = H, Cl-4 alkyl, C₂-4 alkanoyl, aroyl, Cl-4 alkylsulfonyl, arylsulfonyl, aryl, aralkyl, 5- or 6-membered aromatic heterocyclcyl optionally substituted by 1 or 2 Cl-4 alkyl, Cl-4 alkoxy, halo, CF₃), CONR₄R₂ (R₄ = Cl-4 alkyl, NR₄₂ = pyrrolidino, piperidino), NHCONH₂, NHCONH₃ (R₃ = Cl-4 alkyl, aryl), CN, 5-tetrazolyl, 5-oxo-2-pyrazolin-1-yl, 3-methyl-5-oxo-2-pyrazolin-1-yl]; R = 5-, 6-, 7-, 8-OZR₁ [Z = (CH₂)_n (n = 1-4), C₆H₄, CH₂C₆H₄, CH₂Z₁ (Z₁ = C-linked 5- or 6-membered aromatic heterocyclcylidene); R₁ as before] were prepared I selectively inhibit thromboxane synthetase without significantly inhibiting prostacyclin synthetase or cyclooxygenase. I are thus useful in the treatment of thrombosis, ischemic heart disease, stroke, transient ischemic attack, migraine, and the vascular complications of diabetes. E.g., I [R = 5-(CH₂)₃CN] was prepared by treating I (R = 5-OH) with

CH₂:CHCN
 in the presence of PhCH₂N+Me₃ OH- (EtOH, reflux, 16 h).
 IT 80278-49-59
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as thromboxane A₂ synthetase inhibitor)
 RN 80278-49-5 CA
 CN Acetamide, 2-(7-isquinolinylloxy)- (9CI) (CA INDEX NAME)



10/536,475

=> d his

(FILE 'HOME' ENTERED AT 13:30:18 ON 27 MAR 2006)

FILE 'REGISTRY' ENTERED AT 13:30:23 ON 27 MAR 2006

L1 STRUCTURE UPLOADED
L2 50 S L1 SAM
L3 STRUCTURE UPLOADED
L4 4783 S L1 FULL
L5 4723 S L3 FULL
L6 60 S L4 NOT L5

FILE 'CA' ENTERED AT 13:31:43 ON 27 MAR 2006

L7 18 S L6

=>

---Logging off of STN---

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Executing the logoff script...

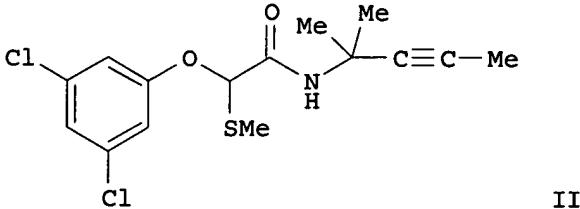
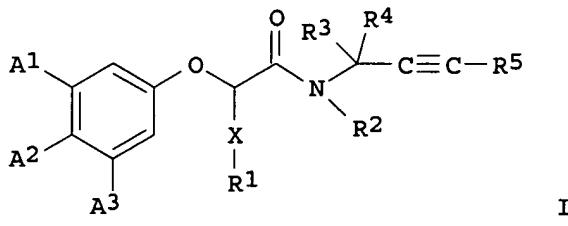
=> LOG Y

STN INTERNATIONAL LOGOFF AT 13:32:21 ON 27 MAR 2006

ACCESSION NUMBER: 142:55899 CA
 TITLE: A preparation of [(hetero)aryloxy]acetic acid
 N-alkynyl-amide derivatives, useful as agrochemical fungicides
 INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger; Sageot, Olivia
 Anabelle; Bacon, David Philip; Langford, David William
 PATENT ASSIGNEE(S): Syngenta Limited, UK
 SOURCE: PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004108663 | A1 | 20041216 | WO 2004-GB2294 | 20040528 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
| CA 2527313 | AA | 20041216 | CA 2004-2527313 | 20040528 |
| PRIORITY APPLN. INFO.: | | | GB 2003-12863 | A 20030604 |
| | | | WO 2004-GB2294 | W 20040528 |

OTHER SOURCE(S): MARPAT 142:55899
 GI



AB The invention relates to a preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. of formula I [wherein: A1, A2, and A3 are

independently selected from H, halogen, (halo)alkyl, (halo)alkenyl, or alkoxy, etc.; R1 is Me or Et; R2 is H, alkyl, alkoxymethyl, or benzyloxymethyl, etc.; R3 and R4 are independently selected from H, alk(en/yn)yl, or together with the carbon atom to which they are attached may form 3-4-membered (hetero)cyclic ring, etc.; R5 is H, (cyclo)alkyl, Ph, or thienyl, etc.; X is S(O)0-2], useful as agrochem. fungicides. For instance, phenoxy(methylthio)acetamide derivative II was prepared via amidation of 2-methylthio-2-(3,5-dichlorophenoxy)acetic acid by 4-amino-4-methyl-pent-2-yne hydrochloride. The prepared compound II gave at least 60% control of the following fungal infection at 200 ppm: plasmopora viticola, phytophthora infestans, and erysiphe graminis f. sp. tritici, etc.

IT

808755-71-7P

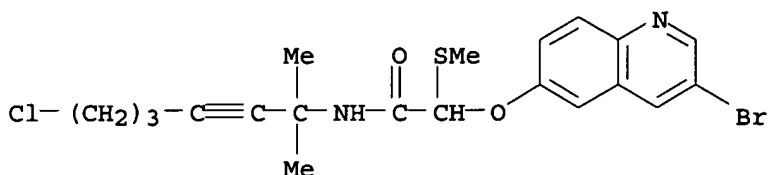
RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of [(hetero)aryloxy]acetic acid N-alkynyl-amide derivs. useful as fungicides)

RN

808755-71-7 CA

CN

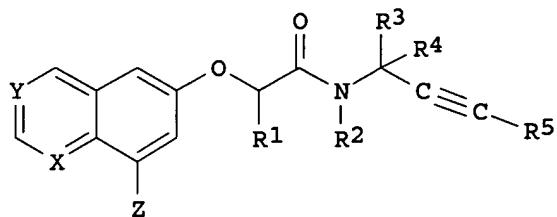
Acetamide, 2-[(3-bromo-6-quinolinyl)oxy]-N-(6-chloro-1,1-dimethyl-2-hexynyl)-2-(methylthio)- (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 141:2846 CA
 TITLE: Preparation of quinoline-, isoquinoline-, and
 quinazolinoxyalkylamides as fungicides
 INVENTOR(S): Crowley, Patrick Jelf; Salmon, Roger
 PATENT ASSIGNEE(S): Syngenta Limited, UK
 SOURCE: PCT Int. Appl., 73 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004047538 | A1 | 20040610 | WO 2003-GB4631 | 20031027 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2502183 | AA | 20040610 | CA 2003-2502183 | 20031027 |
| AU 2003276400 | A1 | 20040618 | AU 2003-276400 | 20031027 |
| EP 1567010 | A1 | 20050831 | EP 2003-811792 | 20031027 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003016496 | A | 20051011 | BR 2003-16496 | 20031027 |
| JP 2006507339 | T2 | 20060302 | JP 2004-554637 | 20031027 |
| US 2006019973 | A1 | 20060126 | US 2005-536475 | 20050525 |
| PRIORITY APPLN. INFO.: | | | GB 2002-27555 | A 20021126 |
| | | | WO 2003-GB4631 | W 20031027 |

OTHER SOURCE(S): MARPAT 141:2846
 GI



AB The title compds. I [one of X and Y is N or N oxide and the other is CR or both of X and Y are N; Z = H, halo, (halo)alkyl, etc.; R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, alkoxyethyl or (phenyl)benzyloxyethyl; R3,R4 = H alkyl, alkenyl or alkynyl; R3R4 = (un)substituted carbocyclyl, optionally containing O, S or N heteroatoms; R5 = H, (un)substituted (cyclo)alkyl, etc.] are prepared as fungicides.

IT 696609-21-9P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological

10/536,475

study); PREP (Preparation); USES (Uses)
(preparation as fungicide)

RN 696609-21-9 CA

CN Butanamide, N-(1,1-dimethyl-2-butynyl)-2-(6-quinolinyloxy)- (9CI) (CA
INDEX NAME)

